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(54) Title: HERBICIDAL ARYL TRIAZOLINONES			
<div style="text-align: center;"> <p>(I)</p> </div>			
(57) Abstract			
<p>Herbicidal aryl triazolinones include the compounds of formula (I), where X is preferably halogen such as fluorine, Y is preferably halogen such as chlorine, R<sup>1</sup> is preferably methyl, R<sup>2</sup> is preferably CHF<sub>2</sub>, R<sup>3</sup> is preferably CH(CH<sub>3</sub>). Z is oxygen or sulfur. R<sup>4</sup> may be alkyl, substituted alkyl, alkenyl, alkynyl, monovalent cyclic having a ring of 5 or 6 atoms or ZR<sup>4</sup> may be a residue of an amine, a sulfonamide, or an oxime.</p>			

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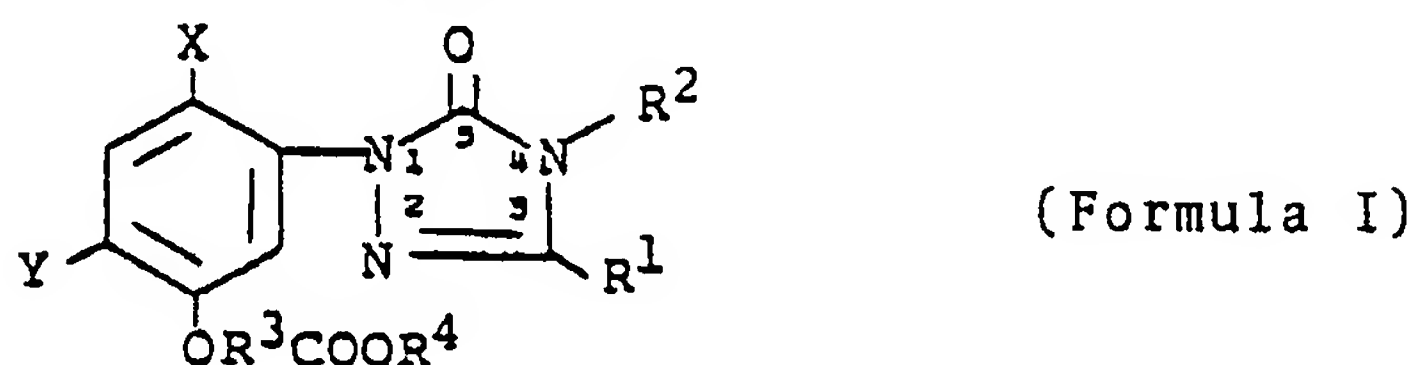
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## HERBICIDAL ARYL TRIAZOLINONES

The invention described in this application pertains to weed control in agriculture, horticulture, and other fields where there is a desire to control unwanted plant growth. More specifically, the present application describes certain herbicidal aryl triazolinones, compositions of them, methods of preparing them, and methods for preventing or destroying undesired plant growth by preemergence or postemergence application of the herbicidal compositions to the locus where control is desired. The present compounds may be used to effectively control a variety of both grassy and broadleaf plant species. The present invention is particularly useful in agriculture; a number of the compounds described herein show a selectivity favorable to certain crops at application levels which inhibit the growth of or destroy a variety of weeds.

One aspect of this invention relates to herbicidal compounds of the general formula



wherein  $R^2$  is  $\text{CHF}_2$  or  $\text{CH}_2\text{F}$ ;

X is bromine, chlorine, or fluorine or haloalkyl (e.g.  $\text{CF}_3$ );

$R^1$  may be halogen (e.g. chlorine), alkyl (e.g. of 1 to 5 carbon atoms), haloalkyl (e.g. of 1 to 5 carbon atoms such as difluoromethyl), alkoxyalkyl (e.g. of 2 to 6 carbon atoms such as methoxymethyl), cyanoalkyl (e.g. of 2 to 6 carbon atoms such as cyano-



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methyl), arylalkyl such as benzyl, alkylthio (e.g. of 1 to 3 carbon atoms such as methylthio) or the corresponding alkylsulfinyl or alkylsulfonyl, or alkylthio-alkyl (e.g., of 1 to 3 carbon atoms independently with respect to each alkyl, such as methylthiomethyl) or the corresponding alkylsulfinylalkyl or alkylsulfonyl-alkyl.

Y is bromine, chlorine, fluorine, methyl, haloalkyl (e.g.  $\text{FCH}_2$ ), a radical of the formula  $\text{R}^8\text{OCH}_2-$ ,  $\text{R}^8\text{SCH}_2-$ ,  $\text{R}^8\text{SOCH}_2-$  or  $\text{R}^8\text{SO}_2\text{CH}_2-$  where  $\text{R}^8$  is  $\text{C}_1$ - $\text{C}_3$ alkyl,  $\text{C}_2$ - $\text{C}_5$ alkenyl, or  $\text{C}_3$ - $\text{C}_5$ alkynyl (e.g.,  $\text{CH}_3\text{OCH}_2-$ ,  $\text{CH}_3\text{SCH}_2-$ ,  $\text{CH}_2=\text{CHCH}_2\text{OCH}_2-$ ,  $\text{CH}_2=\text{CHCH}_2\text{SCH}_2-$ ,  $\text{CH}\equiv\text{CCH}_2\text{OCH}_2-$ , or  $\text{CH}\equiv\text{C}-\text{CH}_2\text{SCH}_2-$ );  $\text{R}_8$  may also be phenyl (or phenyl substituted with e.g., halogen, alkyl, haloalkyl; see, e.g. compound 50, below).

$\text{R}^3$  is an alkylene radical (e.g. a lower alkylene such as  $-\text{CH}_2-$  or  $-\text{CH}(\text{CH}_3)-$ ) or a haloalkylene radical (e.g.  $-\text{CHF}-$ ) and  $\text{R}^4$  is an alkyl radical (e.g.  $\text{CH}_3$ ,  $\text{C}_2\text{H}_5$ ,  $\text{C}_3\text{H}_7$ ,  $\text{C}_4\text{H}_9$ ).

It is preferred that  $\text{R}^1$  be methyl and  $\text{R}^2$  be  $\text{CHF}_2$  and particularly that X be chlorine or (more preferably) fluorine and Y be chlorine.

Some of the compounds described above differ from otherwise similar compounds disclosed in U.S. patent 4,318,731 in that  $\text{R}^2$  of that patent is hydrogen, an alkyl group, or an alkenyl group. It has been found now that the replacement of an alkyl group by a  $\text{CHF}_2$  or  $\text{CH}_2\text{F}$  group yields compounds of much greater herbicidal activity. This is shown, for instance, in Table I below which gives the results of tests of the following two compounds, each applied at the rate of 0.125 kg. per hectare:

A. A compound in which  $\text{R}^2$  is  $\text{CHF}_2$

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(compound No. 5 described below).

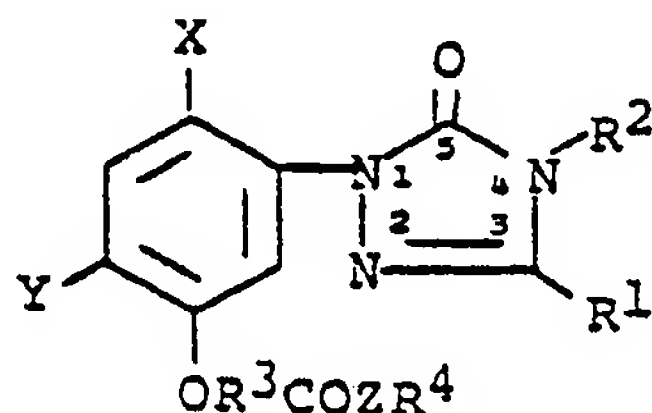
B. An otherwise identical compound in which  $R^2$  is  $C_2H_5$  (compound 62 of the aforesaid U.S. patent).

Representative compounds according to this aspect of the invention are given in Table 2 below.

The herbicidal esters of the aspect of the invention described above may be hydrolyzed to produce the corresponding acids, i.e., to compounds of the foregoing formula in which the substituent para to "X" is  $-OR^3COOH$  (i.e. carboxyalkoxy). I have found that these acids are also effective herbicides, somewhat less potent than the corresponding esters. The acids are also useful as intermediates for the preparation (as by esterification or amide formation) of other herbicidal compounds. The acids may be converted to their salts, such as their sodium, potassium, ammonium, calcium, magnesium, or mono, di or trialkylammonium salts, which may be used as herbicides.

Representative acids and salts are shown in Table 3 below.

In another aspect of this invention it has now been found that compounds of the following formula are effective herbicidal compounds:



(Formula II)

wherein  $R^4$  is a substituted alkyl group, an alkenyl group (e.g. allyl or methallyl) or an alkynyl group (e.g., propargyl) or a monovalent cyclic group having a ring of 5 or 6 atoms (e.g. an aromatic or hetero-

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cyclic or alicyclic ring) whose valence is on a carbon atom of said ring, and Z is O or S. Corresponding compounds in which Z is sulfur and  $R^4$  is unsubstituted alkyl (e.g. of 1-4 carbon atoms) have also been found to be effective herbicides. With respect to  $R^4$ , examples of suitable substituents on the alkyl group are:

nitro;

halo (Cl, F, Br);

furyl or tetrahydrofuryl;

acetyl ( $CH_3CO$ );

$-CO-N(R^{II})(R^{III})$  where  $-N(R^{II})(R^{III})$  is

the residue (minus hydrogen) of ammonia or of a primary or secondary amine (e.g. methylamine, dimethylamine or other lower alkylamine);

cyano;

$-COOR^{IV}$  where  $R^{IV}$  is the residue of an alcohol (such as the residue of a lower alkanol, e.g. a methyl or ethyl radical);

phenyl or substituted phenyl;

alkylamino, dialkylamino or a trialkylammonium salt (such as that shown in compound no. B20 below);

alkoxy, alkylthio, alkylsulfinyl or alkylsulfonyl (in which radicals the alkyl is preferably methyl or other lower alkyl) or phenoxy, phenylthio, phenylsulfinyl, or phenylsulfonyl (in which radicals the phenyl moiety may be substituted or unsubstituted).

When  $R^4$  is alkenyl or alkynyl it may be substituted with one of the foregoing substituents.

For the substituted phenyls mentioned above the substituents may be, for instance, alkyl, haloalkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, halogen, cyano, nitro, hydroxy, amino or alkyl or dialkylamino or carboxyl.

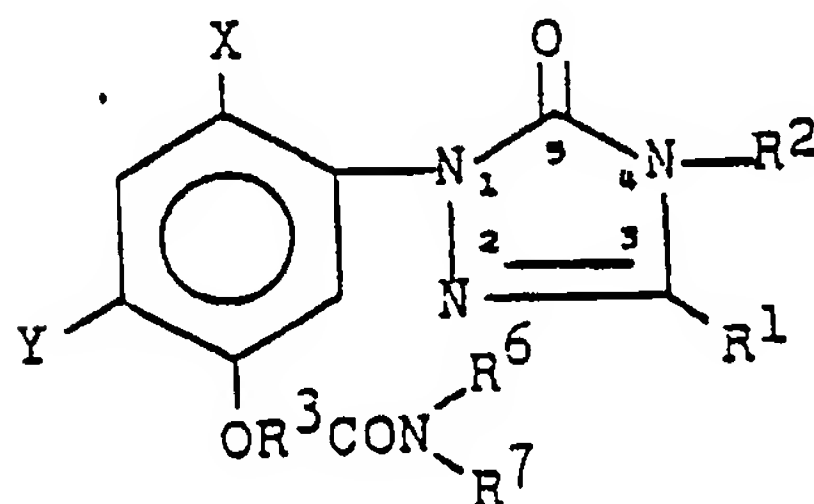
It is preferred that X, Y,  $R^1$  and  $R^2$  be as

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defined above for Formula I, and particularly that X be chlorine or (more preferably) fluorine, Y be chlorine,  $R^1$  be methyl and  $R^2$  be  $\text{CHF}_2$ . However, within the broader scope of this aspect of the invention  $R^2$  substituents may be alkyl (e.g. of 1 to 5 carbon atoms), haloalkyl (e.g. of 1 to 5 carbon atoms, such as  $\text{CHF}_2$ ), alkenyl of 2 to 5 carbon atoms (e.g. allyl), alkynyl of 3 to 5 carbon atoms (e.g. propargyl), cyanoalkyl (e.g.  $\text{CH}_2\text{CN}$  or  $\text{CH}_2\text{CH}_2\text{CN}$ ), thiocyanalkyl (e.g.  $\text{CH}_2\text{SCN}$ ) or a group of the formula  $-\text{alkylene}-\text{Y}^1-\text{R}^5$  in which said alkylene group (e.g.  $-\text{CH}_2-$ ) has 1 to 5 carbon atoms,  $\text{Y}^1$  being oxygen or  $\text{S}(\text{O})_r$  in which  $r$  is 0 to 2, and  $\text{R}^5$  being alkyl (e.g. of 1 to 5 carbon atoms such as methyl), alkenyl of 2 to 5 carbon atoms (e.g. allyl) or alkynyl of 3 to 5 carbon atoms (such as propargyl).

Representative compounds according to this aspect of the invention are shown in Table 4 below.

In still another aspect of this invention it has now been found that compounds of the following formula are effective herbicidal compounds:



(Formula III)

in which  $\text{R}^3$  is an alkylene radical (e.g.  $-\text{CH}_2-$  or  $-\text{CH}(\text{CH}_3)-$ ) or a haloalkylene radical (e.g.  $-\text{CHF}-$ ),

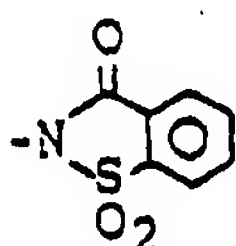
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and  $-N \begin{smallmatrix} \nearrow R^6 \\ \searrow R^7 \end{smallmatrix}$  is  $-NH_2$  or the residue of a primary or

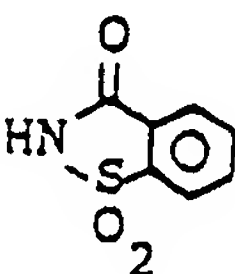
secondary amine or of a sulfonamide. For instance,  $R^6$  and  $R^7$  may be, each, independently, H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, alkoxy, alkylsulfonfyl, haloalkylsulfonfyl, cycloalkylsulfonfyl, arylsulfonfyl (including heteroaryl-sulfonfyl such as thienyl-sulfonfyl), aralkylsulfonfyl, aminosulfonfyl, dialkylaminosulfonfyl, alkylaminosulfonfyl, alkenylsulfonfyl, phenylalkenylsulfonfyl.  $R^6$  may be bicyclic or polycyclic such as benzofuranyl, dihydrobenzofuranyl, benzofuransulfonfyl, dihydrobenzofuransulfonfyl, naphthalenesulfonfyl, benzodioxosulfonfyl, anthraquinonesulfonfyl. Any of  $R^6$ ,  $R^7$  may carry one or more substituents such as halogen, nitro, amino, alkoxy, alkyl, haloalkoxy, alkenyloxy, haloalkenyloxy, alkoxyalkoxy, alkoxyalkylthio, cyano, aminocarbonyloxy, alkylaminocarbonyloxy or dialkylaminocarbonyloxy, acylamino, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl or hydroxycarbonyl (but when one of  $R^6$ ,  $R^7$  is connected to the nitrogen of formula III by an oxygen or sulfur atom, then the other of  $R^6$ ,  $R^7$  is H or a group connected to that nitrogen by a carbon-nitrogen linkage or a salt-forming group, such as indicated below).  $R^6$  and  $R^7$  may together comprise a divalent group, such as an alkylene or haloalkylene or alkyloxyalkylene group or thioether, or its corresponding sulfine or sulfone, (e.g. such that  $NR^6R^7$  together comprise a pyrrolidino, piperidino, morpholino, or thiazolidino ring), any of which may also carry a carboxylic ester or amide substituent. The salt-forming group (e.g. when  $R^6$  is alkylsulfonfyl, cycloalkylsulfonfyl or arylsulfonfyl) may be a metal (e.g. Na, K or Ca) or ammo-

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nium (e.g.  $\text{NH}_4$  or lower alkyl-substituted ammonium).  $\text{R}^6$  and  $\text{R}^7$  may comprise a divalent group such that  $\text{NR}^6\text{R}^7$  together constitute, for instance,

a saccharin ring structure, e.g.  which is

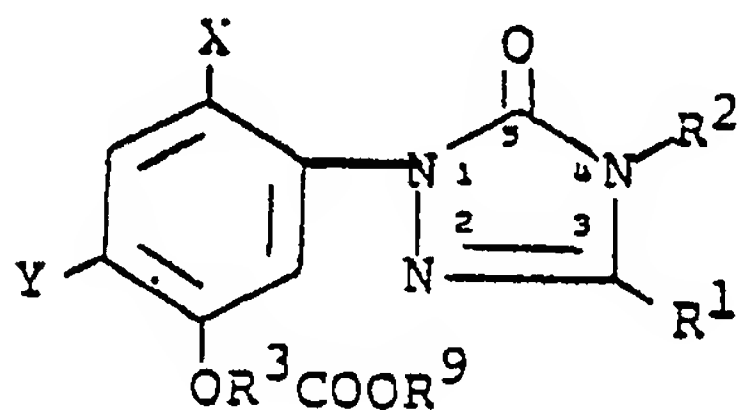
an active herbicide (such as compound C62 below) and which upon hydrolysis, can lead to other active herbicides such as compounds C58 and C59.

Compound C62 for example is obtainable by reaction of saccharin  with the appropriate acid chloride.

In this (Formula III) aspect of the invention, X, Y and  $\text{R}^1$  may be as defined above for Formula I, and  $\text{R}^2$  may be as defined above for Formula II. It is preferred that  $\text{R}^1$  be methyl and  $\text{R}^2$  be  $\text{CHF}_2$  and particularly that X be chlorine or (more preferably) fluorine and Y be chlorine.

Representative compounds according to this aspect of the invention are shown in Table 5 below.

Still another aspect of this invention relates to herbicidal compounds of the formula



(Formula IV)

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where  $-OR^9$  is the residue of an oxime, such as a

ketoxime of the formula  $HO-N=C \begin{matrix} \text{alkyl} \\ \text{alkyl} \end{matrix}$  or  $HO-N=C \begin{matrix} \text{S-alkyl} \\ \text{alkyl} \end{matrix}$ ,

each alkyl group preferably being lower alkyl such as methyl. X, Y,  $R^1$  and  $R^2$  have the meanings given in connection with Formula I (for X, Y and  $R^1$ ) and Formula II (for  $R^2$ ). Preferably X is chlorine or (more preferably) fluorine, Y is chlorine,  $R^1$  is methyl and  $R^2$  is  $CHF_2$ ; in some typical compounds

of this kind the radical  $OR^3COOR^9$  is  $-OCH(CH_3)COON=C \begin{matrix} CH_3 \\ CH_3 \end{matrix}$   
 or  $-OCH(CH_3)COON=C \begin{matrix} SCH_3 \\ CH_3 \end{matrix}$ , X is fluorine, Y is

chlorine,  $R^1$  is methyl and  $R^2$  is  $CHF_2$ ; other typical compounds are identical with those except that Y is Br or  $CF_3$ . Compounds of Formula IV may be prepared by reacting the corresponding acid chloride (i.e. the compound in which the substituent at the 5-position of the benzene ring is  $-OR^3COCl$ ) with the corresponding oxime in the presence of an acceptor for HCl such as triethylamine or sodium carbonate or sodium hydroxide.

In each aspect of the invention, it is often preferable that any alkyl, alkenyl, alkynyl or alkylene radical have less than 6 carbon atoms.

The compounds of this invention may be prepared by methods generally described in the literature or by methods analogous or similar thereto and within the skill of the art. One type of method starts with an intermediate in which the substituent para to "X" is hydroxyl. For instance, one may use the intermediate described in "Synthetic Process Example 6" of UK patent application GB 2 090 250 published 7 July 1982,

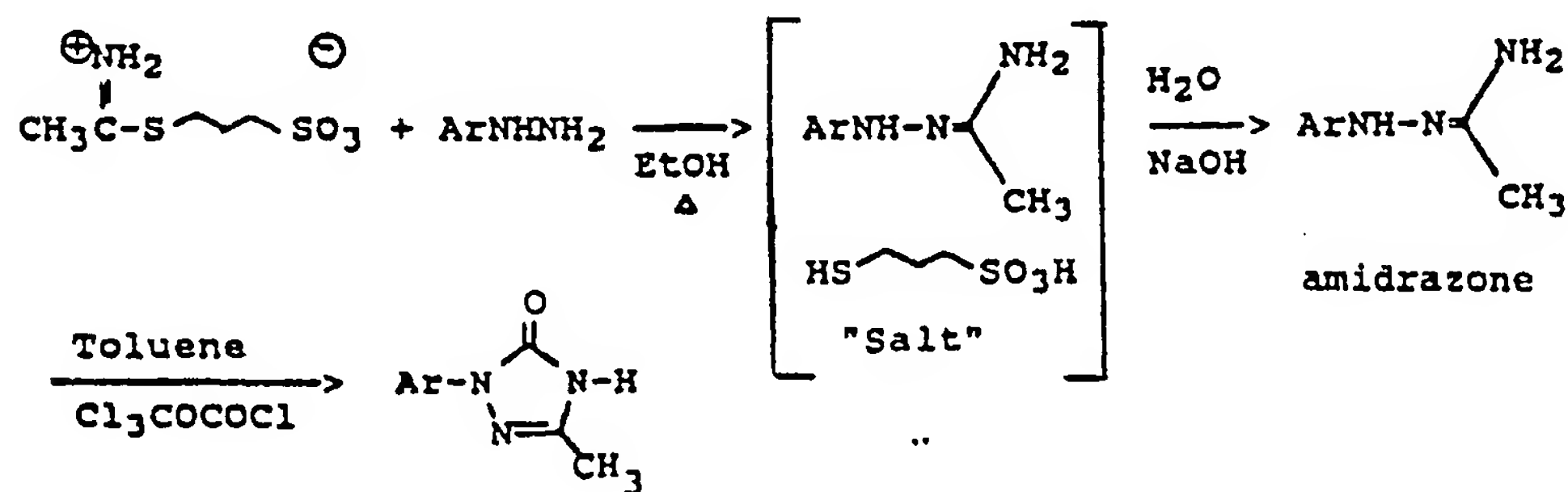


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in which X and Y are Cl,  $R^1$  is  $CH_3$  and  $R^2$  is  $CHF_2$  (the corresponding compound in which  $R^2$  is  $CH_2F$  is made by substituting chlorofluoromethane for the chlorodifluoromethane used in "Synthetic Process Example 1" of that published patent application). The OH group of the intermediate may then be converted to the desired substituent, as by a conventional etherification reaction, e.g., by reacting it with the appropriate bromide in the presence of a known acceptor of HBr such as NaH or a mixture of  $K_2CO_3$  and NaI.

As illustrated in Examples 2 and 3 below, the synthesis may employ a substituted phenylhydrazine, whose hydrazine portion is then modified to form a triazolinone ring. Such modification (which in Examples 2 and 3 is effected by reaction with pyruvic acid and then with a phosphoryl azide) may also be effected by other techniques, such as by treating the substituted phenylhydrazine with any of the following four types of reagents:

(a) an inner salt of a 3-(1-iminoalkylmercapto)-1-propanesulfonic acid (which may be prepared according to Reid and Schmidt, Ann. Chem. 676, 114 (1964) from 1,3-propanesultone and a thioamide), to form an amidrazone followed by reaction with a source of phosgene, as by the following reaction sequence (which is also illustrated in Example 15 below),



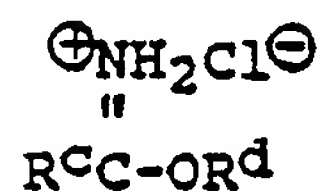


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in which "Ar" is aromatic as described below.

(b) An imidate ester of the formula

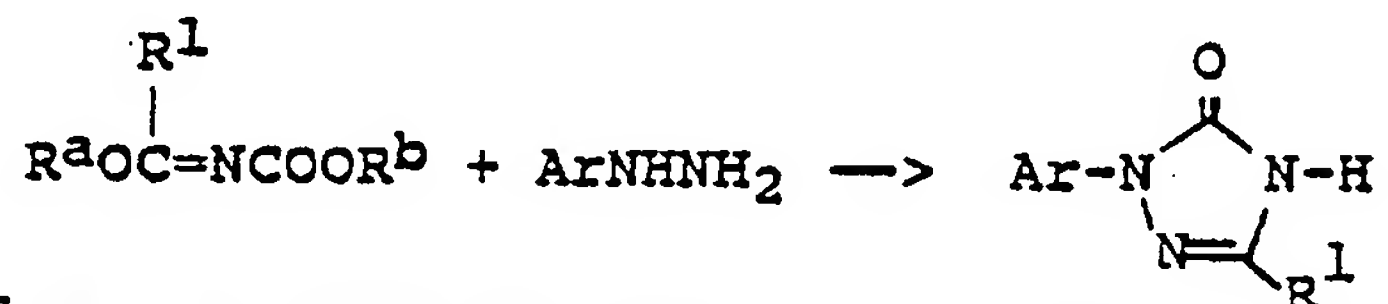


to form the corresponding amidrazone (as described, for instance, in the article by Neilson et al "The Chemistry of Amidrazones: Chem. Rev. 70, 151(1970) at page 156), followed by reaction with a source of phosgene, as in (a) above,  $\text{R}^{\text{C}}$  and  $\text{R}^{\text{d}}$  being alkyl or other suitable radical.

(c) A compound of the formula



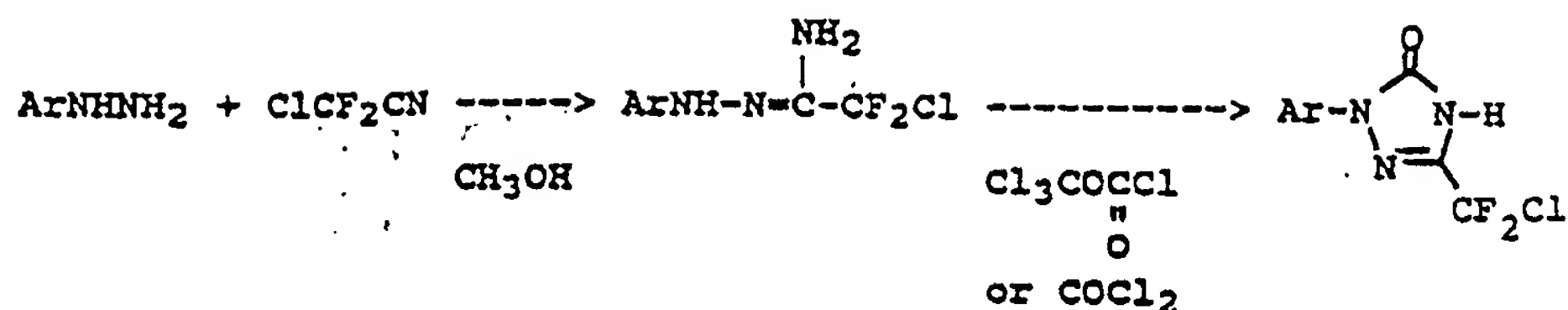
(where  $\text{R}^{\text{a}}$  and  $\text{R}^{\text{b}}$  are lower alkyl) in the presence of a base according to the following sequence:



in which  $\text{R}^{\text{l}}$  is as defined above, e.g. methyl;

(d) A haloalkylnitrile (e.g. a fluoroalkyl, fluorochloroalkyl or fluorobromoalkyl nitrile such as  $\text{ClCF}_2\text{CN}$ , followed by reaction with a source of phosgene, so that the reaction may proceed along the following lines, for instance (and as also illustrated in Example 16 below), to form the aryl 3-haloalkyl triazoline, thus:

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In Examples 2 and 3 below the "Ar" portion of the aryl hydrazine (whose hydrazine portion is then modified to form the triazoline ring) has halo substituents at its 2 and 4 positions and an alkoxy group at its 5 position. Instead, in each of the processes illustrated above (and in the process of those Examples 2 and 3), the Ar group may be a phenyl radical or a fluorophenyl (e.g. 2-fluorophenyl) or a nitrophenyl (e.g. 3-nitrophenyl) alkoxyphenyl (e.g. 3-methoxyphenyl) or, most preferably, halonitrophenyl, particularly a fluoronitrophenyl (such as 2-fluoro-5-nitrophenyl) or haloalkoxyphenyl (such as 2-fluoro-5-alkoxyphenyl) and the aryl triazoline may then be treated to (a) alkylate the nitrogen at the 4-position of the triazoline ring (in known manner, e.g. with an alkyl or fluoroalkyl halide, such as with  $\text{ClCHF}_2$  to add the preferred -  $\text{CHF}_2$  substituent) and (b) to introduce additional substituents onto the aromatic ring, as by halogenation with chlorine or bromine (e.g. by reacting with  $\text{Cl}_2$ ,  $\text{Br}_2$  or  $\text{SO}_2\text{Cl}_2$ ). For instance the alkylation of the nitrogen at the 4-position may be effected first, after which the nitro group (if present) may be reduced to an amino group in conventional manner, the amino group may be converted to a hydroxyl group (as by conventional diazotization) and then, preferably after etherifying the OH to form an alkoxy (e.g. methoxy) group, the compound may be halogenated as above to place the halogen substituent or substituents on its benzene

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ring. The resulting compound may then be modified at the 5-position of the benzene ring to form the herbicidal compounds of this invention. For instance,, for making the preferred compounds of the invention in which the benzene ring has a 2-fluoro substituent, the starting material may be 2-fluoro-5-nitrophenylhydrazine, which may be treated as described above to produce successively a series of novel compounds such as 1-(2-fluoro-5-nitrophenyl)-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one, then 1-(2-fluoro-5-nitrophenyl)-4,5-dihydro-4-difluoromethyl-3-methyl-1,2,4-triazol-5(1H)-one. These may be converted to the corresponding novel compounds having, at the 5-position of the benzene ring, successively - NH<sub>2</sub>, -OH and (preferably) - OCH<sub>3</sub>, followed by halogenation to place, for instance, a chloro or bromo substituent at the 4-position of the benzene ring. Instead of alkylating at the 4-position of the ring triazoline at an early stage, e.g. prior to altering the nitro group, this alkylation step may be delayed until after the above-described halogenation of the benzene ring or even until after the conversion of the alkoxy (or other) group at the 5-position of the benzene ring to one of the groups described at that position in Formulas I, II, III and IV (and Tables 2, 3, 4, and 5) above.

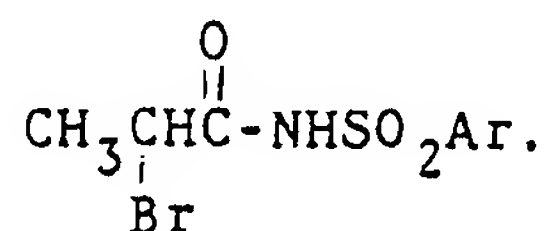
Similarly, when the reagent(s) used to react with the aryl hydrazine are such as to produce a triazolinone having a haloalkyl (e.g. CHF<sub>2</sub>) group instead of an alkyl group on the carbon at the 3-position of the heterocyclic ring, the series of new compounds will include, successively, (from 2-fluoro-5-nitrophenylhydrazine) such compounds as 1-(2-fluoro-5-nitrophenyl)-4,5-dihydro-3-difluoromethyl-1,2,4-triazol-5(1H)-one, then 1-(2-fluoro-5-nitrophenyl)-4,5-dihydro-4-methyl (or difluoromethyl)-3-difluoromethyl-1,2,4-

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triazol-5(1H)-one. These may be converted to the corresponding novel compounds having, at the 5-position of the benzene ring, successively -NH<sub>2</sub>, -OH and (preferably) -OCH<sub>3</sub>, followed by halogenation to place, for instance, a chloro or bromo substituent at the 4-position of the benzene ring. When the aryl hydrazine is 3-nitrophenyl hydrazine (instead of 2-fluoro-5-nitrophenylhydrazine) the series of novel compounds will include, successively, such compounds as 1-(3-nitrophenyl)-4,5-dihydro-3-difluoromethyl-1,2,4-triazol-5(1H)-one, then 1-(3-nitrophenyl)-4,5-dihydro-4-methyl (or difluoromethyl)-3-difluoromethyl-1,2,4-triazol-5(1H)-one. These may be converted to the corresponding novel compounds having, at the 3-position of the benzene ring, successively -NH<sub>2</sub>, -OH and (preferably) -OCH<sub>3</sub>, followed by halogenation to place, for instance, chloro or bromo substituents on the benzene ring.

Example 11 below illustrates a process for making a compound of this invention having a sulfonamide group at the 5-position of the benzene ring by reacting (a) a compound having an oxypropionic acid substituent at that 5-position with (b) an aryl sulfonylisocyanate.

Another method for introducing the sulfonamide group is by reacting (a) a compound having a phenolic OH group at that 5-position with (b) an N-aryl (or alkyl etc.) sulfonylalkanoic acid amide having a reactive leaving substituent (e.g. Br, Cl, mesylate or tosylate) on the alkane portion of the molecule, e.g.



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Such a reaction can be carried out in the presence of a base (e.g. in acetone in the presence of sodium or potassium carbonate). This method is illustrated in Example 14 below.

The following Examples illustrate the preparation of the compounds of this invention.

Example 1

Ethyl [2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]acetate

To a stirred mixture of 15.0 g (0.048 mole) of 1-(2,4-dichloro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one and 3.4 g (0.024 mole) of potassium carbonate in 100 mL of acetone was added 8.1 g (0.048 mole) of ethyl bromoacetate. The resultant mixture was stirred at reflux for three hours. After cooling, the mixture was evaporated under reduced pressure leaving a residue. This residue was partitioned between diethyl ether and water. The organic phase was washed with an aqueous 10% sodium hydroxide solution, then was dried over anhydrous magnesium sulfate and filtered. Evaporation of the filtrate under reduced pressure produced 17.8 g of a solid. A small portion of this solid was recrystallized from methanol and water to yield ethyl [2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]acetate (mp 118-119°C), compound 17.

The nmr spectrum was consistent with the proposed structure.

The following compounds were also prepared by the process of Example 1 from 1-(2,4-dichloro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one; 1-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-

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triazol-5(1H)-one; 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionic acid, compound A1; or 2-[4-chloro-2-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid, compound A2, and one of the following:

<u>Compound</u>	<u>Reagent</u>
14	methyl bromoacetate
17	ethyl bromoacetate
19	tert-butyl 2-bromopropionate
21	tert-butyl bromoacetate
22	tert-butyl bromoacetate
B6	1-methyl-2-propynyl 2-bromopropionate
B7	1,1-dimethyl-2-propynyl 2-chloropropionate
B11	bromoacetonitrile
B16	$\alpha$ -bromo- $\gamma$ -butyrolactone
B22	chloromethyl methylether
B23	chloromethyl methylsulfide
C1	iodoacetamide
C7	iodoacetamide
C9	N-(1-methylpropyl) 2-bromopropionamide

#### Example 2

2-[2-Chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid

Step A      4-Chloro-2-fluoro-5-methoxyaniline from  
             2-chloro-4-fluorophenol

The intermediate 4-chloro-2-fluoro-5-methoxyaniline was prepared in a five step synthesis from commercially available 2-chloro-4-fluorophenol as detailed by E. Nagano, et al. in European Patent Application 69,855.

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## Step B      4-Chloro-2-fluoro-5-methoxyphenylhydrazine

A stirred solution of 48.0 g (0.27 mole) of 4-chloro-2-fluoro-5-methoxyaniline in 500 mL of concentrated hydrochloric acid was cooled to -5°C and 23.5 g (0.34 mole) of sodium nitrite in 100 mL of water was added dropwise. After complete addition the reaction mixture was stirred at 0°C for one hour. A second solution of 154.0 g (0.68 mole) of stannous chloride in 225 mL of concentrated hydrochloric acid was cooled to 0°C, and the cold diazonium solution prepared above was added to it slowly. After complete addition the reaction mixture was allowed to warm to ambient temperature. The reaction mixture was filtered to collect a solid. This solid was dissolved in an aqueous 50% sodium hydroxide solution and the solution extracted with toluene. The toluene extract was dried with magnesium sulfate and filtered. The filtrate was concentrated under reduced pressure to yield 22.4 g of 4-chloro-2-fluoro-5-methoxyphenylhydrazine as a solid.

The nmr spectrum was consistent with the proposed structure.

## Step C      Pyruvic acid, 4-chloro-2-fluoro-5-methoxyphenylhydrazone

A stirred solution of 21.0 g (0.11 mole) of 4-chloro-2-fluoro-5-methoxyphenylhydrazine and 100 mL of aqueous 10% hydrochloric acid in 100 mL of ethanol was warmed to 40°C, and a solution of 10.0 g (0.114 mole) of pyruvic acid in 20 mL of water was added. Upon complete addition the reaction mixture was stirred for one hour. An additional 50 mL of water was added and the reaction mixture filtered to collect a solid. The solid was air dried to yield 29.0 g of pyruvic acid,



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4-chloro-2-fluoro-5-methoxyphenylhydrazone; mp 166-169°C.

The nmr spectrum was consistent with the proposed structure.

Step D      1-(4-Chloro-2-fluoro-5-methoxyphenyl)-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one

A stirred solution of 27.0 g (0.104 mole) of pyruvic acid, 4-chloro-2-fluoro-5-methoxyphenylhydrazone, 29.0 g (0.105 mole) of diphenyl phosphoryl azide, and 11.0 g (0.108 mole) of triethylamine in 500 mL of toluene was heated under reflux for four hours. The reaction mixture was cooled to ambient temperature and extracted with an aqueous 10% sodium hydroxide solution. The extract was neutralized with gaseous carbon dioxide, and a solid was collected by filtration. The solid was air dried to yield 11.0 g of 1-(4-chloro-2-fluoro-5-methoxyphenyl)-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one; mp 193-195°C.

The nmr spectrum was consistent with the proposed structure.

Step E      1-(4-Chloro-2-fluoro-5-methoxyphenyl)-4,5-dihydro-4-difluoromethyl-3-methyl-1,2,4-triazol-5(1H)-one

A stirred mixture of 10.0 g (0.039 mole) of 1-(4-chloro-2-fluoro-5-methoxyphenyl)-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one, 10.0 g (0.031 mole) of tetrabutylammonium bromide and 10.0 grams (0.25 mole) of sodium hydroxide in 250 mL of cyclohexane was warmed to 60°C. Chlorodifluoromethane (10.0 g, 0.12 mole) was bubbled into the reaction mixture. After complete addition the reaction mixture was warmed to reflux

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where it stirred for one hour. The hot solution was decanted from a pot residue and cooled to ambient temperature. Methylene chloride was added to the cooled mixture to dissolve a solid precipitate. The mixture was washed with 10% hydrochloric acid then with an aqueous 10% sodium hydroxide solution. The organic layer was dried with anhydrous magnesium sulfate and filtered. The filtrate was concentrated under reduced pressure to yield 5.0 g of 1-(4-chloro-2-fluoro-5-methoxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one; mp 86-88°C.

The nmr spectrum was consistent with the proposed structure.

Step F      1-(4-Chloro-2-fluoro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one

A stirred mixture of 4.6 g (0.015 mole) of 1-(4-chloro-2-fluoro-5-methoxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one in 200 mL of methylene chloride was cooled to 10°C and a solution of 11.2 g (0.045) mole of boron tribromide in 45 mL of methylene chloride was added. Upon complete addition the reaction mixture was stirred for four hours as it warmed to ambient temperature. After this time 100 mL of water was added, and stirring was continued for an additional 18 hours. The organic layer was separated, dried with anhydrous magnesium sulfate, and filtered. The filtrate was concentrated under reduced pressure to yield 4.4 g of 1-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one; mp 147-152°C.

The nmr spectrum was consistent with the proposed structure.

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Step G      Methyl 2-[2-chloro-4-fluoro-5-(4-difluoro-  
methyl-4,5-dihydro-3-methyl-5-oxo-1H-  
1,2,4-triazol-1-yl)phenoxy]propionate

To a stirred mixture of 1.5 g (0.0051 mole) of 1-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one and 0.12 g (0.0051 mole) of sodium hydride in 50 mL of N,N-dimethylformamide was added 0.85 g (0.0051 mole) of methyl 2-bromopropionate. After complete addition the reaction mixture was heated at reflux for two hours, then cooled to room temperature and stirred for approximately 18 hours. The solvent was removed by evaporation under reduced pressure leaving a residue. This residue was partitioned between diethyl ether and water. The organic phase was washed with an aqueous 10% sodium hydroxide solution. The organic phase was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to yield 1.5 g of methyl 2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate as an oil, Compound 3.

The nmr spectrum was consistent with the proposed structure.

The following compounds were also prepared by the process of Example 2, Step G, from 1-(2,4-dichloro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one; 1-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one; or compound A2 and one of the following reagents:

<u>Compound</u>	<u>Reagent</u>
1	methyl bromoacetate
2	methyl 2-bromopropionate
5	ethyl 2-bromopropionate
6	ethyl 2-bromopropionate
18	ethyl 4-bromopropionate
20	ethyl 2-bromoisobutyrate
B21	N,N-diethyl-2-chloroacetamide
C8	N,N-diethyl-2-chloroacetamide

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Step H        2-[2-Chloro-4-fluoro-5-(4-difluoro-  
methyl-4,5-dihydro-3-methyl-5-oxo-1H-  
1,2,4-triazol-1-yl)phenoxy]propionic acid

A stirred mixture of 1.3 g (0.0034 mole) of methyl 2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate and 1.0 g (0.018 mole) of potassium hydroxide in 15 mL of ethanol and 15 mL of water was heated at reflux for three hours. The mixture was allowed to cool to room temperature and stand for two days. The solvent was evaporated from the mixture leaving a solid. This solid was dissolved in water, and the solution was made acidic with concentrated hydrochloric acid. This solution was extracted with methylene chloride. The extract was dried over anhydrous magnesium sulfate and filtered. Evaporation of the solvent from the filtrate left 0.85 g of 2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid as a solid (mp 50-55°C), Compound A2.

Compound A1 was also prepared by the method of Example 2, Step H, from Compound 2.

### Example 3

2-[4-Chloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-2-methylphenoxy]propionic acid

Step A        4-Methyl-3-methoxyphenylhydrazine

A stirred mixture of 100.0 g (0.73 mole) of 4-methyl-3-methoxyaniline in 800 mL of concentrated hydrochloric acid was cooled to -5°C. A solution of 501.5 g

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(0.73 mole) of sodium nitrite in 250 mL of water was added slowly while maintaining the temperature of the reaction mixture below 0°C. The resultant mixture was stirred at -5°C for 30 minutes. A cold solution of 330.0 g (1.46 mole) of tin (II) chloride dihydrate in 360 mL of concentrated hydrochloric acid was added over one hour. After complete addition the resultant mixture was allowed to warm to room temperature. A solid precipitate formed and was collected by filtration and stirred in 200 mL of water. This mixture was neutralized with 50% aqueous sodium hydroxide, and extracted with toluene. The extract was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to yield 58.0 g of 4-methyl-3-methoxyphenylhydrazine as an oil.

The nmr spectrum was consistent with the proposed structure.

Step B      Pyruvic acid, 4-methyl-3-methoxyphenyl-  
                 hydrazone

To a stirred mixture of 57.6 g (0.378 mole) of 4-methyl-3-methoxyphenylhydrazine in 400 mL of ethanol and 400 mL of 1N hydrochloric acid was added 33.3 g (0.0378 mole) of pyruvic acid. After complete addition the mixture was stirred at room temperature for one hour, and 1 liter of water was added. The mixture was extracted with methylene chloride. The extract was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to yield 59.0 g of pyruvic acid, 4-methyl-3-methoxyphenylhydrazone.

The nmr spectrum was consistent with the proposed structure.

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Step C      4,5-Dihydro-1-(4-methyl-3-methoxyphenyl)-  
3-methyl-1,2,4-triazol-5(1H)-one

To a stirred mixture of 56.8 g (0.26 mole) of pyruvic acid, 4-methyl-3-methoxyphenylhydrazone in 1500 mL of toluene was added 25.9 g (0.26 mole) of triethylamine. The mixture was heated at 50°C, and 70.3 g (0.26 mole) of diphenyl phosphoryl azide was added. The resultant mixture was heated at reflux for approximately 18 hours. The mixture was cooled and extracted with four 200 mL portions of an aqueous 10% sodium hydroxide solution. The aqueous extracts were combined, washed with toluene, and made acidic. The resultant solid was collected by filtration and air dried to yield 75.0 g of 4,5-dihydro-1-(4-methyl-3-methoxyphenyl)-3-methyl-1,2,4-triazol-5(1H)-one (mp 164-168°C).

The nmr spectrum was consistent with the proposed structure.

Step D      4-Difluoromethyl-4,5-dihydro-1-(4-methyl-  
3-methoxyphenyl)-3-methyl-1,2,4-triazol-  
5(1H)-one

A stirred mixture of 60.0 g (0.28 mole) of 4,5-dihydro-1-(4-methyl-3-methoxyphenyl)-3-methyl-1,2,4-triazol-5(1H)-one, 60.0 g (0.19 mole) of tetrabutylammonium bromide and 60.0 g (1.5 mole) of powdered sodium hydroxide in 2 liters of cyclohexane was heated at reflux. During a two hour period 60.0 g (0.67 mole) of gaseous difluorochloromethane was bubbled into the mixture. After complete addition the mixture was stirred at reflux for one hour, then allowed to cool to 70°C. The supernatant liquid was decanted and washed with aqueous 10% hydrochloric

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acid followed by an aqueous 10% sodium hydroxide solution. The organic layer was dried with magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to leave a solid. This solid was triturated with petroleum ether and filtered. The filter cake was air dried to yield 18.5 g of 4-difluoromethyl-4,5-dihydro-1-(4-methyl-3-methoxyphenyl)-3-methyl-1,2,4-triazol-5-(1H)-one.

The nmr spectrum was consistent with the proposed structure.

Step E      1-(2-Chloro-4-methyl-5-methoxyphenyl)-  
             4-difluoromethyl-4,5-dihydro-3-methyl-  
             1,2,4-triazol-5(1H)-one

A solution of 15.0 g (0.056 mole) of 4-difluoromethyl-4,5-dihydro-1-(4-methyl-3-methoxyphenyl)-3-methyl-1,2,4-triazol-5(1H)-one and 7.5 g (0.056 mole) of sulfuryl chloride in 100 mL of chloroform was stirred at room temperature for two hours. The reaction mixture was concentrated under reduced pressure to leave a residue. This residue was dissolved in methylene chloride and washed with an aqueous 10% sodium hydroxide solution. The organic layer was dried with magnesium sulfate and filtered. The filtrate was concentrated under reduced pressure to yield 16.5 g of 1-(2-chloro-4-methyl-5-methoxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one as a solid.

The nmr spectrum was consistent with the proposed structure.

Step F      1-(2-Chloro-4-methyl-5-hydroxyphenyl)-  
             4-difluoromethyl-4,5-dihydro-3-methyl-  
             1,2,4-triazol-5(1H)-one



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To a stirred solution of 16.0 g (0.053 mole) of 1-(2-chloro-4-methyl-5-methoxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one in 100 mL of methylene chloride at 10°C was added dropwise 39.6 g (0.16 mole) of boron tribromide. The resultant mixture was stirred at room temperature for two days. This mixture was washed with 100 mL of water. The organic layer was dried with anhydrous magnesium sulfate and filtered. The filtrate was concentrated under reduced pressure to leave an oil. This oil was stirred in petroleum ether:diethyl ether (90:10) forming a solid. The solid was collected by filtration to yield 10.5 g of 1-(2-chloro-4-methyl-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one (mp 154-156°C).

The nmr spectrum was consistent with the proposed structure.

Step G      Methyl 2-[4-chloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-2-methylphenoxy]propionate

In a manner similar to Example 2, Step G, the reaction of 3.0 g (0.01 mole) of 1-(2-chloro-4-methyl-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one, 0.25 g (0.01 mole) of sodium hydride and 1.75 g (0.01 mole) of methyl 2-bromopropionate in 100 mL of N,N-dimethylformamide produced 3.7 g of methyl 2-[4-chloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-2-methylphenoxy]propionate as an oil; Compound 4.

The nmr spectrum was consistent with the proposed structure.

Compound 7 was prepared by the process described for Compound 4, but using ethyl 2-bromopropionate in Step G.

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Step H      2-[4-Chloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-2-methylphenoxy]propionic acid

In a manner similar to Example 2, Step H, the reaction of 3.3 g (0.0088 mole) of methyl 2-[4-chloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-2-methylphenoxy]propionate with 1.5 g (0.27 mole) of potassium hydroxide in 50 mL of ethanol and 15 mL of water produced 2.7 g of 2-[4-chloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-2-methylphenoxy]propionic acid as a solid (mp 56-60°C), Compound A3.

The nmr spectrum was consistent with the proposed structure.

#### Example 4

2-Nitropropyl 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate

A stirred mixture of 0.5 g (0.0013 mole) of 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid (Compound A1), 1.0 g (0.0095 mole) of 2-nitro-1-propanol and 0.05 g (0.0003 mole) of p-toluenesulfonic acid monohydrate in 60 mL of toluene was heated at reflux. The water generated in the reaction was removed by collection in a Dean-Stark trap. After refluxing for a total of two hours, the solvent was removed by distillation under reduced pressure leaving a residue. This residue was dissolved in diethyl ether and washed first with water then with an aqueous 10% sodium hydroxide solution. The organic

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phase was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated to yield 0.5 g of 2-nitropropyl 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionate as an oil, Compound B1.

The nmr spectrum was consistent with the proposed structure.

Compound 11 was also prepared by the method of Example 4 from Compound A1 and n-butanol.

#### Example 5

2-Propenyl 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionate

Under a dry nitrogen atmosphere, a stirred solution of 0.5 g (0.0013 mole) of methyl 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate (Compound 2) and approximately 0.01 g of sodium methoxide in 30 mL of 2-propen-1-ol was heated at reflux. After approximately 5 mL of methanol was collected in a Dean-Stark trap, the reaction mixture was cooled slightly, and the remaining solvent removed by distillation under reduced pressure leaving a residue. This residue was dissolved in diethyl ether and washed with water. The organic phase was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to yield 0.55 g of 2-propenyl 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate as an oil, Compound B2.

The nmr spectrum was consistent with the proposed structure.

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Analysis Calc'd for

 $C_{16}H_{15}N_3Cl_2F_2O_4$ : C 45.52; H 3.58; N 9.95

Found: C 45.24; H 3.76; N 9.87

The following compounds were also prepared by the process of Example 5 from 1-(2,4-dichloro-5-hydroxy-phenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one; compound A1; or compound A2 and the following reagents:

<u>Compound</u>	<u>Reagent</u>
9	n-propanol
10	2-propanol
15	n-propanol
16	2-propanol
B3	2-propyn-1-ol
B5	2-methoxyethanol

Example 6

N-methylsulfonyl-2-[2,4-Dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionamide

Step A      2-[2,4-Dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]-propionyl chloride

A stirred mixture of 2.9 g (0.0075 mole) of 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid (Compound A-1) in 5 mL of thionyl chloride was heated at reflux for 1.5 hours. The mixture was cooled, and the excess thionyl chloride was removed by evaporation under reduced pressure, leaving 3.1 g of product as an oil.

Step B      N-Methylsulfonyl-2-[2,4-dichloro-5-(4-difluoro-methyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionamide

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A mixture of 0.56 g of the oil from Step A and 0.56 g (0.0059 mole) of methanesulfonamide was heated at 80°C for 3.5 hours. The mixture was cooled and diluted with water, forming a gummy precipitate. The water was decanted, and the residue was partitioned between water and methylene chloride. The organic phase was washed with three 100 ml portions of water, dried over anhydrous magnesium sulfate, and filtered. The filtrate was evaporated under reduced pressure to leave a tan solid which was dissolved in 30 mL of 1N sodium hydroxide and 50 mL of water. The basic mixture was filtered, and the filtrate was made acidic with concentrated hydrochloric acid. A precipitate formed and was collected by filtration. The filter cake was washed with water and dried to yield 0.34 g of N-methylsulfonyl-2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionamide (mp 185-188°C), Compound C3.

The nmr spectrum was consistent with the proposed structure.

Analysis Calc'd for

$C_{14}H_{14}Cl_2F_2N_4O_5S$ : C 36.61; H 3.07; N 12.20

Found: C 36.79; H 3.01; N 12.41

Compounds C4, C5 and C6 were prepared by the process described in Example 6 using trifluoromethanesulfonamide, ammonia and methylamine respectively in Step B. Compounds C2 and C18 were prepared by the method of Example 6 from Compound A2, using methylamine and methanesulfonamide respectively in Step B.

#### Example 7

Ethyl 2-[2,4-dibromo-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionate

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Step A      1-(2,4-Dibromo-5-methoxyphenyl)-4-difluoro-  
methyl-4,5-dihydro-3-methyl-1,2,4-triazol-  
5(1H)-one

To a stirred mixture of 12.0 g (0.047 mole) of 1-(3-methoxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one (prepared from 3-methoxyaniline using the method of Example 2, Steps B-E) in 75 mL of acetic acid was added 30.0 g (0.19 mole) of bromine. The mixture was heated at reflux for six hours, then cooled. The solvent was removed by distillation, leaving a residue. This residue was dissolved in diethyl ether, and the resultant solution was washed first with an aqueous 10% sodium thiosulfate solution followed by water. The organic solution was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure, leaving a solid. This solid was triturated in petroleum ether and filtered to yield 17.4 g of 1-(2,4-dibromo-5-methoxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one.

The nmr spectrum was consistent with the proposed structure.

Step B      1-(2,4-Dibromo-5-hydroxyphenyl)-4-difluoro-  
methyl-4,5-dihydro-3-methyl-1,2,4-triazol-  
5(1H)-one

A mixture of 17.2 g (0.042 mole) of 1-(2,4-dibromo-5-methoxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one and 50.6 g (0.020 mole) of boron tribromide in 100 mL of methylene chloride was stirred at room temperature for 18 hours. The mixture was washed with 50 mL of water, and the organic phase was dried over anhydrous magnesium sulfate. This mix-

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ture was filtered, and the filtrate was evaporated under reduced pressure to yield 16.1 g of 1-(2,4-dibromo-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5-(1H)-one as a solid.

The nmr spectrum was consistent with the proposed structure.

Step C      Ethyl 2-[2,4-dibromo-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionate

To a stirred solution of 1.25 g (0.0031 mole) of 1-(2,4-dibromo-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one in 60 mL of N,N-dimethylformamide was added 0.57 g (0.0033 mole) of ethyl 2-bromopropionate. The resultant mixture was heated at 130°C for two hours, then was cooled. The solvent was evaporated from the mixture under reduced pressure, leaving a solid. This solid was dissolved in diethyl ether, and the solution was washed first with water, then with an aqueous 10% sodium hydroxide solution. The organic solution was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to yield 1.0 g of ethyl 2-[2,4-dibromo-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate as an oil, Compound 8.

The nmr spectrum was consistent with the proposed structure.

#### Example 8

1-Methylpropyl 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate



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To a stirred solution of 1.0 g (0.0025 mole) of 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionyl chloride (prepared in Example 6, Step A) in 15 mL of sec-butanol was added 0.27 g (0.0027 mole) of triethylamine. The reaction mixture was stirred at room temperature for 20 minutes, then heated at 70°C for 1-1/2 hours. The mixture was allowed to cool and was stirred at room temperature for approximately 18 hours. The solvent was removed by evaporation under reduced pressure, leaving a residue which was partitioned between diethyl ether and water. The organic phase was washed in succession with water, an aqueous 10% sodium hydroxide solution, water, aqueous 10% hydrochloric acid, a saturated aqueous sodium bicarbonate solution, and water. The organic phase was dried over anhydrous magnesium sulfate, then filtered. The filtrate was evaporated under reduced pressure to yield 0.42 g of 1-methylpropyl 2-[2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionate as an oil, Compound 12.

The nmr spectrum was consistent with the proposed structure.

Compound B4 was also prepared by the method of Example 8 from the acid chloride of Compound A2 and 2-propyn-1-ol.

#### Example 9

Ethyl [2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]fluoroacetate

To a stirred mixture of 2.0 g (0.0065 mole) of 1-(2,4-

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dichloro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one and 0.91 g (0.0065 mole) of ethyl chlorofluoroacetate in 50 mL of ethanol was added a solution of 0.15 g (0.0065 mole) of sodium in 5 mL of ethanol. The reaction mixture was heated at reflux for 34 hours. The mixture was cooled, and the solvent was removed by evaporation under reduced pressure, leaving a residue. This residue was dissolved in diethyl ether, and the solution was washed first with water, followed by an aqueous 10% sodium hydroxide solution. The organic phase was dried over anhydrous magnesium sulfate, then filtered. The filtrate was evaporated under reduced pressure to yield 0.95 g of ethyl [2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]fluoroacetate as an oil, Compound 51.

The nmr spectrum was consistent with the proposed structure.

#### Example 10

Ethyl 2-[2,4-dichloro-5-(4-fluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionate

Step A      1-[2,4-Dichloro-5-(1-methylethoxy)phenyl]-4-fluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one

A solution of 6.0 g (0.020 mole) of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one in 45 mL of N,N-dimethylformamide was added to 0.55 g (0.022 mole) of sodium hydride in 30 mL of N,N-dimethylformamide. The reaction mixture was stirred at room temperature for 15 minutes.

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Chlorofluoromethane was added dropwise to the stirred reaction mixture by condensing the gas on a dry ice condenser. During the addition the mixture was heated slowly to 60°C at which point the mixture began to reflux. The addition of chlorofluoromethane was discontinued, and the reaction mixture was allowed to cool to room temperature and stand for approximately 18 hours. Heating at reflux was resumed, and an additional amount of chlorofluoromethane was added during a ten minute period. After complete addition, the reaction mixture was heated at reflux for one hour, then allowed to cool. The solvent was removed by distillation under reduced pressure, leaving a residue. This residue was partitioned between diethyl ether and water. The organic phase was washed first with 1N sodium hydroxide, then water. The organic solution was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to yield 3.8 g of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-4-fluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one as an oil.

The nmr spectrum was consistent with the proposed structure.

Step B      1-(2,4-Dichloro-5-hydroxyphenyl)-4-fluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one

To 5mL of stirring concentrated sulfuric acid was added portionwise 0.53 g (0.0016 mole) of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-4-fluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one. After complete addition, the mixture was stirred at room temperature for 2.5 hours. The mixture was poured into 100 mL of ice water and stirred for 30 minutes.

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The aqueous mixture was extracted with diethyl ether, and the extract was washed with water. The organic phase was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under reduced pressure to yield 0.34 g of 1-(2,4-dichloro-5-hydroxyphenyl)-4-fluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one as a solid.

The nmr spectrum was consistent with the proposed structure.

This reaction was repeated using 2.8 g of 1-[2,4-dichloro-5-(1-methylethoxy)-4-fluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one, producing an additional 1.9 g of product.

Step C      Ethyl 2-[2,4-dichloro-5-(4-fluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-phenoxy]propionate

A mixture of 0.56 g (0.0019 mole) of 1-(2,4-dichloro-5-hydroxyphenyl)-4-fluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one and 1.1 g (0.0076 mole) of anhydrous potassium carbonate in 15 mL of acetone was stirred for 15 minutes. Sodium iodide (approximately 0.01 g) and 0.37 g (0.002 mole) of ethyl 2-bromopropionate were added, and the resultant mixture was heated at reflux for 1.5 hours. The mixture was cooled and filtered. The filtrate was evaporated under reduced pressure, leaving a residue. This residue was partitioned between diethyl ether and water. The organic phase was washed with water, dried over anhydrous magnesium sulfate, and filtered. The filtrate was evaporated under reduced pressure, leaving an oil. This oil was stirred in n-pentane, and the supernatant was decanted, leaving an oily residue. The oil was dried at 70°C under a stream of nitrogen to

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yield 0.70 g of ethyl 2-[2,4-dichloro-5-(4-fluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate, Compound 13.

The nmr spectrum was consistent with the proposed structure.

#### Example 11

N-(4-Methylphenylsulfonyl)-2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionamide

A stirred mixture of 0.78 g (0.0021 mole) of 2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid, 0.42 g (0.0021 mole) of p-toluenesulfonyl isocyanate and 0.05 g (0.0004 mole) of 4-dimethylaminopyridine in 50 mL of toluene was heated at reflux for approximately 18 hours. The mixture was allowed to cool to room temperature and was stirred for 24 hours. The solvent was removed by evaporation at reduced pressure to leave a residue. This residue was purified by column chromatography on silica gel, eluting with toluene:ethyl acetate (1:1). The appropriate fractions were combined and evaporated under reduced pressure to yield 0.7 g of N-(4-methylphenylsulfonyl)-2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionamide as a solid, Compound C10.

The nmr spectrum was consistent with the proposed structure.

Compound C25 was prepared by the method of Example 11 using 2-chlorobenzenesulfonyl isocyanate.

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Example 12

2-[2-Chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid, sodium salt.

A mixture of 1.0 g (0.0027 mole) of 2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid and 0.07 g (0.0027 mole) of sodium hydride in 30 mL of tetrahydrofuran was stirred at room temperature for approximately 18 hours. The solvent was removed by evaporation to yield 0.9 g of 2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionic acid, sodium salt as a solid, Compound A4.

Compounds C19 and C20 were prepared by the method of Example 12 from compounds C18 and C10 respectively. Compound A6 was prepared by a method analogous to that of Example 12 from Compound A2, substituting isopropylamine for sodium hydride.

Example 13

[2,4-Dichloro-5-(3-difluoromethyl-4,5-dihydro-4-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]acetamide

Step A      Ethyl difluoroacetylcarbamate

During a 30 minute period 65.4 g (0.55 mole) of thionyl chloride was added to 50.0 g (0.52 mole) of difluoroacetic acid while stirring. Gas evolved during the addition was returned to the reaction mixture by condensation on a dry ice condenser. After com-

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plete addition, the mixture was stirred at room temperature for approximately two hours. Urethane, 46.4 g (0.52 mole), was added and, after complete addition, the reaction mixture was heated at 60-70°C for three hours. The mixture was cooled to room temperature and stirred for approximately 18 hours. The mixture was again heated at about 75°C for two hours, then cooled. The mixture was evaporated under reduced pressure to leave an oily residue. This residue crystallized upon standing. The crystallized residue was washed with petroleum ether and filtered to yield 68.4 g of ethyl difluoroacetylcarbamate (mp 55-57°C).

Step B      1-[2,4-Dichloro-5-(1-methylethoxy)phenyl]-  
3-difluoromethyl-4,5-dihydro-1,2,4-triazol-  
5(1H)-one

To a stirred solution of 11.8 g (0.05 mole) of 2,4-dichloro-5-(1-methylethoxy)phenylhydrazine and 10.0 g (0.06 mole) of ethyl difluoroacetylcarbamate in 130 mL of xylene was added 2.5 g (0.02 mole) of phosphorus pentoxide. After complete addition the mixture was heated at reflux for 1.5 hours then allowed to cool to room temperature for approximately 18 hours. The reaction mixture was decanted from a dark residue in the reaction flask and washed with water. The washed mixture was extracted with a 10% aqueous sodium hydroxide solution. The basic extract was made acidic with concentrated hydrochloric acid to form an oily precipitate. This aqueous phase was decanted from the oily residue and fresh water added to wash the residue. This residue was dissolved in 160 mL of methylene chloride and filtered through a celite pad. The filtrate was dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under



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reduced pressure to leave a semi-solid residue. The residue was triturated with approximately 125 mL of petroleum ether to provide 8.2 g of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-3-difluoromethyl-4,5-dihydro-1,2,4-triazol-5(1H)-one.

Step C      1-[2,4-Dichloro-5-(1-methylethoxy)phenyl]-  
             3-difluoromethyl-4,5-dihydro-4-methyl-1,2,4-  
             triazol-5(1H)-one.

A stirred mixture of 4.0 g (0.012 mole) of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-3-difluoromethyl-4,5-dihydro-1,2,4-triazol-5(1H)-one and 4.1 g (0.03 mole) of potassium carbonate in 160 mL of acetone was heated at reflux for 0.5 hours then cooled to about 50°C. Methyl iodide, 8.4 g (0.06 mole), was added and the mixture stirred at 45° for one hour then at reflux for one hour. The mixture was cooled to room temperature and evaporated under reduced pressure to leave an oil. This oil was partitioned between methylene chloride and water. The organic phase was washed with a 10% aqueous sodium hydroxide solution and then dried over anhydrous magnesium sulfate. The mixture was filtered and the filtrate evaporated under reduced pressure to leave an oil. This oil solidified when stirred with petroleum ether and upon filtration provided 2.6 g of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-3-difluoromethyl-4,5-dihydro-4-methyl-1,2,4-triazol-5-(1H)-one (mp 95-97°C).

Step D      1-(2,4-Dichloro-5-hydroxyphenyl)-3-difluoro-  
             methyl-4,5-dihydro-4-methyl-1,2,4-triazol-  
             5(1H)-one

Hydrolysis of 2.2 g (0.0063 mole) of 1-[2,4-dichloro-

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5-(1-methylethoxy)phenyl]-3-difluoromethyl-4,5-dihydro-4-methyl-1,2,4-triazol-5(1H)-one in 5 mL of concentrated sulfuric acid produced 1.4 g of 1-(2,4-dichloro-5-hydroxyphenyl)-3-difluoromethyl-4,5-dihydro-4-methyl-1,2,4-triazol-5(1H)-one (mp 176-179°C).

Step E [2,4-Dichloro-5-(3-difluoromethyl-4,5-dihydro-4-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]acetamide

In a manner similar to Example 1, the reaction of 0.72 g (0.00023 mole) of 1-(2,4-dichloro-5-hydroxyphenyl)-3-difluoromethyl-4,5-dihydro-4-methyl-1,2,4-triazol-5(1H)-one, 0.32 g (0.00023 mole) of potassium carbonate, and 0.47 g (0.00025 mole) of iodoacetamide in 5 mL of acetone produced 0.69 g of [2,4-dichloro-5-(3-difluoromethyl-4,5-dihydro-4-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]acetamide (mp 190-193.5°C).

The nmr spectrum was consistent with the proposed structure.

The following compounds were prepared by the process of S. Chandrasekaran et al., Synthetic Communications, 12(9), 727-731 (1982) from Compound A2 and the following reagents.

<u>Compound</u>	<u>Reagent</u>
B8	tetrahydrofurfuryl alcohol
B9	methyl hydroxyacetate
B10	2-methyl-3,3,4,4-tetrafluoro-2-butanol
B12	furfuryl alcohol
B13	N,N-dimethylethanolamine
B14	3-hydroxytetrahydrofuran
B15	phenol
B17	ethanethiol
B18	ethyl 2-mercaptoacetate
B30	trifluoroethanol
B31	acetone cyanohydrin
B32	benzyl alcohol
B33	2-propanethiol
C11	O,N-dimethylhydroxylamine hydrochloride

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<u>Compound</u>	<u>Reagent</u>
C12	(2-propynyl)amine
C13	aniline
C14	dimethylamine
C15	diethylamine
C16	ethylamine hydrochloride
C17	glycine methyl ester hydrochloride
C22	2-amino-2-methylpropionitrile
C23	N-methylaniline

Compound B25 was prepared by the method of Lonord et al., J. Org. Chem. 27,282-284 (1962) from Compound B23.

Compound A5 was prepared by the hydrolysis of Compound 22 using the procedure described by Kurtev et al., Synthesis (1975), 106-108.

Characterizing properties of some of the compounds of the invention are given in Table 6 below.

Example 14

N-(2,5-dimethoxyphenylsulfonyl)-2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionamide

Step A      2,5-Dimethoxyphenylsulfonamide

To a stirred solution of 15.0 g (0.063 mole) of 2,5-dimethoxybenzenesulfonyl chloride in 150 mL of tetrahydrofuran was added dropwise 80 mL of ammonia (28% aqueous solution). After complete addition the mixture was allowed to stir for 1.75 hours at room temperature. Upon standing the mixture separated into two phases. The organic phase was removed from the aqueous phase and was evaporated under reduced pressure to leave a solid residue. This residue was recrystallized from hot water (125 mL) and ethanol (40 mL) to yield 13.1 g of 2,5-dimethoxyphenylsulfonamide (mp 146.5-148.5).

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The nmr spectrum was consistent with the proposed structure.

Step B      N-(2,5-Dimethoxyphenylsulfonyl)-2-bromo-propionamide

A stirred mixture of 7.0 g (0.032 mole) of 2,5-dimethoxyphenylsulfonamide in 10 mL of 2-bromopropionyl chloride was heated at reflux for 40 minutes then allowed to cool to room temperature. The resultant solution was poured into petroleum ether. Crystals formed after scratching the sides of the flask and were collected by filtration. The filter cake was washed four times with fresh petroleum ether to yield 10.3 g of N-(2,5-dimethoxyphenylsulfonyl)-2-bromopropionamide (mp 116-118°C).

The nmr spectrum was consistent with the proposed structure.

Step C      N-(2,5-Dimethoxyphenylsulfonyl)-2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionamide

To a stirred solution of 0.75 g (0.0026 mole) of 1-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one and 0.89 g (0.0026 mole) of N-(2,5-dimethoxyphenylsulfonyl)-2-bromopropionamide in 50 mL of acetone was added 1.04 g (0.0026 mole) of potassium carbonate. After complete addition the mixture was heated at 45°C for two days. The resultant mixture was cooled and the solvent was removed by evaporation under reduced pressure to leave a residue. This residue was dissolved in 100 mL of water. The aqueous solution was

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acidified by the dropwise addition of concentrated hydrochloric acid producing a precipitate. The precipitate was collected by filtration. The filter cake was washed with water and then dried under reduced pressure to leave 1.23 g of N-(2,5-dimethoxyphenylsulfonyl)-2-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]-propionamide (mp 168-172°C) Compound C90.

The nmr spectrum was consistent with the proposed structure.

#### Example 15

1-(4-Chloro-2-fluoro-5-methoxyphenyl)-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one as an intermediate

Step A      N'-(4-Chloro-2-fluoro-5-methoxyphenyl)-acetamidrazone

A stirred mixture of 1.91 g (0.01 mole) of 4-chloro-2-fluoro-5-methoxyphenylhydrazine and 1.97 g (0.01 mole) of 3-(1-iminoethylmercapto)-1-propanesulfonic acid, inner salt, (prepared by the method of Reid et al, Ann. Chem. 676, 114 (1964)) in 50 mL of anhydrous ethanol was heated at reflux for 1.25 hours. The mixture was cooled and evaporated under reduced pressure to leave 3.96 g of a residue. A portion of this residue, 3.33 g, was dissolved in 50 mL of water. The resultant cloudy solution was filtered through a pad of celite and the filtrate was extracted with methylene chloride. The clarified aqueous solution was basified with approximately 8 mL of an aqueous 10% sodium hydroxide solution. An oil precipitated from the basic mixture and slowly solidified. This solid

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was collected by filtration. The filter cake was washed with water to yield 1.31 g of N'-(4-chloro-2-fluoro-5-methoxyphenyl)acetamidrazone (mp 106-107°C).

The nmr analysis was consistent with the proposed structure.

Analysis calc'd for

$C_9H_{11}ClFN_3O$ : C 46.66, H 4.79, N 18.14

Found: C 46.10, H 4.81, N 17.70

Step B      1-(4-Chloro-2-fluoro-5-methoxyphenyl)-  
             4,5-dihydro-3-methyl-1,2,4-triazol-  
             5(1H)-one

A solution of 1.71 g (0.0086 mole) of trichloromethyl chloroformate in 5 mL of toluene was added dropwise to a stirred solution of 1.0 g (0.0043 mole) of N'-(4-chloro-2-fluoro-5-methoxyphenyl)acetamidrazone in 50 mL of toluene. After complete addition the mixture was stirred at room temperature for five minutes then was heated slowly until a slow reflux was obtained. Reflux was maintained for approximately 15 minutes. The mixture was cooled and evaporated under reduced pressure to leave 1.22 g of a solid. Approximately 1.0 g of this solid was dissolved in 100 mL of methylene chloride. The resultant solution was filtered and the filtrate was extracted with three 25 mL portions of an aqueous 10% sodium hydroxide solution followed by three 25 mL portions of 1N sodium hydroxide. Each set of three similar extracts were combined and washed with methylene chloride. Both of the washed extracts were acidified with concentrated hydrochloric acid producing a precipitate from each. The solids were collected by filtration to provide 0.3 g and 0.12 g, from the 10% and 1N base solutions respectively, of 1-(4-chloro-2-fluoro-5-methoxy-

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phenyl)-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one  
(mp 209-211°C).

The nmr spectrum was consistent with the proposed structure.

#### Example 16

1-(2,4-Dichloro-5-hydroxyphenyl)-3-chloro-  
difluoromethyl-4,5-dihydro-4-methyl-1,2,4-  
triazol-5(1H)-one as an intermediate

Step A      N'-[2,4-Dichloro-5-(1-methylethoxy)phenyl]-  
chlorodifluoroacetamidrazone

A stirred solution of 9.4 g (0.04 mole) of 2,4-dichloro-5-(1-methylethoxy)phenylhydrazine in 350 mL of absolute methanol was cooled to 0°. Gaseous chlorodifluoroacetonitrile (8.0 g, 0.07 mole) was added to the mixture. After complete addition the mixture was allowed to warm to room temperature and stir for 3.5 hours. The stirring was stopped and the mixture stood at room temperature for two days. The solvent was evaporated from the mixture under reduced pressure to leave 13.86 g of N'-[2,4-dichloro-5-(1-methylethoxy)phenyl]chlorodifluoroacetamidrazone as an oily residue.

Step B      1-[2,4-Dichloro-5-(1-methylethoxy)phenyl]-  
3-chlorodifluoromethyl-4,5-dihydro-1,2,4-  
triazol-5(1H)-one

In a manner similar to Example 15, Step B, the reaction of 13.86 g of the oily residue from Step A plus 2.7 g of similar material prepared in a separate experiment and 19.87 g (0.1 mole) of trichloromethyl



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chloroformate in 600 mL of toluene produced 5.4 g of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-3-chlorodifluoromethyl-4,5-dihydro-1,2,4-triazol-5(1H)-one (mp 115-119°C).

The nmr spectrum was consistent with the proposed structure.

Step C      1-[2,4-Dichloro-5-(1-methylethoxy)phenyl]-  
             3-chlorodifluoromethyl-4,5-dihydro-4-methyl-  
             1,2,4-triazol-5(1H)-one

In a manner similar to Example 13, Step C, the reaction of 4.0 g (0.011 mole) of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-3-chlorodifluoromethyl-4,5-dihydro-1,2,4-triazol-5(1H)-one, 4.26 g (0.03 mole) of methyl iodide, and 2.07 g (0.015 mole) of potassium carbonate in 40 mL of acetone provided 3.74 g of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-3-chlorodifluoromethyl-4,5-dihydro-4-methyl-1,2,4-triazol-5(1H)-one as a solid. Recrystallization of a small portion of this solid from ethanol and water provided a pale yellow solid, mp 69-72°C.

The nmr spectrum was consistent with the proposed structure.

Analysis calc'd for

$C_{13}H_{12}Cl_3F_2N_3O_2$ : C 40.39, H 3.13, N 10.87  
Found: C 40.92, H 3.28, N 10.96.

Step D      1-(2,4-Dichloro-5-hydroxyphenyl)-3-  
             chlorodifluoromethyl-4,5-dihydro-4-  
             methyl-1,2,4-triazol-5(1H)-one

Hydrolysis of 2.6 g (0.0067 mole) of 1-[2,4-dichloro-5-(1-methylethoxy)phenyl]-3-chlorodifluoromethyl-4,5-



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dihydro-4-methyl-1,2,4-triazol-5(1H)-one in 10 mL of concentrated sulfuric acid produced 2.17 g of 1-(2,4-dichloro-5-hydroxyphenyl)-3-chlorodifluoromethyl-4,5-dihydro-4-methyl-1,2,4-triazol-5(1H)-one as a solid (mp 146-148°C).

The nmr spectrum was consistent with the proposed structure.

Analysis calc'd for

$C_{10}H_6Cl_3F_2N_3O_2$ : C 34.86, H 1.76, N 12.20

Found: C 35.30, H 1.59, N 12.25

#### HERBICIDAL ACTIVITY

The plant test species used in demonstrating the herbicidal activity of compounds of this invention include cotton (Gossypium hirsutum var. Stoneville), soybean (Glycine max var. Williams), field corn (Zea mays var. Agway 595S), wheat (Triticum aestivum var. Prodax), rice (Oryza sativa), field bindweed (Convolvulus arvensis), morningglory (Ipomea lacunosa or Ipomea hederacea, velvetleaf (Abutilon theophrasti), barnyardgrass (Echinochloa crus galli), green foxtail (Setaria viridis), and johnsongrass (Sorghum halepense), yellow nutsedge (Cyperus esculentus).

Seeds or tubers of the plant test species were planted in furrows in steam sterilized sandy loam soil contained in disposable fiber flats. A topping soil of equal portions of sand and sandy loam soil was placed uniformly on top of each flat to a depth of approximately 0.5 cm.

The flats for the preemergence test were watered, then drenched with the appropriate amount of a solution of the test compound in a mixture of acetone and water containing a small amount (up to 0.5% v/v) of sorbitan monolaurate emulsifier/solubilizer. The concentration of the test compound in solution was varied to give a range of application rates, generally

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8.0 kg/ha and submultiples thereof. The flats were placed in a greenhouse and watered regularly at the soil surface for 21 days at which time phytotoxicity data were recorded.

The flats for the postemergence test were placed in a greenhouse and watered for 8-10 days, then the foilage of the emerged test plants was sprayed with a solution of the test compound in acetone-water containing up to 0.5% sorbitan monolaurate. After spraying, the foilage was kept dry for 24 hours, then watered regularly for 21 days, and phytotoxicity data recorded.

Phytotoxicity data were taken either as percent kill or percent control. Percent control was determined by a method similar to the 0 to 100 rating system disclosed in "Research Methods in Weed Science," 2nd ed., B. Truelove, Ed.; Southern Weed Science Society; Auburn University, Auburn, Alabama, 1977. The present rating system is as follows:

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Herbicide Rating System

<u>Rating Percent Control</u>	<u>Description of Main Categories</u>	<u>Crop Description</u>	<u>Weed Description</u>
0	No effect	No crop reduction or injury	No weed control
10		Slight dis- coloration or stunting	Very poor weed control
20	Slight effect	Some dis- coloration, stunting or stand loss	Poor weed control
30		Crop injury more pronounced but not lasting	Poor to defi- cient weed control
40		Moderate injury, crop usually recovers	Deficient weed control
50	Moderate effect	Crop injury more lasting, recovery	Deficient to moderate weed control
60		Lasting crop injury no recovery	Moderate weed control
70		Heavy injury and stand loss	Control some- what less than satisfactory
80	Severe	Crop nearly des- troyed a few survivors	Satisfactory to good weed control
90		Only occasional live plants left	Very good to excellent control
100	Complete effect	Complete crop destruction	Complete weed destruction

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Herbicidal data at selected application rates are given for various compounds of the invention in the tables below. The test compounds are identified in the tables of herbicidal data below by numbers which correspond to those used above.

In the Tables of herbicidal data below:

"kg/ha" is kilograms per hectare,

"% K" is percent kill, and

"% C" is percent control.

For herbicidal application, the active compounds as above defined are formulated into herbicidal compositions by admixture in herbicidally effective amounts with adjuvants and carriers normally employed in the art for facilitating the dispersion of active ingredients for the particular utility desired, recognizing the fact that the formulation and mode of application of a toxicant may affect the activity of the material in a given application. Thus, for agricultural use the present herbicidal compounds may be formulated as granules of relatively large particle size, water-soluble or water-dispersible granules, as powdery dusts, as wettable powders, as emulsifiable concentrates, as solutions or as any of several other known types of formulations, depending on the desired mode of application.

For preemergence application these herbicidal compositions are usually applied either as sprays, dusts, or granules to the areas in which suppression of vegetation is desired. For postemergence control of established plant growth, sprays or dusts are most commonly used. These formulations may contain as little as 0.5% to as much as 95% or more by weight of active ingredient.

Dusts are free flowing admixtures of the active ingredient with finely divided solids such as talc,

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natural clays, kieselguhr, flours such as walnut shell and cottonseed flours, and other organic and inorganic solids which act as dispersants and carriers for the toxicant; these finely divided solids have an average particle size of less than about 50 microns. A typical dust formulation useful herein is one containing 1.0 part of the herbicidal compound and 99.0 parts of talc.

Wettable powders, also useful formulations for both pre- and postemergence herbicides, are in the form of finely divided particles which disperse readily in water or other dispersant. The wettable powder is ultimately applied to the soil either as a dry dust or as an emulsion in water or other liquid. Typical carriers for wettable powders include Fuller's earth, kaolin clays, silicas, and other highly absorbent, readily wet inorganic diluents. Wettable powders normally are prepared to contain about 5-80% of active ingredient, depending on the absorbency of the carrier, and usually also contain a small amount of a wetting, dispersing or emulsifying agent to facilitate dispersion. For example, a useful wettable powder formulation contains 80.8 parts of the herbicidal compound, 17.9 parts of Palmetto clay, and 1.0 part of sodium lignosulfonate and 0.3 part of sulfonated aliphatic polyester as wetting agents. Frequently, additional wetting agent and/or oil will be added to the tank-mix for postemergence application to facilitate dispersion on the foliage and absorption by the plant.

Other useful formulations for herbicidal applications are emulsifiable concentrates. Emulsifiable concentrates are homogeneous liquid or paste compositions dispersible in water or other dispersant, and may consist entirely of the herbicidal compound and a

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liquid or solid emulsifying agent, or may also contain a liquid carrier, such as xylene, heavy aromatic naphthas, isophorone, or other non-volatile organic solvent. For herbicidal application these concentrates are dispersed in water or other liquid carrier, and normally applied as a spray to the area to be treated. The percentage by weight of the essential active ingredient may vary according to the manner in which the composition is to be applied, but in general comprises 0.5 to 95% of active ingredient by weight of the herbicidal composition.

Typical wetting, dispersing or emulsifying agents used in agricultural formulations include, for example, the alkyl and alkylaryl sulfonates and sulfates and their sodium salts; polyhydric alcohols; and other types of surface active agents, many of which are available in commerce. The surface active agent, when used, normally comprises 1% to 15% by weight of the herbicidal composition.

Other useful formulations for herbicidal applications include simple solutions of the active ingredient in a dispersant in which it is completely soluble at the desired concentration, such as acetone, alkylated naphthalenes, xylene or other organic solvents. Granular formulations, wherein the toxicant is carried on relatively coarse particles, are of particular utility for aerial distribution or for penetration of cover crop canopy. Pressurized sprays, typically aerosols wherein the active ingredient is dispersed in finely divided form as a result of vaporization of a low boiling dispersant solvent carrier, such as the Freons, may also be used. Water-soluble or water-dispersible granules are also useful formulations for herbicidal application of the present compounds. Such granular formulations are free-flowing,

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non-dusty, and readily water-soluble or water-miscible. The soluble or dispersible granular formulations described in U.S. patent No. 3,920,442, incorporated herein by reference, are useful herein with the present herbicidal compounds.

The active herbicidal compounds of this invention may be formulated and/or applied with insecticides, fungicides, nematocides, plant growth regulators, fertilizers, or other agricultural chemicals and may be used as effective soil sterilants as well as selective herbicides in agriculture. In applying an active compound of this invention, whether formulated alone or with other agricultural chemicals, an effective amount and concentration of the active compound is of course employed; the amount may be as low as, for example, 7 g/ha or lower.

The active herbicidal compounds of this invention may be used in combination with other herbicides, e.g. they may be mixed with, say, an equal or larger amount of a known herbicide such as chloroacetanilide herbicides such as 2-chloro-N-(2,6-diethylphenyl)-N-(methoxymethyl)acetamide (alachlor), 2-chloro-N-(2-ethyl-6-methylphenyl)-N-(2-methoxy-1-methylethyl)-acetamide (metolachlor), and N-chloroacetyl-N-(2,6-diethylphenyl)glycine (diethatyl-ethyl); benzothiadiazinone herbicides such as 3-(1-methylethyl)-(1H)-2,1,3-benzothiadiazin-4-(3H)-one-2,2-dioxide (bentazon); triazine herbicides such as 6-chloro-N-ethyl-N-(1-methylethyl)-1,3,5-triazine-2,4-diamine (atrazine), and 2-[4-chloro-6-(ethylamino)-1,3,5-triazin-2-yl]-amino-2-methylpropanenitrile (cyanazine); dinitrolaniline herbicides such as 2,6-dinitro-N,N-dipropyl-4-(trifluoromethyl)benzeneamine (trifluralin); and aryl urea herbicides such as N'-(3,4-di-



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chlorophenyl)-N,N-dimethylurea (diuron) and N,N-dimethyl-N'-[3-(trifluoromethyl)phenyl]urea (flumeturon).

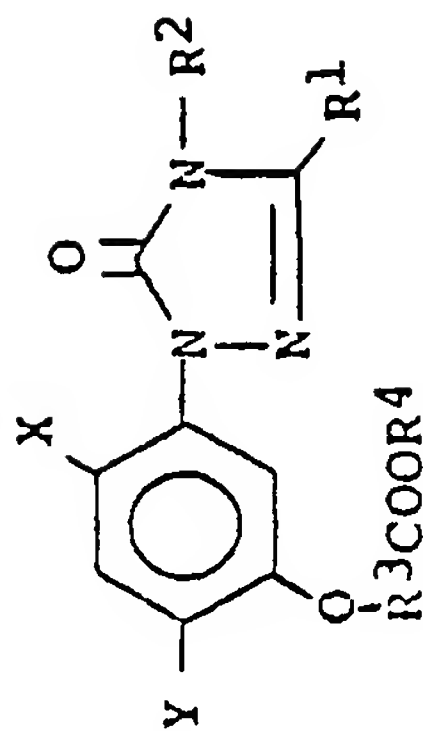
It is apparent that various modifications may be made in the formulation and application of the compounds of this invention, without departing from the inventive concepts herein, as defined in the following claims.

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TABLE 1

<u>PLANT</u>	Percent Control			
	<u>Pre-Emergent</u>		<u>Post-Emergent</u>	
	<u>A</u>	<u>B</u>	<u>A</u>	<u>B</u>
Cotton	50	0	90	40
Soybean	10	0	0	10
Corn	0	0	0	0
Rice	50	20	40	0
Wheat	30	0	40	20
Field Bindweed	60	0	40	0
Morningglory	20	0	60	10
Velvetleaf	100	0	100	0
Barnyardgrass	70	0	90	0
Green foxtail	100	70	90	0
Johnsongrass	40	0	10	0
Yellow nutsedge	30	0	10	0

TABLE 2



Cmpd. No.	X		Y		R <sup>1</sup>		R <sup>2</sup>		R <sup>3</sup>		R <sup>4</sup>	
1	Cl		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH <sub>2</sub>		CH <sub>3</sub>	
2	Cl		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		CH <sub>3</sub>	
3	F		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		CH <sub>3</sub>	
4	Cl		CH <sub>3</sub>		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		CH <sub>3</sub>	
5	Cl		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		C <sub>2</sub> H <sub>5</sub>	
6	F		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		C <sub>2</sub> H <sub>5</sub>	
7	Cl		CH <sub>3</sub>		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		C <sub>2</sub> H <sub>5</sub>	
8	Br		Br		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		n-C <sub>2</sub> H <sub>5</sub>	
9	Cl		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		C <sub>3</sub> H <sub>7</sub>	
10	Cl		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		CH(CH <sub>3</sub> ) <sub>2</sub>	
11	Cl		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		C <sub>4</sub> H <sub>9</sub>	
12	Cl		Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>	
13	Cl		Cl		CH <sub>3</sub>		CH <sub>2</sub> F		CH(CH <sub>3</sub> )		C <sub>2</sub> H <sub>5</sub>	

TABLE 2 (Continued)

Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
14	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	CH <sub>3</sub>
15	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	n-C <sub>3</sub> H <sub>7</sub>
16	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH(CH <sub>3</sub> ) <sub>2</sub>
17	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>
18	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>
19	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C(CH <sub>3</sub> ) <sub>3</sub>
20	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	C(CH <sub>3</sub> ) <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>
21	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	C(CH <sub>3</sub> ) <sub>3</sub>
22	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	C(CH <sub>3</sub> ) <sub>3</sub>
23	Cl	CH <sub>2</sub> F	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
24	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>
25	F	F	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
26	Cl	F	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
27	Cl	CH <sub>2</sub> F	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
28	F	CH <sub>2</sub> F	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
29	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
30	Cl	CH <sub>2</sub> OCH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
31	Cl	CH <sub>2</sub> SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	CH <sub>3</sub>

TABLE 2 (Continued)



Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
32	F	CH <sub>2</sub> SCH <sub>2</sub> CH=CH <sub>2</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
33	F	CH <sub>2</sub> OCH <sub>2</sub> CH=CH	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
34	F	Cl	Cl	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
35	F	Cl	C <sub>2</sub> H <sub>5</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
36	F	Cl	CH <sub>2</sub> CN	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
37	F	Cl	CH <sub>2</sub> SCH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
38	F	Cl	CHF <sub>2</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
39	F	Cl	CF <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>
						CH(CH <sub>3</sub> ) <sub>2</sub>
40	F	Cl	 CH <sub>2</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>
41	F	Cl	SCH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>
42	F	Cl	SO <sub>2</sub> CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>
43	F	Cl	CH <sub>2</sub> SO <sub>2</sub> CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
44	F	Cl	CH <sub>3</sub>	CH <sub>2</sub> F	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>
45	F	CH <sub>2</sub> SOCH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>
46	F	CH <sub>2</sub> SCH <sub>2</sub> CH=CH	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>
47	F	CH <sub>2</sub> OCH <sub>2</sub> CH=CHCH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>
48	F	CH <sub>2</sub> SCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	CH <sub>3</sub>
49	CF <sub>3</sub>	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>

TABLE 2 (Continued)

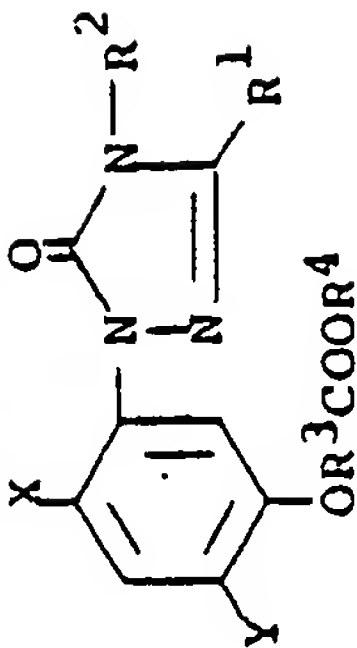
Cmpd. No.	X	Y 	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
50	F	CH <sub>2</sub> O	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
51	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CHF	C <sub>2</sub> H <sub>5</sub>
52	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	C(CH <sub>3</sub> ) <sub>3</sub>
53	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>
54	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	n-C <sub>3</sub> H <sub>7</sub>
55	F	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
56	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
57	Br	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
58	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH(CH <sub>3</sub> ) <sub>2</sub>
59	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>
60	Cl	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
61	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	n-C <sub>3</sub> H <sub>7</sub>
62	F	CF <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
63	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C(CH <sub>3</sub> ) <sub>3</sub>
64	Cl	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>
65	Br	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>

Other representative compounds are those which are identical with compounds 1-54, 57-60, 62, and 64-65 respectively, except that X is F and Y is Br. Still other representative compounds are those which are identical with compounds 1-61, and 63-65 respectively, except that X is F and Y is CF<sub>3</sub>.

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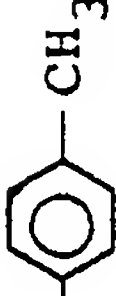
TABLE 3



Cmpd. No.	X		Y	R <sup>1</sup>		R <sup>2</sup>		R <sup>3</sup>		R <sup>4</sup>	
A1	Cl	Cl	Cl	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	
A2	F	F	Cl	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	
A3	Cl	Cl	CH <sub>3</sub>	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	
A4	F	F	Cl	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		Na	
A5	F	F	Cl	CH <sub>3</sub>		CHF <sub>2</sub>		CH <sub>2</sub>		H	
A6	F	F	Cl	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		NH <sub>3</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
A7	F	F	CH <sub>3</sub>	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	
A8	F	F	CH <sub>3</sub>	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		K	
A9	Cl	Cl	CH <sub>2</sub> F	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	
A10	Cl	Cl	CH <sub>2</sub> F	CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		NH <sub>4</sub>	
A11	F	F	Cl	CHF <sub>2</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	
A12	Br	Br	Cl	CH <sub>2</sub> CN		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	
A13	F	F	Cl	CH <sub>2</sub> SCH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		H	



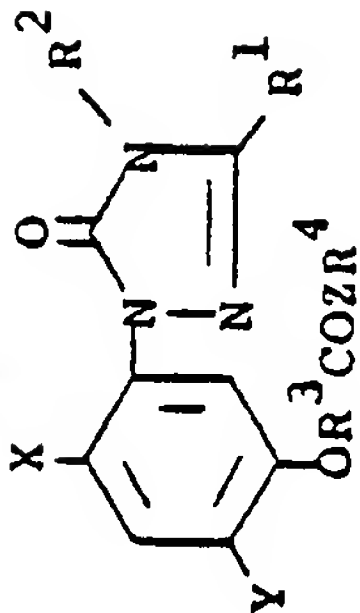
TABLE 3 (Continued)

Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
A14	F	Cl	CF <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A15	F	CH <sub>2</sub> OCH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A16	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A17	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	Na
A18	F	CH <sub>2</sub> SCH <sub>2</sub> CH=CH <sub>2</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A19	F	CH <sub>2</sub> SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	HN(CH <sub>3</sub> ) <sub>3</sub>
A20	F	CH <sub>2</sub> O- 	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A21	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H
A22	F	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H
A23	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A24	Br	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A25	Br	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H
A26	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	Na
A27	Cl	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H
A28	Cl	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H
A29	Br	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H

Other representative compounds are those which are identical with compounds A1-A21, and A24-A29 respectively, except that X is F and Y is Br. Still other representative compounds are those which are identical with compounds A1-A29 respectively, except that X is F and Y is CF<sub>3</sub>.

0830W30025Wmd

TABLE 4



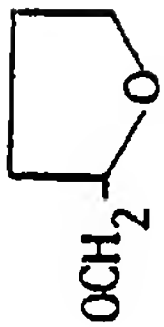
Cmpd. No.	X		Y		R <sup>1</sup>		R <sup>2</sup>		R <sup>3</sup>		Z R <sup>4</sup>	
B1	Cl	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> CH(NO <sub>2</sub> )CH <sub>3</sub>	
B2	Cl	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> CH=CH <sub>2</sub>	
B3	Cl	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> C≡CH	
B4	F	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> C≡CH	
B5	Cl	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	
B6	F	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH(CH <sub>3</sub> )C≡CH	
B7	F	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OC(CH <sub>3</sub> ) <sub>2</sub> C≡CH	
B8	F	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )			
B9	F	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	
B10	F	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OC(CH <sub>3</sub> ) <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>	
B11	F	Cl	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> CN	

TABLE 4 (Continued)

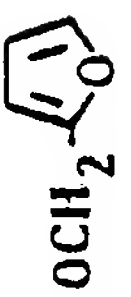


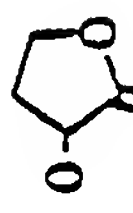
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	ZR <sup>4</sup>
B12	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B13	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CH <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>
B14	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B15	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B16	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B17	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SC <sub>2</sub> H <sub>5</sub>
B18	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SCH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>
B19	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CHF	SC <sub>2</sub> H <sub>5</sub>
B20	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CH <sub>2</sub> N(CH <sub>3</sub> ) <sub>3</sub> Cl
B21	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CO N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
B22	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> OCH <sub>3</sub>
B23	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SCH <sub>3</sub>

TABLE 4 (Continued)






Cmpd. No.	X		Y	R <sup>1</sup>		R <sup>2</sup>		R <sup>3</sup>		Z R <sup>4</sup>	
B24	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> S(O)CH <sub>3</sub>	
B25	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> SO <sub>2</sub> CH <sub>3</sub>	
B26	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )			
B27	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )			
B28	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )			
B29	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )			
B30	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OCH <sub>2</sub> CF <sub>3</sub>	
B31	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		OC(CH <sub>3</sub> ) <sub>2</sub> CN	
B32	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )			
B33	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>		CH(CH <sub>3</sub> )		SCH(CH <sub>3</sub> ) <sub>2</sub>	

TABLE 4 (Continued)





Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	ZR <sup>4</sup>
B34	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B35	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B36	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SCH <sub>2</sub> CH=CH <sub>2</sub>
B37	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SC <sub>2</sub> H <sub>5</sub>
B38	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SCCH <sub>3</sub>
B39	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> S(O)CH <sub>3</sub>
B40	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SO <sub>2</sub> CH <sub>3</sub>
B41	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CN
B42	F	CH <sub>2</sub> F	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B43	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	

TABLE 4 (Continued)





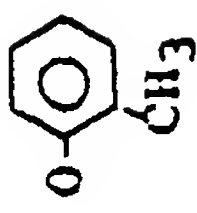
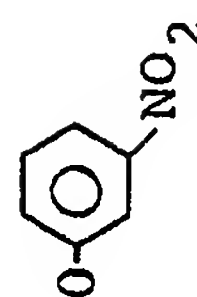
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	ZR <sup>4</sup>
B44	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B45	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SCH <sub>3</sub>
B46	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SC <sub>2</sub> H <sub>5</sub>
B47	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CONH <sub>2</sub>
B48	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B49	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B50	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B51	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B52	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	

TABLE 4 (Continued)


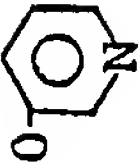

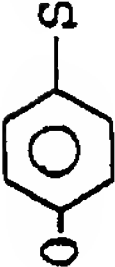
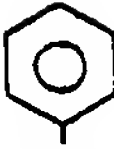
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	ZR <sup>4</sup>
B53	F	CH <sub>2</sub> OCH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> OCH <sub>3</sub>
B54	F	CH <sub>2</sub> SCH <sub>2</sub> CH=CH <sub>2</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B55	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B56	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	O(CH <sub>2</sub> ) <sub>2</sub> O- 
B57	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH(OCOCH <sub>3</sub> )CH <sub>3</sub>
B58	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	O-  -SCH <sub>3</sub>
B59	Cl	Cl	CH <sub>3</sub>	CH <sub>2</sub> F	CH <sub>2</sub>	OCH <sub>2</sub> C(Cl)=CHCl
B60	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	OCH <sub>2</sub> S- 

TABLE 4 (Continued)


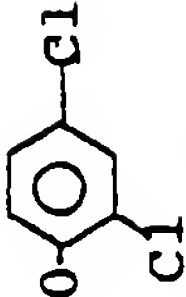
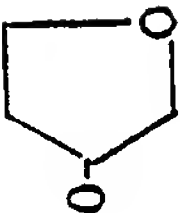
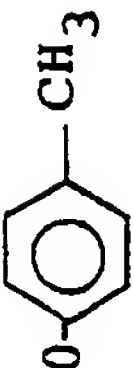

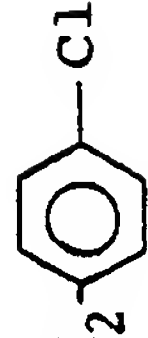

Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	ZR <sup>4</sup>
B61	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	
B62	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B63	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SCH <sub>3</sub>
B64	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	OC(CH <sub>3</sub> ) <sub>2</sub> C≡CH
B65	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	SCH(CH <sub>3</sub> ) <sub>2</sub>
B66	F	Cl	CF <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	OCH <sub>2</sub> CN
B67	F	Cl	CF <sub>2</sub> Cl	CH <sub>3</sub>	CH(CH <sub>3</sub> )	
B68	F	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub> CN	CH <sub>2</sub>	OCH <sub>2</sub> OCH <sub>3</sub>
B69	F	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub> SCN	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CH <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>
B70	F	Cl	CF <sub>3</sub>	CH <sub>2</sub> CH=CH <sub>2</sub>	CH <sub>2</sub>	
B71	F	Cl	CHF <sub>2</sub>	CH <sub>2</sub> OCH <sub>3</sub>	CH <sub>2</sub>	OCH <sub>2</sub> OCH <sub>3</sub>



TABLE 4 (Continued)

Cmpd. No.	X		Y	R <sup>1</sup>		R <sup>2</sup>	R <sup>3</sup>	Z R <sup>4</sup>	
B72	F	Cl		CHF <sub>2</sub>		CH <sub>2</sub> SO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CH=CH <sub>2</sub>	
B73	F	Cl		CHF <sub>2</sub>		CH <sub>2</sub> CH <sub>3</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> C≡CH	
B74	F	Cl		CF <sub>2</sub> CF <sub>3</sub>		CH <sub>3</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> OCH <sub>3</sub>	
B75	Cl	Cl		CF <sub>2</sub> CF <sub>3</sub>		CH <sub>2</sub> CH=CH <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CN	
B76	F	Cl		CHF <sub>2</sub>		CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SCH <sub>3</sub>	
B77	F	CH <sub>2</sub> SCH <sub>3</sub>		CHF <sub>2</sub>		CH <sub>3</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CH=CH <sub>2</sub>	
B78	F	Cl		CHF <sub>2</sub>		CH <sub>3</sub>	CH(CH <sub>3</sub> )	OCH(CH <sub>3</sub> )CONH <sub>2</sub>	
B79	F	Cl		Cl		CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> CN	
B80	F	Cl		SCH <sub>3</sub>		ClF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SCH <sub>3</sub>	
B81	F	Cl		CH <sub>2</sub> CN		ClF <sub>2</sub>	CH <sub>2</sub>	OCH <sub>2</sub> CH=CH <sub>2</sub>	
B82	F	CH <sub>2</sub> O- 		CH <sub>3</sub>		CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> SCH <sub>3</sub>	
B83	F	Cl		CHF <sub>2</sub>		CH <sub>3</sub>	CH(CH <sub>3</sub> )	SCH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	
B84	F	Cl		CH <sub>3</sub>		CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> - 	
B85	F	Cl		CH <sub>3</sub>		ClF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>2</sub> - 	

1 68 1

TABLE 4 (Continued)

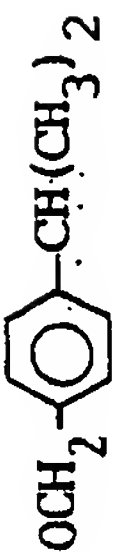
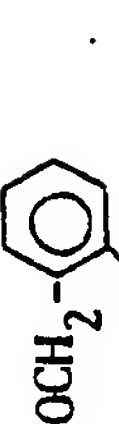
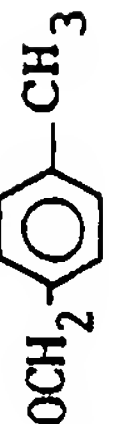




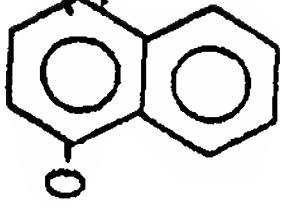
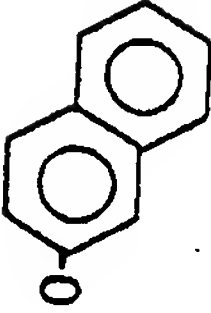
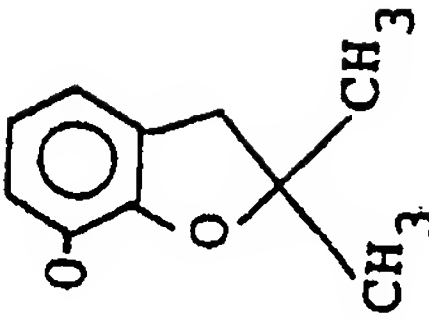
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	ZR <sup>4</sup>
B86	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B87	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B88	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B89	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B90	Br	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B91	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OC(CH <sub>3</sub> ) <sub>2</sub> C≡CH
B92	Cl	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	
B93	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	

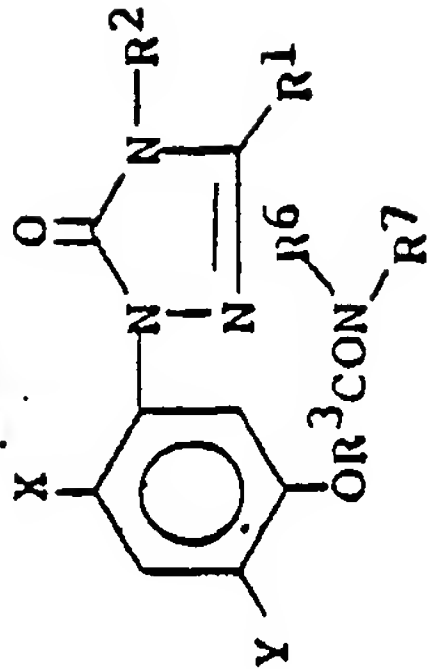
TABLE 4 (Continued)

Compd. No.		X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	ZR <sup>4</sup>
B94	F	Cl		CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B95	F	Cl		CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	
B96	F	Cl		CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	

Other representative compounds are those which are identical with compounds B1-B88, B-90, and B92-B96 respectively, except that X is F and Y is Br. Still other representative compounds are those which are identical with compounds B1-B96 respectively, except that X is F and Y is CF<sub>3</sub>.

0830W30025Wmd

TABLE 5



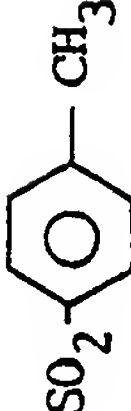
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C1	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	H	H
C2	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	H
C3	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CH <sub>3</sub>	H
C4	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CF <sub>3</sub>	H
C5	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C6	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	H
C7	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	H	H
C8	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>
C9	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>	H
C10	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> - 	H
C11	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	OCH <sub>3</sub>	CH <sub>3</sub>

TABLE 5 (Continued)

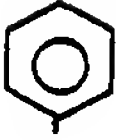

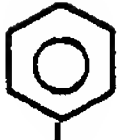
Cmpd. No.	X	Y	$\frac{R^1}{CH_3}$	$\frac{R^2}{CHF_2}$	$\frac{R^3}{CH(CH_3)}$	$\frac{R^6}{CH_2C\equiv CH}$	$\frac{R^7}{H}$
C12	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$CH_2C\equiv CH$	H
C13	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$		H
C14	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$CH_3$	$CH_3$
C15	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$C_2H_5$	$C_2H_5$
C16	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$C_2H_5$	H
C17	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$CH_2CO_2CH_3$	H
C18	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$SO_2CH_3$	H
C19	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$SO_2CH_3$	Na
C20	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$SO_2$ - 	Na
C21	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$C(CH_3)_2C\equiv CH$	H
C22	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$	$C(CH_3)_2CN$	H
C23	F	Cl	$CH_3$	$CHF_2$	$CH(CH_3)$		$CH_3$
C24	Cl	Cl	$CHF_2$	$CH_3$	$CH_2$	H	H

TABLE 5 (Continued)

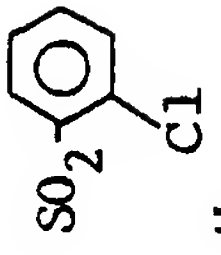
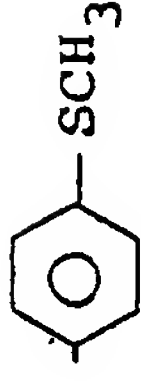
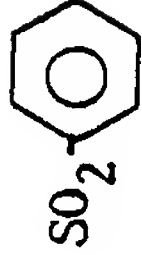


Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C25	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C26	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C27	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CF <sub>3</sub>	H
C28	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CF <sub>3</sub>	Na
C29	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C30	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>
C31	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		CH <sub>3</sub>
C32	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C33	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	SO <sub>2</sub> CH <sub>3</sub>	H
C34	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H
C35	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	NHCH <sub>3</sub>	H

TABLE 5 (Continued)

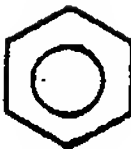
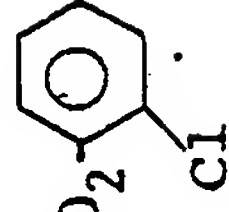
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C36	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CH <sub>3</sub>	H
C37	F	CH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	SO <sub>2</sub> CH <sub>3</sub>	H
C38	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	
C39	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	-CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> -	
C40	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	H
C41	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> NHCH(CH <sub>3</sub> ) <sub>2</sub>	H
C42	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CH=CH- 	H
C43	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> - 	H
C44	F	Cl	CF <sub>2</sub> Cl	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H	CH <sub>3</sub>
C45	F	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CH <sub>3</sub>	H
C46	F	CH <sub>2</sub> SCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>
C47	F	Cl	CHF <sub>2</sub>	CH <sub>2</sub> CN	CH(CH <sub>3</sub> )	H	H
C48	F	Cl	CHF <sub>2</sub>	CH <sub>2</sub> SCN	CH(CH <sub>3</sub> )	H	CH(CH <sub>3</sub> ) <sub>2</sub>

TABLE 5 (Continued)

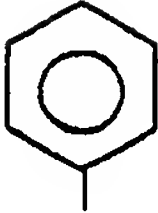


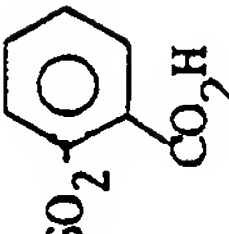
Cmpd. No.	X	Y	$\frac{R^1}{-}$	$\frac{R^2}{-}$	$\frac{R^3}{-}$	$\frac{R^6}{-}$	$\frac{R^7}{-}$
C49	F	Cl	CF <sub>3</sub>	CH <sub>2</sub> OCH <sub>3</sub>	CH <sub>2</sub>	CH <sub>2</sub> CH=CH <sub>2</sub>	H
C50	F	Cl	CF <sub>3</sub>	CH <sub>2</sub> CH=CH <sub>2</sub>	CH(CH <sub>3</sub> )		H
C51	F	Cl	CHF <sub>2</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C52	Cl	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH <sub>2</sub>		H
C53	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	H
C54	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> - 	H
C55	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	SO <sub>2</sub> CH <sub>2</sub> CH=CH <sub>2</sub>	H
C56	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	SO <sub>2</sub> CH <sub>2</sub> CH=CH <sub>2</sub>	Na
C57	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	
C58	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> - 	H



TABLE 5 (Continued)

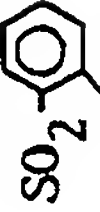
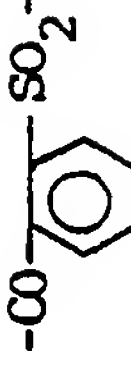

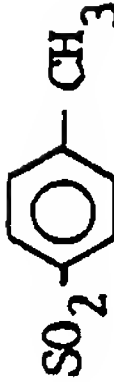
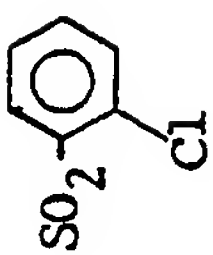
Cmpd. No.	X	Y	$\frac{R^1}{-}$	$\frac{R^2}{-}$	$\frac{R^3}{-}$	$\frac{R^6}{-}$	$\frac{R^7}{-}$
C59	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C60	Cl	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	H
C61	F	Cl	CHF <sub>2</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C62	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		
C63	CF <sub>3</sub>	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C64	F	Cl	CH <sub>2</sub> CN	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C65	F	Cl	CH <sub>2</sub> SCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>	CH <sub>3</sub>	H
C66	F	Cl	SCH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	CH <sub>3</sub>
C67	F	Cl	Cl	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C68	F		CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C69	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H
C70	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H

TABLE 5 (Continued)

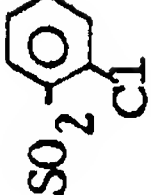
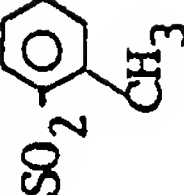

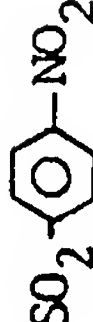
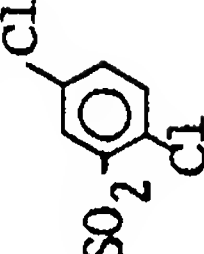
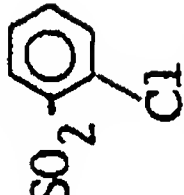

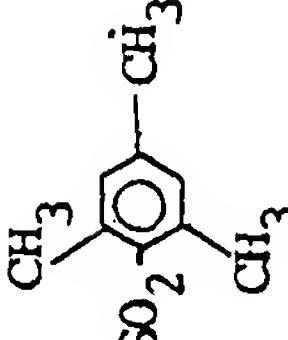
Compd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C71	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C72	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C73	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C74	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C75	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C76	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C77	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C78	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

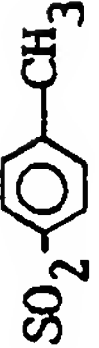
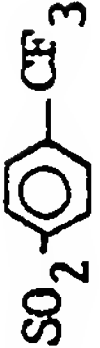



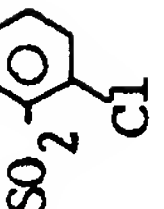
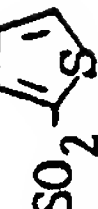

Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C79	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		CH <sub>3</sub>
C80	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C81	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C82	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C83	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C84	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		CH <sub>3</sub>
C85	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C86	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		

TABLE 5 (Continued)


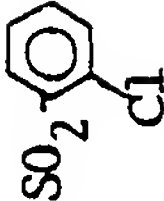
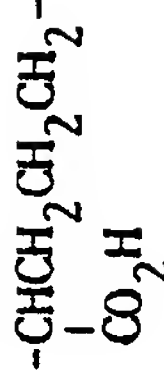
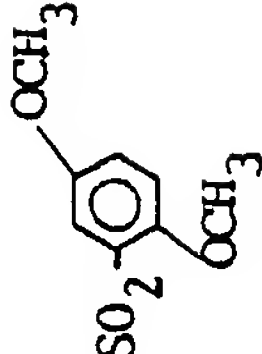
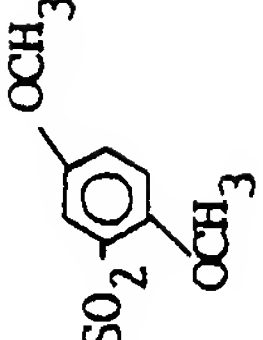
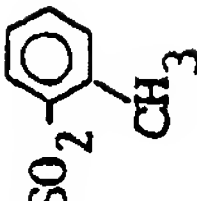
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C87	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C88	F	Cl	CH <sub>3</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C89	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C90	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C91	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C92	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na

TABLE 5 (Continued)

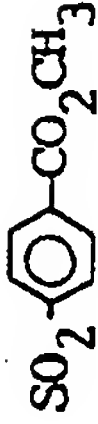
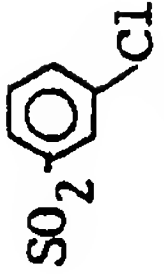

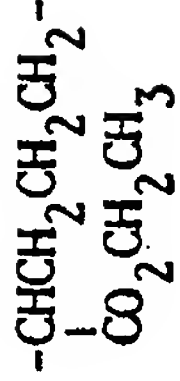
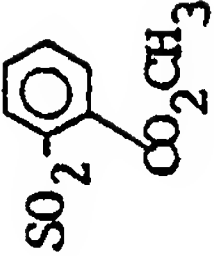
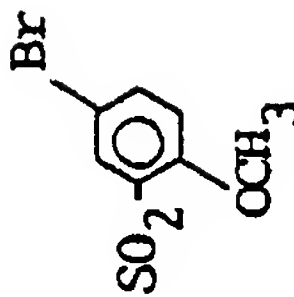
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C93	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C94	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C95	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C96	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -	
C97	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		
C98	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C99	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

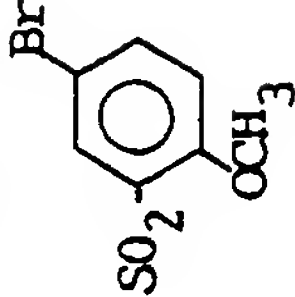
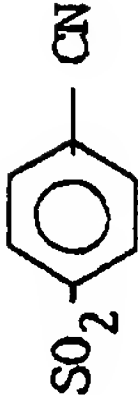



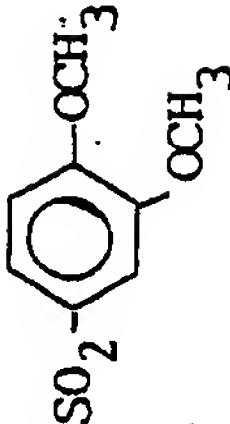
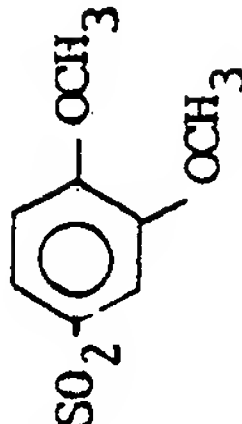
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C100	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C101	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C102	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		
C103	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		
C104	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		
C105	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C106	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na

TABLE 5 (Continued)

Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C107	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C108	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		
C109	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C110	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C111	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C112	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H
C113	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C114	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na

TABLE 5 (Continued)

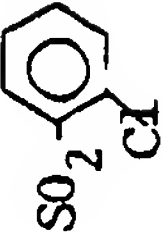
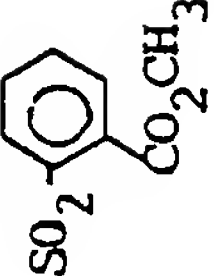
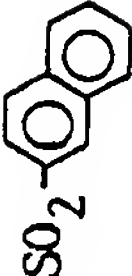
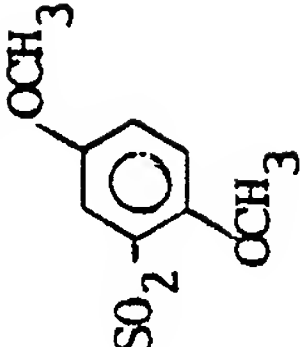
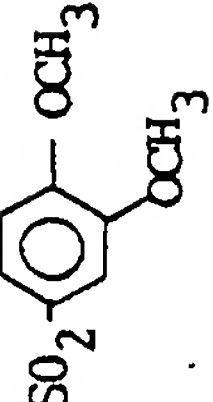
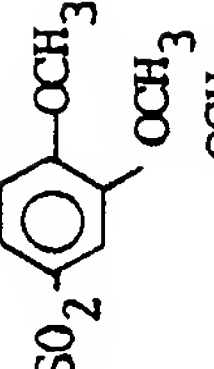
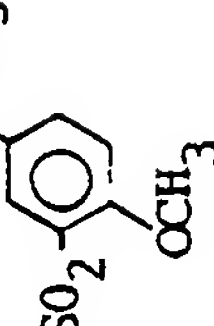
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C115	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C116	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H
C117	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C118	Cl	Cl	CClF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C119	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H
C120	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H
C121	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		Na



TABLE 5 (Continued)

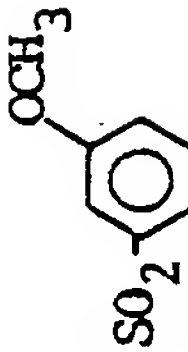
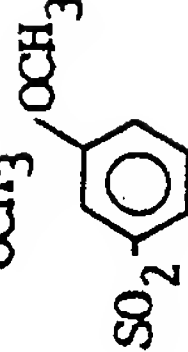


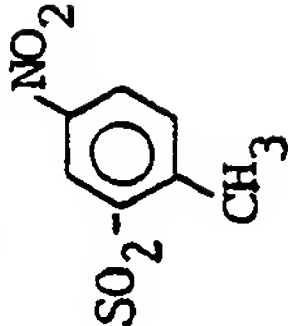
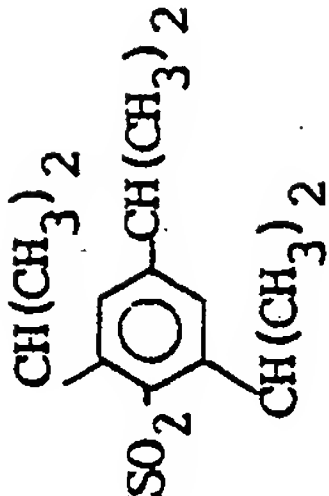
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C122	Cl	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C123	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C124	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C125	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C126	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C127	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

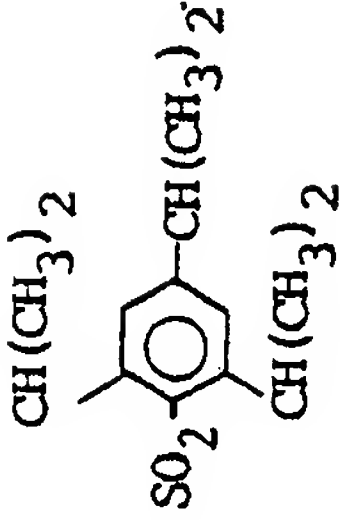


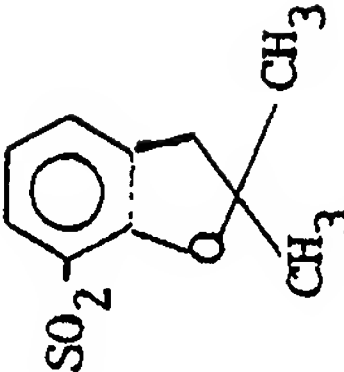


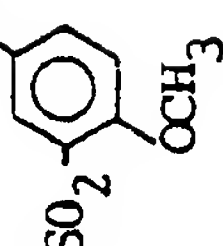
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C128	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C129	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		H
C130	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub>		Na
C131	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C132	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C133	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C134	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

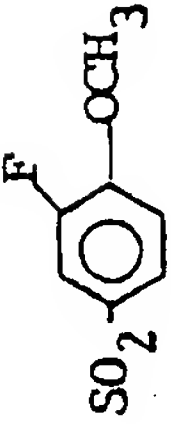
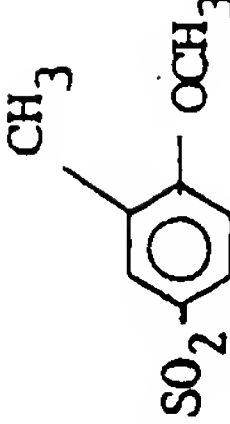
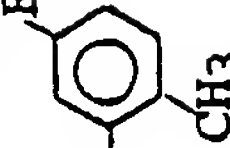
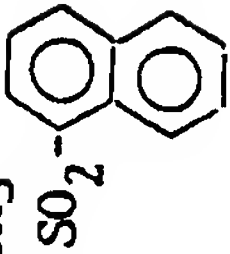
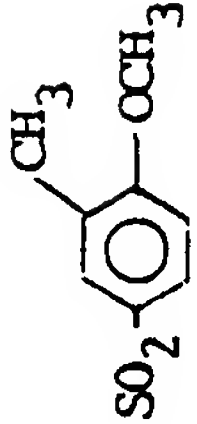
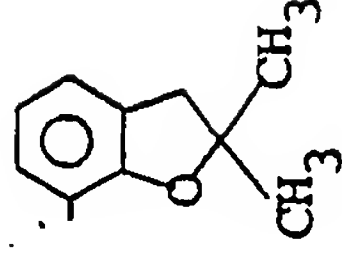
Compd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C135	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C136	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C137	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C138	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C139	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		Na
C140	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

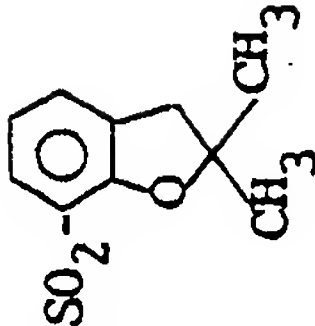
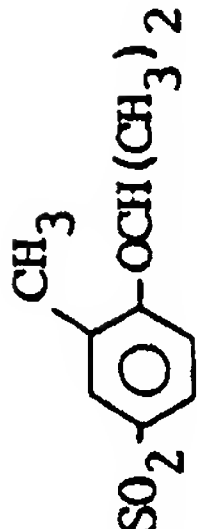
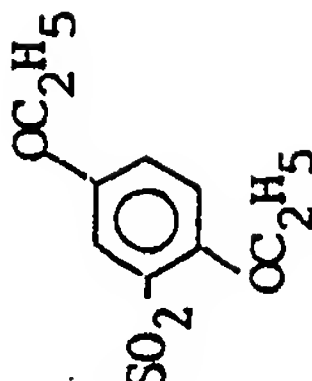
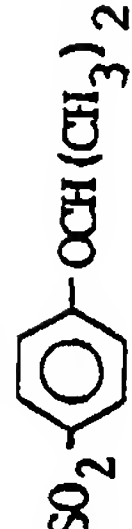
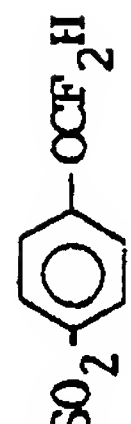
Compd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C141	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C142	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C143	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C144	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C145	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

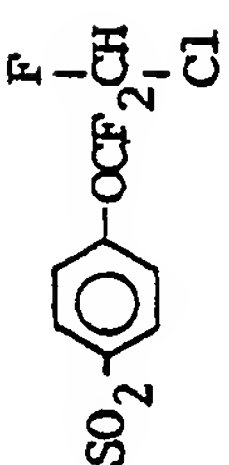
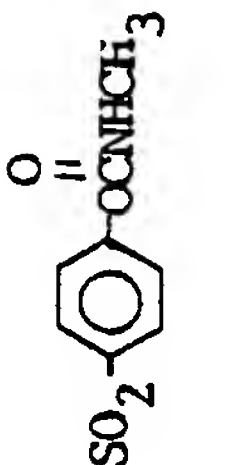
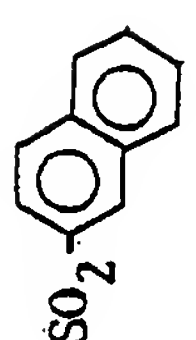
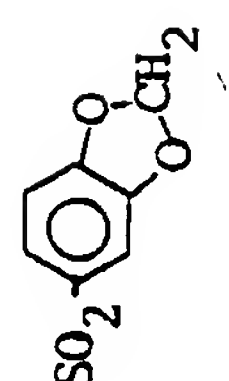
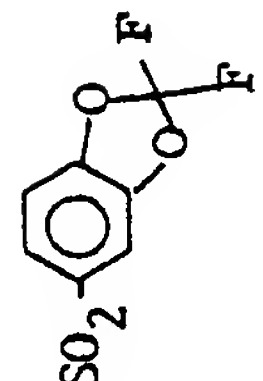
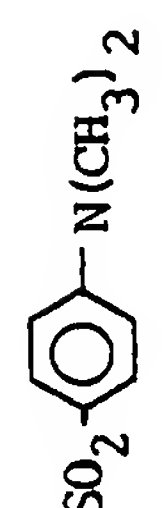
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C146	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C147	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C148	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C149	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C150	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C151	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

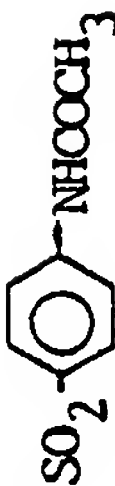
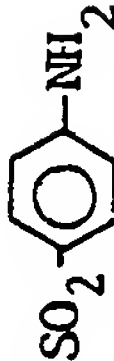
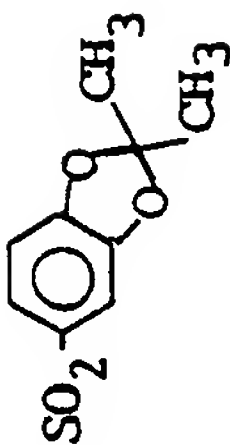
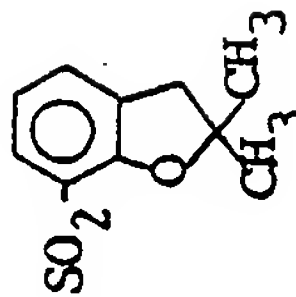
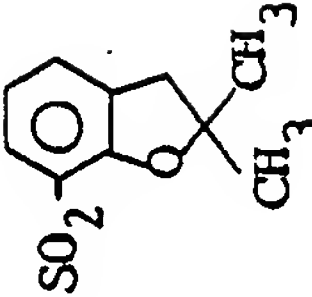
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C152	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C153	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C154	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C155	Cl	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C156	Cl	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C157	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CH <sub>3</sub>	H
C158	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> CF <sub>3</sub>	H

TABLE 5 (Continued)

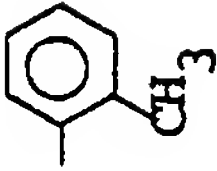
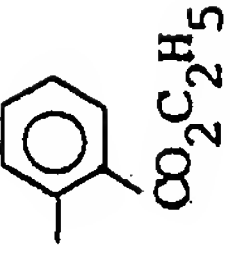
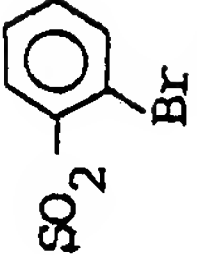
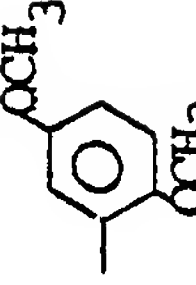
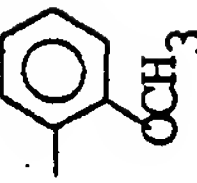
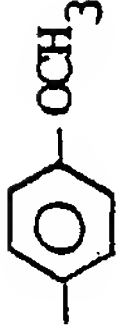
Compd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C159	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	SO <sub>2</sub> NH <sub>2</sub>	H
C160	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C161	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C162	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C163	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C164	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C165	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

TABLE 5 (Continued)

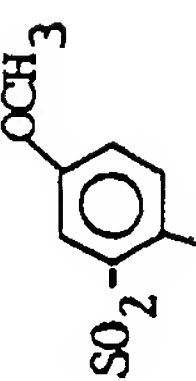


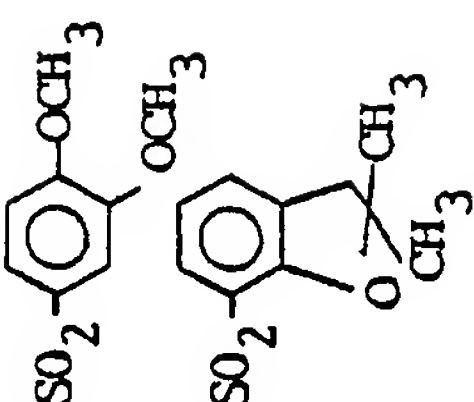
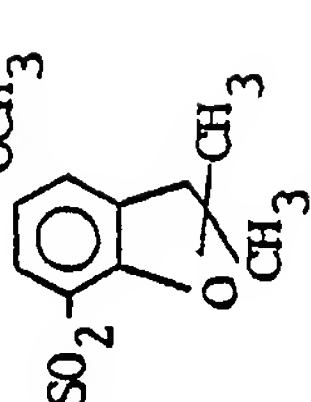
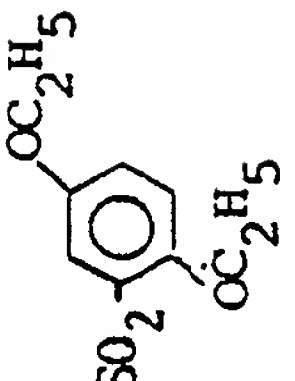
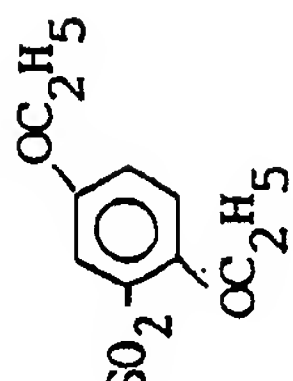


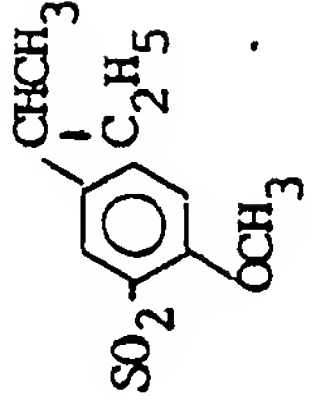
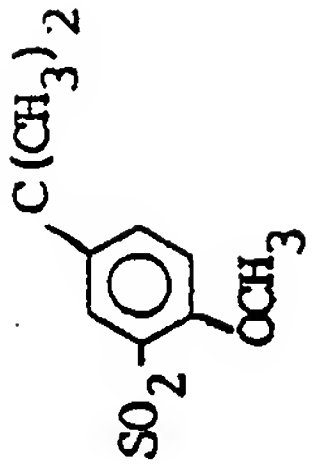
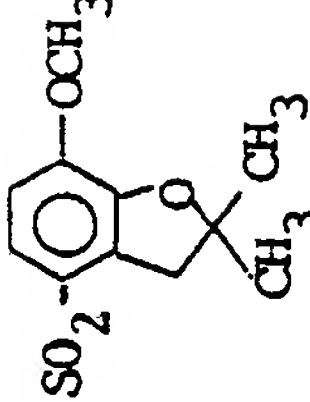
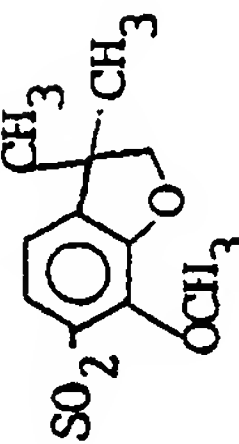
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C166	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C167	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C168	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C169	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C170	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C171	F	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C172	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H



TABLE 5 (Continued)

Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C173	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C174	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C175	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C176	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C177	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C178	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

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TABLE 5 (Continued)

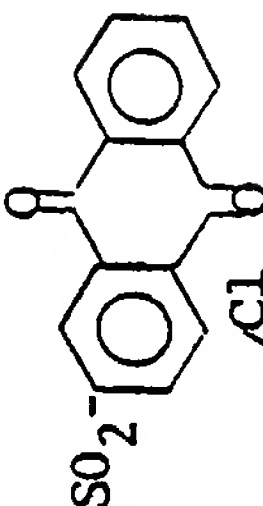
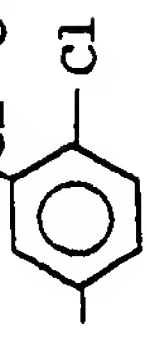


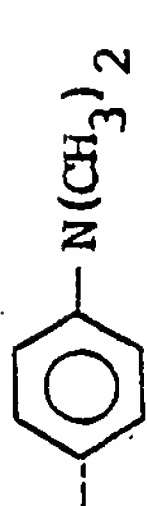
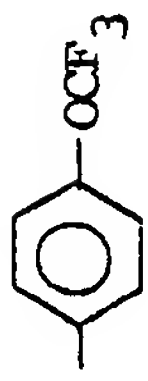
Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C179	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C180	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C181	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C182	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C183	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C184	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C185	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C186	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	H

TABLE 5 (Continued)

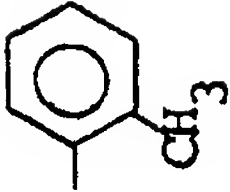



Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C187	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	CH <sub>3</sub>
C188	F	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	C <sub>2</sub> H <sub>5</sub>	H
C189	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		H
C190	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H	H
C191	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	H
C192	F	Cl	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	CH <sub>3</sub>
C193	F	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	CH <sub>3</sub>
C194	F	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H	H
C195	F	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	CH <sub>3</sub>
C196	Br	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	CH <sub>3</sub>
C197	Br	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	H	H
C198	Br	Br	CHF <sub>2</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	H
C199	Br	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	CH <sub>3</sub>	H

TABLE 5 (Continued)

Cmpd. No.	X	Y	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>6</sup>	R <sup>7</sup>
C200	Br	Br	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )	H	H
C201	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C202	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H
C203	F	Cl	CH <sub>3</sub>	CHF <sub>2</sub>	CH(CH <sub>3</sub> )		H

Other representative compounds are those which are identical with compounds C1-C95, C97-C114, C116-C122, C124-C132, C134-C140, C142-C143, C145-C147, C149-C150, C152-C156, C159, C161-C165, C168, C170, C173-C184, C186, C189-C192, and C196-C203 respectively, except that X is F and Y is Br. Still other representative compounds are those which are identical with Compounds C1-C203 respectively, except that X is F and Y is CF<sub>3</sub>.

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TABLE 6

Identifying Properties

<u>Cmpd. No.</u>	<u>Melting Point (°C)</u>	<u>Empirical Formula</u>	<u>Elemental Analysis</u>		
			<u>C</u>	<u>H</u>	<u>N</u>
1	111.5-113.5	$C_{13}H_{11}Cl_2F_2N_3O_4$  NMR $\delta$ (CDCl <sub>3</sub> ): 2.45 (s, 3H), 3.80 (s, 3H), 4.70 (s, 2H), 6.90 (s, 1H), 7.00 (t, 1H, J= 58Hz), 7.50 (s, 1H).			
2	Oil	$C_{14}H_{13}Cl_2F_2N_3O_4$  NMR $\delta$ (CDCl <sub>3</sub> ): 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 3.80 (s, 3H), 4.80 (q, 1H, J=7Hz), 7.00 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.60 (s, 1H).			
3	Oil	$C_{14}H_{13}ClF_3N_3O_4$  NMR $\delta$ (CDCl <sub>3</sub> ): 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 3.80 (s, 3H), 4.80 (q, 1H, J=7Hz), 7.00 (t, 1H, J=58Hz), 7.00-7.40 (m, 2H).			
4	Oil	$C_{15}H_{16}ClF_2N_3O_4$  NMR $\delta$ (CDCl <sub>3</sub> ): 1.65 (d, 3H, J=7Hz), 2.30 (s, 3H), 2.50 (s, 3H), 3.80 (s, 3H), 4.80 (q, 1H, J=7Hz), 6.80 (s, 1H), 7.10 (t, 1H, J= 58Hz), 7.40 (s, 1H).			
5	Oil	$C_{15}H_{15}Cl_2F_2N_3O_4$  NMR $\delta$ (CDCl <sub>3</sub> ): 1.20 (t, 3H, J=7Hz), 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 4.20 (q, 2H, J= 7Hz), 4.70 (q, 1H, J=7Hz), 6.95 (s, 1H), 7.05 (t, 1H, J=58Hz), 7.60 (s, 1H).			
6	Oil	$C_{15}H_{15}ClF_3N_3O_4$  NMR $\delta$ (CDCl <sub>3</sub> ): 1.20 (t, 3H, J=7Hz), 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 4.20 (q, 2H, J= 7Hz), 4.70 (q, 1H, J=7Hz), 7.00 (t, 1H, J= 58Hz), 7.00-7.40 (m, 2H).			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
7	Oil	C <sub>16</sub> H <sub>18</sub> ClF <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
		NMR δ (CDCl <sub>3</sub> ): 1.20 (t, 3H, J=7Hz), 1.60 (d, 3H, J=7Hz), 2.30 (s, 3H), 2.50 (s, 3H), 4.25 (q, 2H, J=7Hz), 4.70 (q, 1H, J=7Hz), 6.80 (s, 1H), 7.05 (t, 1H, J=58Hz), 7.30 (s, 1H).			
8	Oil	C <sub>15</sub> H <sub>15</sub> Br <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
		NMR δ (CDCl <sub>3</sub> ): 1.20 (t, 3H, J=7Hz), 1.70 (d, 3H, J=7Hz), 2.45 (s, 3H), 4.15 (q, 2H, J=7Hz), 4.80 (q, 1H, J=7Hz), 6.95 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.95 (s, 1H).			
9	83-88	C <sub>16</sub> H <sub>17</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
		NMR δ (CDCl <sub>3</sub> ): 0.90 (t, 3H, J=7Hz), 1.20-1.90 (m, 5H), 2.50 (s, 3H), 4.20 (t, 2H, J=7Hz), 4.80 (q, 1H, J=7Hz), 7.00 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.60 (s, 1H).			
10	Oil	C <sub>16</sub> H <sub>17</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
		NMR δ (CDCl <sub>3</sub> ): 1.20 (m, 6H), 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 4.60-5.30 (m, 2H), 6.90 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.60 (s, 1H).			
11	Oil	C <sub>17</sub> H <sub>19</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
		NMR δ (CDCl <sub>3</sub> ): 0.70-1.70 (m, 7H), 1.60 (d, 3H, J=7Hz), 2.45 (s, 3H), 4.15 (t, 2H, J=7Hz), 4.70 (q, 1H, J=7Hz), 6.95 (s, 1H), 7.05 (t, 1H, J=58Hz), 7.60 (s, 1H).			
12	Oil	C <sub>17</sub> H <sub>19</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
		NMR δ (CDCl <sub>3</sub> ): 0.70-1.80 (m, 11H), 2.50 (s, 3H), 4.40-5.30 (m, 2H), 7.00 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.60 (s, 1H).			
13	Oil	C <sub>15</sub> H <sub>16</sub> Cl <sub>2</sub> FN <sub>3</sub> O <sub>4</sub>	C 45.94	4.11	10.71
			F 46.62	4.16	12.10
		NMR δ (CDCl <sub>3</sub> ): 1.20 (t, 3H, J=7Hz), 1.60 (d, 3H, J=7Hz), 2.35 (s, 3H), 4.20 (q, 2H, J=7Hz), 4.75 (q, 1H, J=7Hz), 5.75 (d, 2H, J=53Hz), 7.00 (s, 1H), 7.60 (s, 1H).			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
14	110-111	C <sub>13</sub> H <sub>11</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>			
15	Oil	C <sub>16</sub> H <sub>17</sub> ClF <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
16	Oil	C <sub>16</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>			
17	118-119	C <sub>14</sub> H <sub>13</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>	C 42.44 F 42.60	3.31 3.58	10.61 10.53
18	Oil	C <sub>16</sub> H <sub>17</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>	C 45.30 F 44.95	4.04 3.79	9.90 9.70
19	Oil	C <sub>17</sub> H <sub>19</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>			
20	Oil	C <sub>16</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>	C 47.13 F 47.39	4.20 4.38	10.30 10.35
21	Oil	C <sub>16</sub> H <sub>17</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub>			
22	137-138	C <sub>16</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>	C 47.13 F 47.23	4.20 3.94	10.30 9.96
52	Oil	C <sub>17</sub> H <sub>19</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>			
A1	55-56	C <sub>13</sub> H <sub>11</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>3</sub> O <sub>4</sub> NMR δ (CDCl <sub>3</sub> ): 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 4.80 (q, 1H, J=7Hz), 7.00 (s, 1H), 7.10 (t, 1H, J= 58Hz), 7.48 (s, 1H), 7.50 (s, 1H).			
A2	50-55	C <sub>13</sub> H <sub>11</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub> NMR δ (CDCl <sub>3</sub> ): 1.70 (m, 3H), 4.80 (m, 1H), 2.40 (s, 3H), 7.10-7.50 (m, 3H), 8.00 (bs, 1H)			
A3	56-60	C <sub>14</sub> H <sub>14</sub> ClF <sub>2</sub> N <sub>3</sub> O <sub>4</sub> NMR δ (CDCl <sub>3</sub> ): 1.60 (d, 3H, J=7Hz), 2.30 (s, 3H), 2.50 (s, 3H), 4.70 (q, 1H, J=7Hz), 6.80 (2, 1H), 7.00 (t, 1H, J=58Hz), 7.30 (s, 1H), 8.30 (s, 1H).			
A4	Solid	C <sub>13</sub> H <sub>10</sub> ClF <sub>3</sub> N <sub>3</sub> NaO <sub>4</sub>			
A5	130-132	C <sub>12</sub> H <sub>9</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub> · H <sub>2</sub> O	C 38.99 F 38.95	3.00 2.91	11.37 11.23
A6	70-75	C <sub>16</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>4</sub>			



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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
B1	Oil	$C_{16}H_{16}Cl_2F_2N_4O_6$ NMR $\delta$ (CDCl <sub>3</sub> ): 1.40-1.80 (m, 6H), 2.50 (s, 3H), 4.40-5.00 (m, 4H), 7.00 (s, 1H), 7.10 (t, 1H, J= 58Hz), 7.60 (s, 1H).			
B2	Oil	$C_{16}H_{15}Cl_2F_2N_3O_4$ NMR $\delta$ (CDCl <sub>3</sub> ): 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 4.60-5.00 (m, 3H), 5.10-5.40 (m, 2H), 5.50-6.20 (m, 1H), 7.00 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.60 (s, 1H).	C 45.52 F 45.24	3.58 3.46	9.95 9.87
B3	Oil	$C_{16}H_{13}Cl_2F_2N_3O_4$ NMR $\delta$ (CDCl <sub>3</sub> ): 1.70 (d, 3H, J=7Hz), 2.50 (bs, 4H), 4.60-4.90 (m, 3H), 6.95 (s, 1H), 7.05 (t, 1H, J=58Hz), 7.60 (s, 1H).			
B4	Oil	$C_{16}H_{13}ClF_3N_3O_4$ NMR $\delta$ (CDCl <sub>3</sub> ): 1.65 (d, 3H, J=7Hz), 2.45 (m, 4H), 4.70 (m, 3H), 7.05 (t, 1H, J=58Hz), 7.10-7.40 (m, 2H)	C 47.60 F 47.88	3.24 3.31	10.41 9.68
B5	Solid	$C_{16}H_{17}Cl_2F_2N_3O_5$			
B6	Oil	$C_{17}H_{15}ClF_3N_3O_4$	C 48.87 F 46.60	3.62 3.19	10.06 10.01
B7	Oil	$C_{18}H_{17}ClF_3N_3O_4$	C 50.07 F 49.68	3.97 3.75	9.73 9.40
B8	Oil	$C_{18}H_{19}ClF_3N_3O_5$	C 48.06 F 48.31	4.26 3.77	9.34 9.00
B9	Oil	$C_{16}H_{15}ClF_3N_3O_6$			
B10	Oil	$C_{18}H_{17}ClF_7N_3O_4$			
B11	Oil	$C_{15}H_{12}ClF_3N_4O_4$			
B12	Oil	$C_{18}H_{15}ClF_3N_3O_5$			
B13	Oil	$C_{17}H_{20}ClF_3N_4O_4$			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
B14	Oil	C <sub>17</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>5</sub>			
B15	Oil	C <sub>19</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>	C 51.66 F 52.15	3.42 3.43	9.51 9.49
B16	Solid	C <sub>17</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>6</sub>	C 45.40 F 45.00	3.36 3.25	9.34 8.90
B17	Oil	C <sub>15</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>3</sub> S			
B18	Oil	C <sub>17</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>5</sub> S	C 43.64 F 43.50	3.66 3.73	8.98 7.99
B19	Oil	C <sub>14</sub> H <sub>12</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>3</sub> O <sub>4</sub> NMR δ (CDCl <sub>3</sub> ): 1.40 (t, 3H, J=7Hz), 2.50 (s, 3H), 4.45 (q, 2H, J=7Hz), 5.95 (d, 1H, J=58Hz), 7.40 (s, 1H), 7.50 (t, 1H, J=58Hz), 7.65 (s, 1H).			
B21	131-136	C <sub>19</sub> H <sub>22</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub>			
B22	Oil	C <sub>15</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>5</sub>			
B23	Oil	C <sub>15</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub> S			
B25	Solid	C <sub>15</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>6</sub> S	C 39.36 F 39.25	3.30 3.00	9.18 9.22
B30	Oil	C <sub>15</sub> H <sub>12</sub> ClF <sub>6</sub> N <sub>3</sub> O <sub>4</sub>			
B31	Oil	C <sub>17</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>4</sub>			
B32	Oil	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub>			
B33	Oil	C <sub>16</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>3</sub> S			
B50	Oil	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>4</sub> S			
B57	Oil	C <sub>17</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>3</sub> O <sub>6</sub>	C 45.19 F 45.68	3.79 3.77	9.30 8.22
C1	209-210	C <sub>12</sub> H <sub>10</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>4</sub> O <sub>3</sub> NMR δ CDCl <sub>3</sub> /DMSO d <sub>6</sub> : 2.20 (s, 3H), 4.60 (s, 2H), 7.30 (bs, 3H), 7.40 (s, 1H, J=58Hz), 7.65 (s, 1H).			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
C2	Oil	C <sub>14</sub> H <sub>14</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
		NMR δ (CDCl <sub>3</sub> ): 1.60 (d, 3H, J=7Hz), 2.50 (s, 3H), 2.90 (d, 3H, J=7Hz), 4.75 (q, 1H, J=7Hz), 6.80 (bs, 1H), 7.10 (t, 1H, J=58Hz), 7.20-7.45 (m, 2H).			
C3	185-188	C <sub>14</sub> H <sub>14</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>4</sub> O <sub>5</sub> S	C 36.61	3.07	12.20
			F 36.79	3.01	12.41
		NMR δ (CDCl <sub>3</sub> ): 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 3.40 (s, 3H), 4.80 (q, 1H, J=7Hz), 7.10 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.70 (s, 1H).			
C4	Oil	C <sub>14</sub> H <sub>11</sub> Cl <sub>2</sub> F <sub>5</sub> N <sub>4</sub> O <sub>5</sub> S	C 32.76	2.16	10.92
			F 32.80	2.05	8.77
		NMR δ (CDCl <sub>3</sub> ): 1.70 (d, 3H, J=7Hz), 2.50 (s, 3H), 4.90 (q, 1H, J=7Hz), 7.10 (s, 1H), 7.10 (t, 1H, J=58Hz), 7.60 (s, 1H), 8.50 (bs, 1H).			
C5	132-135	C <sub>13</sub> H <sub>12</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>4</sub> O <sub>3</sub>			
C6	142-144	C <sub>14</sub> H <sub>14</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>4</sub> O <sub>3</sub>			
C7	173-175	C <sub>12</sub> H <sub>10</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
C8	105-110	C <sub>16</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
C9	Oil	C <sub>17</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
C10	Solid	C <sub>20</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 46.29	3.50	10.80
			F 46.77	4.12	11.03
C11	Oil	C <sub>15</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>4</sub>	C 44.07	3.94	13.71
			F 38.44	3.67	11.28
C12	Solid	C <sub>16</sub> H <sub>14</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>	C 47.71	3.50	13.91
			F 46.03	3.60	13.91
C13	57	C <sub>19</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>	C 51.77	3.66	12.71
			F 50.90	4.00	11.88
C14	Oil	C <sub>15</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
C15	Solid	C <sub>17</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
C16	Oil	C <sub>15</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
C17	Solid	C <sub>16</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub>			
C18	145-150	C <sub>14</sub> H <sub>14</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C19	Solid	C <sub>14</sub> H <sub>14</sub> ClF <sub>3</sub> N <sub>4</sub> NaO <sub>5</sub> S			
C20	Solid	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>4</sub> NaO <sub>5</sub> S			
C21	Oil	C <sub>18</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>	C 50.18 F 50.95	4.21 3.91	13.00 12.38
C22	Oil	C <sub>17</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>5</sub> O <sub>3</sub>			
C23	Oil	C <sub>20</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
C25	Solid	C <sub>19</sub> H <sub>15</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 42.31 F 40.44	2.80 2.91	10.39 8.56
C26	142-143	C <sub>13</sub> H <sub>12</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>			
C32	127-134	C <sub>19</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C38	47-54	C <sub>17</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>3</sub>	C 48.75 F 47.06	4.33 3.73	13.38 12.36
C42	Oil	C <sub>21</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C43	162-166	C <sub>19</sub> H <sub>15</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C59	100-105	C <sub>21</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S	C 44.81 F 42.20	3.40 2.81	9.95 9.61
C69	Solid	C <sub>19</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 45.20 F 44.74	3.19 3.55	11.10 10.59
C70	208-208.5	C <sub>18</sub> H <sub>13</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 41.16 F 44.11	2.49 3.08	10.67 10.96
C71	Solid	C <sub>19</sub> H <sub>14</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> Na			
C72	135-140	C <sub>20</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C73	Solid	C <sub>19</sub> H <sub>15</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C74	110	C <sub>19</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>5</sub> O <sub>7</sub> S			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
C75	175-180	C <sub>19</sub> H <sub>14</sub> Cl <sub>3</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C76	171-173	C <sub>19</sub> H <sub>15</sub> Cl <sub>3</sub> F <sub>2</sub> N <sub>4</sub> O <sub>5</sub> S			
C77	66-70	C <sub>19</sub> H <sub>15</sub> ClF <sub>4</sub> N <sub>4</sub> O <sub>5</sub> S			
C78	248-253	C <sub>22</sub> H <sub>22</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C79	Solid	C <sub>21</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C80	67-70	C <sub>20</sub> H <sub>15</sub> ClF <sub>6</sub> N <sub>4</sub> O <sub>5</sub> S			
C81	58-62	C <sub>20</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> S			
C82	Solid	C <sub>20</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C83	108-113	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> SNa			
C84	155-157	C <sub>20</sub> H <sub>17</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 43.41	3.10	10.13
			F 42.65	3.30	9.19
C85	69-74	C <sub>17</sub> H <sub>14</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S <sub>2</sub>			
C86	Solid	C <sub>19</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub>			
C87	Solid	C <sub>23</sub> H <sub>24</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 49.24	4.31	9.99
			F 46.40	4.21	8.63
C88	Solid	C <sub>19</sub> H <sub>17</sub> Cl <sub>2</sub> FN <sub>4</sub> O <sub>5</sub> S			
C89	Solid	C <sub>18</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub>			
C90	168-172	C <sub>21</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S			
C91	Solid	C <sub>21</sub> H <sub>19</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> SNa			
C92	Solid	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> SNa			
C93	173-179	C <sub>21</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S			
C94	65-70	C <sub>19</sub> H <sub>15</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C95	110	C <sub>19</sub> H <sub>15</sub> BrClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 39.09	2.59	9.60
			F 38.75	2.66	9.64
C97	Solid	C <sub>20</sub> H <sub>22</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub>			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			C	H	N
C98	Solid	C <sub>21</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> Na			
C99	Solid	C <sub>20</sub> H <sub>17</sub> BrClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> S			
C100	Solid	C <sub>20</sub> H <sub>16</sub> BrClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> Na			
C101	Solid	C <sub>20</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>5</sub> O <sub>5</sub> S	C 45.33 F 44.83	2.85 2.42	13.22 13.06
C102	60-70	C <sub>18</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S	C 43.69 F 43.24	3.67 4.01	11.32 10.71
C103	80-95	C <sub>18</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S			
C104	Oil	C <sub>21</sub> H <sub>24</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub>			
C105	Solid	C <sub>21</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S			
C106	Solid	C <sub>21</sub> H <sub>19</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> Na			
C107	170	C <sub>19</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>5</sub> O <sub>7</sub> S			
C108	Solid	C <sub>18</sub> H <sub>19</sub> ClF <sub>3</sub> N <sub>5</sub> O <sub>4</sub>			
C109	Oil	C <sub>22</sub> H <sub>22</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> S			
C110	85-90	C <sub>22</sub> H <sub>21</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> Na			
C111	Oil	C <sub>19</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> S			
C112	174-176	C <sub>20</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S			
C113	Oil	C <sub>20</sub> H <sub>17</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C114	60-70	C <sub>20</sub> H <sub>16</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>4</sub> O <sub>5</sub> Na			
C115	Solid	C <sub>19</sub> H <sub>15</sub> BrClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C116	188-191	C <sub>20</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S			
C117	>230	C <sub>23</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C118	144-147	C <sub>21</sub> H <sub>19</sub> Cl <sub>3</sub> F <sub>2</sub> N <sub>4</sub> O <sub>7</sub> S			
C119	211-212	C <sub>20</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> S			

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TABLE 6 (Continued)

Cmpd. No.	Melting Point (°C)	Empirical Formula	Elemental Analysis		
			<u>C</u>	<u>H</u>	<u>N</u>
C120	Solid	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> Na			
C121	Solid	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>7</sub> Na			
C122	105-110	C <sub>21</sub> H <sub>20</sub> Cl <sub>2</sub> F <sub>2</sub> N <sub>4</sub> O <sub>7</sub> S			
C123	163-166	C <sub>21</sub> H <sub>20</sub> BrF <sub>3</sub> N <sub>4</sub> SO <sub>7</sub>			
C124	Solid	C <sub>21</sub> H <sub>21</sub> ClF <sub>3</sub> N <sub>5</sub> O <sub>5</sub> S			
C125	Solid	C <sub>20</sub> H <sub>15</sub> ClF <sub>6</sub> N <sub>4</sub> O <sub>6</sub> S			
C126	Solid	C <sub>20</sub> H <sub>17</sub> ClF <sub>3</sub> N <sub>5</sub> O <sub>7</sub> S			
C127	Solid	C <sub>28</sub> H <sub>34</sub> ClF <sub>3</sub> N <sub>4</sub> F <sub>3</sub> O <sub>5</sub> S			
C128	Solid	C <sub>28</sub> H <sub>33</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> Na			
C129	147-149	C <sub>19</sub> H <sub>16</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> S	C 43.81 F 44.31	3.10 3.26	10.76 10.54
C130	Solid	C <sub>19</sub> H <sub>15</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> Na			
C131	198-201	C <sub>23</sub> H <sub>22</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> S	C 48.05 F 47.11	3.86 3.89	9.74 9.34
C132	Solid	C <sub>20</sub> H <sub>14</sub> ClF <sub>6</sub> N <sub>4</sub> O <sub>6</sub> Na			
C133	Solid	C <sub>20</sub> H <sub>15</sub> BrF <sub>6</sub> N <sub>4</sub> O <sub>6</sub> S			
C134	65-68	C <sub>20</sub> H <sub>17</sub> ClF <sub>4</sub> N <sub>4</sub> O <sub>6</sub> S			
C135	68-72	C <sub>20</sub> H <sub>17</sub> ClF <sub>4</sub> N <sub>4</sub> O <sub>6</sub> S			
C136	Solid	C <sub>21</sub> H <sub>20</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> S			
C137	130-134	C <sub>20</sub> H <sub>17</sub> ClF <sub>4</sub> N <sub>4</sub> O <sub>3</sub>			
C138	136-140	C <sub>23</sub> H <sub>18</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>5</sub> S			
C139	Solid	C <sub>21</sub> H <sub>19</sub> ClF <sub>3</sub> N <sub>4</sub> O <sub>6</sub> Na			

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Table 7  
Preemergence Herbicidal Activity

Compound No. : Rate (kg/ha)	1		2		3		4	
	2.0		4.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100	100			100		50	
Soybean	0	90			30		0	
Field Corn	80	100			100		30	
Rice	50	100			90		30	
Wheat	0	100			100		30	
Field Bindweed	100	100			100		100	
Morningglory	100	100			60		0	
Velvetleaf	100	100			ND		100	
Barnyardgrass	90	100			100		100	
Green Foxtail	100	100			100		ND	
Johnsongrass	80	100			100		95	
Yellow Nutsedge	70	100			100		0	

Compound No. Rate (kg/ha)	6		7		8		9	
	2.0		2.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		60		70		100	
Soybean	10		30		20		20	
Field Corn	70		30		20		80	
Rice	80		50		60		90	
Wheat	100		80		40		90	
Field Bindweed	100		60		80		100	
Morningglory	100		70		90		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	90		90		90		100	
Yellow Nutsedge	100		50		80		100	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	10		11		12		13	
	2.0		2.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	100		40		100		30	
Soybean	20		100		30		20	
Field Corn	100		40		20		20	
Rice	90		90		70		10	
Wheat	90		70		50		10	
Field Bindweed	100		100		90		20	
Morningglory	100		100		100		50	
Velvetleaf	100		100		100		80	
Barnyardgrass	100		100		100		40	
Green Foxtail	100		100		100		40	
Johnsongrass	100		100		90		40	
Yellow Nutsedge	100		90		90		10	

Compound No. Rate (kg/ha)	14		15		16		17	
	1.0		1.0		1.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	90		100		100		100	
Soybean	0		40		30		0	
Field Corn	60		40		100		30	
Rice	30		80		90		30	
Wheat	20		100		100		10	
Field Bindweed	100		90		100		90	
Morningglory	90		100		90		90	
Velvetleaf	100		100		100		90	
Barnyardgrass	50		100		100		90	
Green Foxtail	10		100		100		10	
Johnsongrass	60		90		90		80	
Yellow Nutsedge	100		90		100		60	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No.: Rate (kg/ha).	18		19		20		21	
	0.5		2.0		0.5		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	10		80		30		80	
Soybean	20		100		0		20	
Field Corn	10		80		0		80	
Rice	0		90		20		30	
Wheat	0		50		0		0	
Field Bindweed	0		100		90		100	
Morningglory	0		90		90		90	
Velvetleaf	30		100		100		100	
Barnyardgrass	0		100		50		100	
Green Foxtail	90		100		100		100	
Johnsongrass	0		90		30		90	
Yellow Nutsedge	0		100		10		70	

Compound No. Rate (kg/ha)	51		52	
	2.0		2.0	
	%K	%C	%K	%C
<u>Species</u>				
Cotton	70		100	
Soybean	10		70	
Field Corn	30		100	
Rice	40		95	
Wheat	0		100	
Field Bindweed	90		100	
Morningglory	80		95	
Velvetleaf	100		100	
Barnyardgrass	30		100	
Green Foxtail	20		100	
Johnsongrass	80		100	
Yellow Nutsedge	40		100	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	A1		A2		A3	
	4.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C
Species						
Cotton	100		10		80	
Soybean	0		0		20	
Field Corn	0		0		0	
Rice	80		10		70	
Wheat	80		20		100	
Field Bindweed	100		30		50	
Morningglory	100		10		0	
Velvetleaf	100		ND		100	
Barnyardgrass	100		40		100	
Green Foxtail	100		100		ND	
Johnsongrass	80		30		95	
Yellow Nutsedge	90		20		0	

Compound No. Rate (kg/ha)	A4		A6		B1		B2	
	1.0		0.5		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	90		80		100		100	
Soybean	10		30		10		10	
Field Corn	40		30		30		90	
Rice	80		30		90		90	
Wheat	80		30		70		90	
Field Bindweed	70		70		100		100	
Morningglory	90		90		100		100	
Velvetleaf	100		90		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	90		80		90		100	
Yellow Nutsedge	90		80		100		100	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	B3		B4		B5		B6	
	2.0		1.0		2.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		90		100		100	
Soybean	0		40		20		10	
Field Corn	30		80		50		40	
Rice	70		80		90		90	
Wheat	80		90		90		90	
Field Bindweed	90		100		100		90	
Morningglory	100		90		90		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		90		100		90	
Yellow Nutsedge	100		90		100		100	

Compound No. Rate (kg/ha)	B7		B8		B9		B10	
	1.0		2.0		0.5		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		20	
Soybean	30		50		20		0	
Field Corn	80		100		30		30	
Rice	90		90		60		30	
Wheat	80		90		40		70	
Field Bindweed	100		100		80		100	
Morningglory	100		100		60		90	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	80		100		50		80	
Yellow Nutsedge	100		100		100		70	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	B11		B12		B13		B14	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		90		100	
Soybean	10		10		100		20	
Field Corn	60		20		90		40	
Rice	60		60		90		80	
Wheat	90		50		90		90	
Field Bindweed	100		70		100		90	
Morningglory	90		90		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	90		80		90		90	
Yellow Nutsedge	100		90		90		90	

Compound No. Rate (kg/ha)	B15		B16		B17		B18	
	2.0		1.0		1.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		80		100		100	
Soybean	70		20		40		30	
Field Corn	90		60		80		60	
Rice	90		60		80		80	
Wheat	90		50		90		90	
Field Bindweed	100		90		80		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		90		100	
Johnsongrass	100		90		90		90	
Yellow Nutsedge	100		100		100		100	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	B21		B22		B23		B25	
	1.0		0.5		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		90		60		70		70
Soybean		0		0		0		50
Field Corn		50		0		30		70
Rice		40		40		80		60
Wheat		50		10		60		50
Field Bindweed		90		20		90		70
Morningglory		90		40		100		100
Velvetleaf		100		100		100		100
Barnyardgrass		100		80		90		100
Green Foxtail		90		80		100		100
Johnsongrass		40		20		70		90
Yellow Nutsedge		90		90		80		90

Compound No. Rate (kg/ha)	B30		B31		B32		B33	
	0.5		1.0		0.5		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		100		100		20		80
Soybean		0		10		0		40
Field Corn		10		20		20		90
Rice		20		50		20		90
Wheat		20		30		10		60
Field Bindweed		20		70		30		90
Morningglory		50		80		30		90
Velvetleaf		100		100		90		100
Barnyardgrass		100		100		100		100
Green Foxtail		100		100		100		100
Johnsongrass		50		80		50		70
Yellow Nutsedge		100		90		90		90

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	B50		B57	
	0.5		0.5	
	%K	%C	%K	%C
<u>Species</u>				
Cotton		50		100
Soybean		20		10
Field Corn		30		40
Rice		40		50
Wheat		60		60
Field Bindweed		10		90
Morningglory		50		70
Velvetleaf		100		100
Barnyardgrass		90		100
Green Foxtail		100		100
Johnsongrass		50		70
Yellow Nutsedge		50		90

Compound No. Rate (kg/ha)	C1		C2		C3		C4	
	2.0		1.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton		70		100		100		40
Soybean		40		100		40		0
Field Corn		60		100		80		0
Rice		20		100		80		0
Wheat		20		100		90		40
Field Bindweed		100		100		100		80
Morningglory		100		100		100		90
Velvetleaf		100		100		100		100
Barnyardgrass		80		100		100		90
Green Foxtail		90		100		100		90
Johnsongrass		80		100		100		50
Yellow Nutsedge		80		100		100		50



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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C5		C6		C7		C8	
	2.0		2.0		1.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		90	
Soybean	100		90		10		100	
Field Corn	100		100		100		100	
Rice	100		100		40		100	
Wheat	100		100		30		100	
Field Bindweed	100		100		100		100	
Morningglory	100		90		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		90		100	
Johnsongrass	100		100		90		100	
Yellow Nutsedge	100		100		100		90	

Compound No. Rate (kg/ha)	C9		C10		C11		C12	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	80		100		100		100	
Soybean	90		90		100		100	
Field Corn	100		20		100		100	
Rice	90		80		100		100	
Wheat	100		70		100		100	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		90		100		100	
Yellow Nutsedge	80		60		100		100	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C13		C14		C15		C16	
	2.0		2.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	100		100		100		100	
Field Corn	90		100		100		100	
Rice	100		100		100		100	
Wheat	90		100		100		100	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		100		100		100	
Yellow Nutsedge	100		100		100		100	

Compound No. Rate (kg/ha)	C17		C18		C19		C20	
	2.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	100		0		20		80	
Field Corn	100		70		100		50	
Rice	90		80		60		30	
Wheat	90		50		40		10	
Field Bindweed	100		80		80		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		90		90		40	
Yellow Nutsedge	100		90		80		10	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C21		C22		C23		C24	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		30		40	
Soybean	90		100		50		10	
Field Corn	100		100		90		80	
Rice	80		100		20		30	
Wheat	100		100		70		0	
Field Bindweed	100		100		100		90	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		90	
Barnyardgrass	100		100		100		80	
Green Foxtail	100		100		100		100	
Johnsongrass	100		100		100		70	
Yellow Nutsedge	80		100		40		30	

Compound No. Rate (kg/ha)	C25		C26		C32		C38	
	2.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		90	
Soybean	30		100		40		100	
Field Corn	70		100		20		100	
Rice	60		90		50		100	
Wheat	30		100		10		100	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	90		100		80		100	
Johnsongrass	70		100		80		100	
Yellow Nutsedge	80		100		40		ND	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No.	C42		C43		C59		C69	
Rate (kg/ha)	1.0		1.0		1.0		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		90		100		100		40
Soybean		70		70		50		10
Field Corn		40		70		70		30
Rice		60		90		80		30
Wheat		70		70		40		0
Field Bindweed		100		100		100		90
Morningglory		100		100		100		80
Velvetleaf		100		100		100		100
Barnyardgrass		100		100		100		20
Green Foxtail		100		100		100		20
Johnsongrass		60		95		100		40
Yellow Nutsedge		70		100		70		70

Compound No.	C70		C71		C72		C73	
Rate (kg/ha)	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		100		100		100		80
Soybean		20		50		50		30
Field Corn		30		50		60		30
Rice		70		40		30		40
Wheat		20		40		20		50
Field Bindweed		100		100		100		100
Morningglory		100		100		100		100
Velvetleaf		100		100		100		100
Barnyardgrass		20		90		100		90
Green Foxtail		0		40		95		100
Johnsongrass		80		60		90		80
Yellow Nutsedge		90		40		60		20

Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C74		C75		C76		C77	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		90		60		95	
Soybean	80		30		30		40	
Field Corn	0		50		20		30	
Rice	40		60		30		70	
Wheat	30		40		20		60	
Field Bindweed	90		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	85		95		100		100	
Green Foxtail	100		95		70		100	
Johnsongrass	70		80		40		95	
Yellow Nutsedge	20		30		30		30	

Compound No. Rate (kg/ha)	C78		C79		C80		C81	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	80		50		60		100	
Soybean	40		0		20		100	
Field Corn	30		10		0		50	
Rice	50		50		20		50	
Wheat	40		20		30		100	
Field Bindweed	100		80		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		80		95		100	
Green Foxtail	90		100		100		100	
Johnsongrass	40		80		95		100	
Yellow Nutsedge	30		20		0		80	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C82		C83		C84		C85	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		95		10		100	
Soybean	10		95		0		30	
Field Corn	20		20		10		95	
Rice	30		40		20		80	
Wheat	20		30		0		80	
Field Bindweed	100		100		30		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		90		100	
Barnyardgrass	95		95		10		100	
Green Foxtail	100		90		30		100	
Johnsongrass	95		80		30		100	
Yellow Nutsedge	10		20		ND		ND	

Compound No. Rate (kg/ha)	C86		C87		C88		C89	
	2.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		30		0		90	
Soybean	70		20		10		30	
Field Corn	100		10		10		60	
Rice	90		40		0		90	
Wheat	90		10		0		80	
Field Bindweed	100		100		0		100	
Morningglory	100		100		0		100	
Velvetleaf	100		100		10		100	
Barnyardgrass	100		95		40		100	
Green Foxtail	100		0		0		100	
Johnsongrass	100		30		10		95	
Yellow Nutsedge	ND		ND		ND		ND	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C90		C91		C92		C93	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		100		100		100		20
Soybean		100		90		30		0
Field Corn		80		80		20		30
Rice		80		90		40		50
Wheat		70		50		30		10
Field Bindweed		100		100		100		80
Morningglory		100		100		100		80
Velvetleaf		100		100		100		100
Barnyardgrass		100		100		100		100
Green Foxtail		100		100		100		0
Johnsongrass		100		95		80		30
Yellow Nutsedge		ND		ND		ND		ND

Compound No. Rate (kg/ha)	C94		C95		C97		C98	
	1.0		1.0		1.0		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		80		100		100		100
Soybean		20		60		20		30
Field Corn		20		20		70		40
Rice		20		30		80		20
Wheat		0		10		70		20
Field Bindweed		100		100		100		100
Morningglory		100		100		100		100
Velvetleaf		100		100		100		100
Barnyardgrass		60		40		100		90
Green Foxtail		50		50		100		80
Johnsongrass		60		60		90		95
Yellow Nutsedge		ND		ND		ND		ND

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C99		C100		C101		C102	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		80		80		95		30
Soybean		70		50		30		20
Field Corn		30		60		10		50
Rice		20		60		20		80
Wheat		10		20		10		50
Field Bindweed		100		100		90		20
Morningglory		100		100		100		80
Velvetleaf		100		100		100		100
Barnyardgrass		10		70		60		100
Green Foxtail		100		80		40		100
Johnsongrass		80		80		50		80
Yellow Nutsedge		ND		ND		ND		ND

Compound No. Rate (kg/ha)	C103		C104		C105		C106	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		30		30		100		100
Soybean		10		10		95		90
Field Corn		30		90		70		30
Rice		50		80		95		90
Wheat		30		80		50		30
Field Bindweed		40		100		100		100
Morningglory		60		90		100		100
Velvetleaf		100		100		100		100
Barnyardgrass		95		95		100		100
Green Foxtail		100		100		100		100
Johnsongrass		80		95		100		100
Yellow Nutsedge		ND		ND		ND		ND



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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C107		C108		C109		C110	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	90		100		70		30	
Soybean	10		95		90		95	
Field Corn	20		100		100		100	
Rice	40		95		95		90	
Wheat	0		95		100		100	
Field Bindweed	80		90		95		100	
Morningglory	95		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	40		80		100		100	
Green Foxtail	0		100		100		100	
Johnsongrass	50		100		100		100	
Yellow Nutsedge	ND		ND		ND		ND	

Compound No. Rate (kg/ha)	C111		C112		C113		C114	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	20		90		50		30	
Soybean	40		40		0		0	
Field Corn	10		0		10		10	
Rice	70		20		20		20	
Wheat	50		10		10		10	
Field Bindweed	70		100		100		90	
Morningglory	70		90		90		95	
Velvetleaf	90		100		100		100	
Barnyardgrass	100		40		50		70	
Green Foxtail	100		80		30		70	
Johnsongrass	70		95		30		70	
Yellow Nutsedge	ND		ND		ND		ND	

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C115		C116		C117		C118	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton		80		95		50		20
Soybean		30		0		95		0
Field Corn		10		10		10		10
Rice		10		20		30		0
Wheat		30		10		0		10
Field Bindweed		100		90		100		80
Morningglory		100		95		100		50
Velvetleaf		100		100		100		50
Barnyardgrass		50		80		40		10
Green Foxtail		90		40		80		10
Johnsongrass		90		80		20		10
Yellow Nutsedge		ND		ND		ND		ND

Compound No. Rate (kg/ha)	C119		C120		C121		C122	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton		50		70		95		70
Soybean		30		20		20		50
Field Corn		10		10		20		40
Rice		30		20		30		0
Wheat		30		10		10		10
Field Bindweed		100		100		100		100
Morningglory		100		90		90		80
Velvetleaf		100		100		100		100
Barnyardgrass		50		80		70		70
Green Foxtail		0		0		20		50
Johnsongrass		70		70		90		100
Yellow Nutsedge		ND		ND		ND		ND

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Table 7 (Cont.)  
Preemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C123		C124		C125	
	0.25		0.5		0.5	
	%K	%C	%K	%C	%K	%C
Species						
Cotton		50		20		20
Soybean		50		60		30
Field Corn		0		70		10
Rice		60		80		10
Wheat		10		60		10
Field Bindweed		100		100		80
Morningglory		100		95		90
Velvetleaf		100		100		100
Barnyardgrass		100		100		30
Green Foxtail		10		100		50
Johnsongrass		100		100		10
Yellow Nutsedge		ND		ND		ND

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Table 8  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	1		2		3		4	
	2.0		4.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		90	
Soybean	40		0		60		0	
Field Corn	90		100		100		0	
Rice	80		100		100		80	
Wheat	10		100		90		90	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		70	
Velvetleaf	100		100		ND		100	
Barnyardgrass	100		100		100		90	
Green Foxtail	100		100		ND		ND	
Johnsongrass	90		95		100		70	
Yellow Nutsedge	50		50		100		0	

Compound No. Rate (kg/ha)	6		7		8		9	
	2.0		2.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		90		100		100	
Soybean	50		40		50		30	
Field Corn	100		40		30		100	
Rice	100		80		90		70	
Wheat	100		90		30		80	
Field Bindweed	100		100		100		100	
Morningglory	100		80		100		80	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		100		70		80	
Yellow Nutsedge	100		70		30		80	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No.	10		11		12		13	
Rate (kg/ha)	2.0		2.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		70	
Soybean	30		50		30		20	
Field Corn	100		60		30		10	
Rice	90		90		50		30	
Wheat	100		90		70		20	
Field Bindweed	100		100		100		50	
Morningglory	30		100		100		80	
Velvetleaf	100		100		100		80	
Barnyardgrass	90		100		100		80	
Green Foxtail	100		100		100		40	
Johnsongrass	80		80		90		30	
Yellow Nutsedge	90		90		50		20	

Compound No.	14		15		16		17	
Rate (kg/ha)	1.0		1.0		1.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	60		40		30		70	
Field Corn	10		30		10		70	
Rice	30		90		30		30	
Wheat	10		60		40		20	
Field Bindweed	100		100		90		90	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		70	
Green Foxtail	90		100		100		20	
Johnsongrass	80		90		80		70	
Yellow Nutsedge	30		80		90		30	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	18		19		20		21	
	0.5		2.0		0.5		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		100		100		90		80
Soybean		30		40		40		20
Field Corn		60		50		30		20
Rice		20		50		20		30
Wheat		20		30		30		20
Field Bindweed		50		80		40		90
Morningglory		50		90		80		100
Velvetleaf		80		100		100		100
Barnyardgrass		10		80		80		40
Green Foxtail		60		80		60		30
Johnsongrass		30		40		10		40
Yellow Nutsedge		10		90		10		30

Compound No. Rate (kg/ha)	51		52	
	2.0		2.0	
	%K	%C	%K	%C
Species				
Cotton		70		100
Soybean		50		95
Field Corn		10		100
Rice		30		90
Wheat		10		100
Field Bindweed		90		100
Morningglory		100		100
Velvetleaf		90		100
Barnyardgrass		70		100
Green Foxtail		10		100
Johnsongrass		40		100
Yellow Nutsedge		30		100

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	A1		A2		A3	
	4.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C
Species						
Cotton	100		90		100	
Soybean	0		20		0	
Field Corn	0		30		0	
Rice	30		20		90	
Wheat	30		30		80	
Field Bindweed	100		80		100	
Morningglory	100		70		60	
Velvetleaf	100		ND		100	
Barnyardgrass	100		90		100	
Green Foxtail	100		ND		ND	
Johnsongrass	75		60		95	
Yellow Nutsedge	0		10		0	

Compound No. Rate (kg/ha)	A4		A6		B1		B2	
	1.0		0.5		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		90		100		100	
Soybean	40		20		10		30	
Field Corn	40		20		40		30	
Rice	20		30		50		80	
Wheat	90		90		100		100	
Field Bindweed	90		10		100		100	
Morningglory	100		90		100		40	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		90		100		100	
Johnsongrass	60		30		80		80	
Yellow Nutsedge	100		50		40		80	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	B3		B4		B5		B6	
	2.0		1.0		2.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	100		100		100		100	
Soybean	30		40		60		60	
Field Corn	70		30		20		100	
Rice	90		90		80		100	
Wheat	90		100		90		100	
Field Bindweed	100		100		100		90	
Morningglory	80		90		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	90		80		90		80	
Yellow Nutsedge	30		90		60		100	

Compound No. Rate (kg/ha)	B7		B8		B10		B11	
	1.0		2.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	100		100		100		100	
Soybean	60		80		20		30	
Field Corn	100		70		0		0	
Rice	100		100		20		30	
Wheat	100		100		10		100	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	80		70		90		90	
Yellow Nutsedge	80		70		20		100	



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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	B12		B13		B14		B15	
	1.0		1.0		1.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	100		100		100		100	
Soybean	30		100		90		80	
Field Corn	10		60		20		60	
Rice	20		50		80		90	
Wheat	100		90		80		100	
Field Bindweed	100		100		90		100	
Morningglory	100		100		90		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	30		100		60		100	
Yellow Nutsedge	90		100		70		90	

Compound No. Rate (kg/ha)	B16		B17		B18		B21	
	1.0		1.0		2.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	100		100		100		100	
Soybean	40		40		50		30	
Field Corn	30		30		20		30	
Rice	50		30		90		20	
Wheat	100		40		70		100	
Field Bindweed	100		100		100		100	
Morningglory	80		90		100		100	
Velvetleaf	100		100		100		90	
Barnyardgrass	100		70		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	40		30		40		10	
Yellow Nutsedge	100		60		90		80	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No.	B22		B23		B25		B30	
Rate (kg/ha)	0.5		1.0		1.0		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	80		100		80		80	
Soybean	30		10		30		10	
Field Corn	20		40		90		10	
Rice	70		90		50		70	
Wheat	90		80		90		100	
Field Bindweed	50		100		100		50	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		60		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	10		100		50		10	
Yellow Nutsedge	10		90		90		10	

Compound No.	B31		B32		B33		B50	
Rate (kg/ha)	1.0		0.5		1.0		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	20		10		30		30	
Field Corn	40		0		40		20	
Rice	40		20		40		40	
Wheat	80		70		100		40	
Field Bindweed	100		80		100		70	
Morningglory	90		100		100		100	
Velvetleaf	90		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	50		0		40		30	
Yellow Nutsedge	90		0		60		20	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	B57		C1	
	0.5		2.0	
	%K	%C	%K	%C
<u>Species</u>				
Cotton	100		100	
Soybean	30		30	
Field Corn	30		70	
Rice	90		20	
Wheat	90		0	
Field Bindweed	80		100	
Morningglory	100		100	
Velvetleaf	100		100	
Barnyardgrass	100		100	
Green Foxtail	100		100	
Johnsongrass	40		80	
Yellow Nutsedge	60		60	

Compound No. Rate (kg/ha)	C2		C3		C4		C5	
	1.0		2.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	100		100		70		100	
Soybean	90		40		40		90	
Field Corn	100		100		40		100	
Rice	100		70		50		100	
Wheat	100		40		30		100	
Field Bindweed	100		90		100		100	
Morningglory	100		100		90		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		90		100	
Green Foxtail	100		100		90		100	
Johnsongrass	100		90		40		90	
Yellow Nutsedge	100		30		20		100	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C6		C7		C8		C9	
	2.0		1.0		2.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		90	
Soybean	90		60		90		80	
Field Corn	100		50		90		80	
Rice	100		80		80		50	
Wheat	100		10		80		60	
Field Bindweed	100		100		100		90	
Morningglory	100		100		100		80	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		60		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		90		80		90	
Yellow Nutsedge	100		80		60		20	

Compound No. Rate (kg/ha)	C10		C11		C12		C13	
	1.0		1.0		1.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	100		90		90		80	
Field Corn	60		100		100		100	
Rice	60		100		100		100	
Wheat	60		100		100		100	
Field Bindweed	60		90		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	80		90		100		100	
Yellow Nutsedge	10		100		100		100	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No.	C14		C15		C16		C17	
Rate (kg/ha)	2.0		2.0		2.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	100		90		100		100	
Field Corn	100		90		100		100	
Rice	70		50		90		100	
Wheat	100		100		100		100	
Field Bindweed	100		100		100		90	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		90		100		50	
Yellow Nutsedge	100		90		100		100	

Compound No.	C18		C19		C20		C21	
Rate (kg/ha)	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	40		40		100		100	
Field Corn	30		20		0		100	
Rice	10		10		20		60	
Wheat	100		100		100		100	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		100		100	
Johnsongrass	90		100		40		100	
Yellow Nutsedge	100		60		10		80	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C22		C23		C24		C25	
	1.0		1.0		1.0		2.0	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton	100		100		50		100	
Soybean	100		80		20		70	
Field Corn	100		80		20		60	
Rice	80		30		10		50	
Wheat	100		90		10		60	
Field Bindweed	100		100		100		100	
Morningglory	100		80		90		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		80		100	
Green Foxtail	100		100		100		100	
Johnsongrass	100		100		60		100	
Yellow Nutsedge	80		40		0		70	

Compound No. Rate (kg/ha)	C26		C32		C38	
	1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C
<u>Species</u>						
Cotton	100		100		100	
Soybean	80		80		100	
Field Corn	100		20		100	
Rice	90		50		100	
Wheat	90		50		100	
Field Bindweed	100		100		70	
Morningglory	100		100		100	
Velvetleaf	100		100		100	
Barnyardgrass	100		100		100	
Green Foxtail	100		80		100	
Johnsongrass	90		40		90	
Yellow Nutsedge	90		20		ND	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C42		C43		C59		C69	
	1.0		1.0		1.0		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	100		90		80		50	
Field Corn	100		100		80		80	
Rice	60		50		40		60	
Wheat	90		95		80		90	
Field Bindweed	100		100		100		100	
Morningglory	95		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	95		100		100		100	
Green Foxtail	100		100		100		50	
Johnsongrass	95		100		95		60	
Yellow Nutsedge	40		95		100		50	

Compound No. Rate (kg/ha)	C70		C71		C72		C73	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	90		100		100		100	
Soybean	60		60		70		85	
Field Corn	40		60		50		30	
Rice	30		40		60		60	
Wheat	40		95		30		80	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		90	
Green Foxtail	30		100		60		90	
Johnsongrass	70		50		50		70	
Yellow Nutsedge	40		20		60		30	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C74		C75		C76		C77	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	85		95		95		100	
Soybean	90		90		80		80	
Field Corn	40		100		70		100	
Rice	60		40		40		30	
Wheat	50		40		30		100	
Field Bindweed	95		100		100		100	
Morningglory	100		100		95		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		95		95		95	
Green Foxtail	85		100		95		100	
Johnsongrass	50		80		40		70	
Yellow Nutsedge	30		10		20		30	

Compound No. Rate (kg/ha)	C78		C79		C80		C81	
	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	100		50		80		100	
Field Corn	100		20		40		80	
Rice	30		20		10		90	
Wheat	90		40		40		95	
Field Bindweed	100		50		100		100	
Morningglory	100		60		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		40		100	
Green Foxtail	90		95		100		100	
Johnsongrass	60		80		40		100	
Yellow Nutsedge	20		70		20		20	



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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No.	C82		C83		C84		C85	
Rate (kg/ha)	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		80		100	
Soybean	80		100		40		90	
Field Corn	100		95		40		95	
Rice	70		60		20		95	
Wheat	90		90		30		80	
Field Bindweed	100		100		20		95	
Morningglory	100		100		90		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		95		100	
Green Foxtail	100		100		30		100	
Johnsongrass	100		95		20		90	
Yellow Nutsedge	0		60		ND		ND	

Compound No.	C86		C87		C88		C89	
Rate (kg/ha)	2.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		90		10		90	
Soybean	80		100		30		90	
Field Corn	100		100		20		100	
Rice	100		50		10		70	
Wheat	100		60		10		100	
Field Bindweed	100		100		10		95	
Morningglory	100		100		20		100	
Velvetleaf	100		100		20		100	
Barnyardgrass	100		100		20		100	
Green Foxtail	100		80		50		100	
Johnsongrass	100		30		30		80	
Yellow Nutsedge	ND		ND		ND		ND	

Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No.	C90		C91		C92		C93	
Rate (kg/ha)	1.0		1.0		1.0		1.0	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		100		100	
Soybean	100		100		90		70	
Field Corn	95		100		100		70	
Rice	60		90		70		30	
Wheat	100		100		80		80	
Field Bindweed	100		100		100		70	
Morningglory	100		100		100		95	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		100		100		100	
Green Foxtail	100		100		80		95	
Johnsongrass	100		100		70		30	
Yellow Nutsedge	ND		ND		ND		ND	

Compound No.	C94		C95		C97		C98	
Rate (kg/ha)	1.0		1.0		1.0		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		95		90	
Soybean	80		95		95		70	
Field Corn	50		95		100		70	
Rice	30		70		95		70	
Wheat	40		100		100		90	
Field Bindweed	95		100		95		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	80		90		95		95	
Green Foxtail	95		100		100		70	
Johnsongrass	60		70		95		90	
Yellow Nutsedge	ND		ND		ND		ND	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C99		C100		C101		C102	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		90		100		100		100
Soybean		100		100		60		50
Field Corn		100		100		50		60
Rice		40		40		20		70
Wheat		100		100		40		95
Field Bindweed		100		100		90		90
Morningglory		100		100		90		100
Velvetleaf		100		100		100		95
Barnyardgrass		100		100		30		60
Green Foxtail		90		100		10		100
Johnsongrass		100		90		50		70
Yellow Nutsedge		ND		ND		ND		ND

Compound No. Rate (kg/ha)	C103		C104		C105		C106	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton		100		80		100		100
Soybean		30		80		100		100
Field Corn		30		90		95		100
Rice		40		70		95		95
Wheat		30		90		100		100
Field Bindweed		95		50		100		100
Morningglory		95		90		100		100
Velvetleaf		100		100		100		100
Barnyardgrass		60		95		100		100
Green Foxtail		100		95		100		100
Johnsongrass		70		80		100		100
Yellow Nutsedge		ND		ND		ND		ND

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C107		C108		C109		C110	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	100		100		95		80	
Soybean	60		100		95		100	
Field Corn	60		100		80		90	
Rice	20		95		80		80	
Wheat	20		100		95		95	
Field Bindweed	100		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	95		100		100		100	
Green Foxtail	90		100		100		100	
Johnsongrass	60		100		100		100	
Yellow Nutsedge	ND		ND		ND		ND	

Compound No. Rate (kg/ha)	C111		C112		C113		C114	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
Species								
Cotton	40		90		80		95	
Soybean	50		90		40		50	
Field Corn	20		40		30		30	
Rice	20		20		30		80	
Wheat	70		90		30		30	
Field Bindweed	60		100		100		100	
Morningglory	100		100		100		100	
Velvetleaf	100		100		100		100	
Barnyardgrass	100		95		30		70	
Green Foxtail	100		100		80		90	
Johnsongrass	95		95		40		30	
Yellow Nutsedge	ND		ND		ND		ND	

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Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C115		C116		C117		C118	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton		20		100		100		40
Soybean		30		60		100		95
Field Corn		30		40		100		100
Rice		10		20		0		10
Wheat		30		60		95		60
Field Bindweed		10		80		100		95
Morningglory		30		100		100		80
Velvetleaf		20		100		100		100
Barnyardgrass		20		70		100		60
Green Foxtail		30		70		100		40
Johnsongrass		30		80		70		20
Yellow Nutsedge		ND		ND		ND		ND

Compound No. Rate (kg/ha)	C119		C120 °		C121		C122	
	0.5		0.5		0.5		0.5	
	%K	%C	%K	%C	%K	%C	%K	%C
<u>Species</u>								
Cotton		95		80		100		95
Soybean		95		95		80		80
Field Corn		40		60		10		60
Rice		10		10		20		10
Wheat		90		100		100		100
Field Bindweed		100		100		100		95
Morningglory		100		100		100		100
Velvetleaf		100		100		100		100
Barnyardgrass		50		50		80		100
Green Foxtail		70		20		30		80
Johnsongrass		60		40		80		80
Yellow Nutsedge		ND		ND		ND		ND

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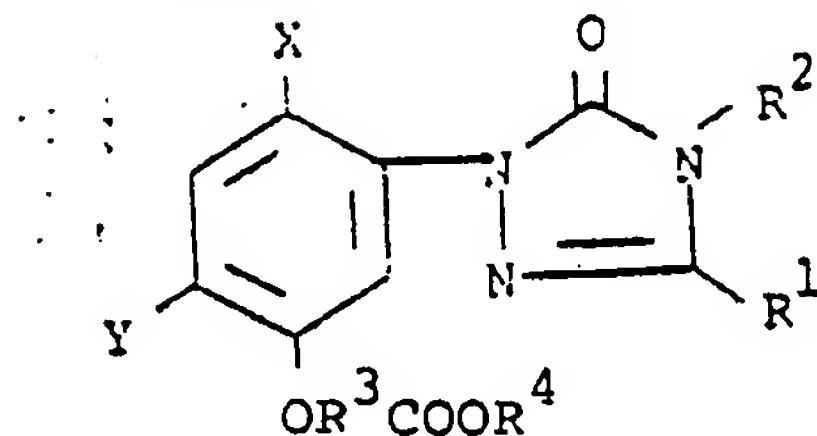
Table 8 (Cont.)  
Postemergence Herbicidal Activity

Compound No. Rate (kg/ha)	C123		C124		C125	
	0.25		0.5		0.5	
	%K	%C	%K	%C	%K	%C
<u>Species</u>						
Cotton	100		100		100	
Soybean	100		100		100	
Field Corn	90		100		100	
Rice	90		70		0	
Wheat	100		100		100	
Field Bindweed	100		100		100	
Morningglory	100		100		100	
Velvetleaf	100		100		100	
Barnyardgrass	95		100		95	
Green Foxtail	95		100		95	
Johnsongrass	95		95		90	
Yellow Nutsedge	ND		ND		ND	

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## Claims:

1. An herbicidal compound of the general formula



in which  $R^1$  is halogen, alkyl, haloalkyl, cyanoalkyl, arylalkyl, alkoxyalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl;

$R^2$  is  $\text{CHF}_2$  or  $\text{CH}_2\text{F}$ ;

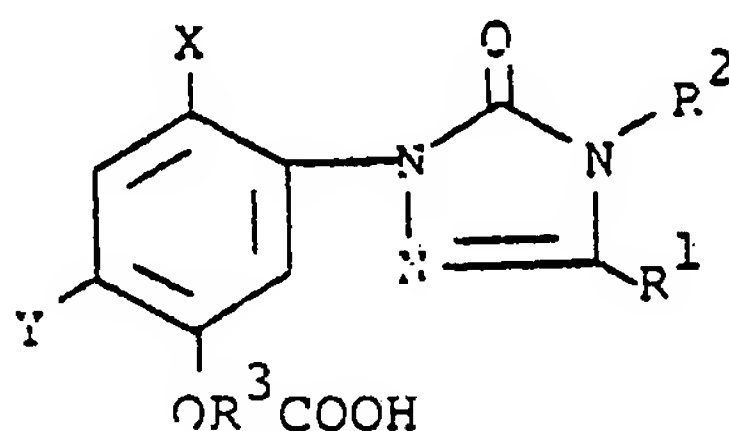
X is Br, Cl, F or haloalkyl;

Y is Br, Cl, F, methyl, haloalkyl, or a radical of the formula  $R^8\text{OCH}_2-$ ,  $R^8\text{SCH}_2-$ ,  $R^8\text{SOCH}_2-$  or  $R^8\text{SO}_2\text{CH}_2-$  where  $R^8$  is  $\text{C}_1$ - $\text{C}_3$  alkyl,  $\text{C}_2$ - $\text{C}_5$  alkenyl,  $\text{C}_3$ - $\text{C}_5$  alkynyl, phenyl, or substituted phenyl;

$R^3$  is alkylene or haloalkylene; and

$R^4$  is alkyl.

2. A carboxylic acid of the formula



or a salt of said carboxylic acid, in which

$R^1$  is halogen, alkyl, haloalkyl, cyanoalkyl, arylalkyl, alkoxyalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl, or alkylsulfonylalkyl;

$R^2$  is  $\text{CHF}_2$  or  $\text{CH}_2\text{F}$ ;

X is Br, Cl, F or haloalkyl;

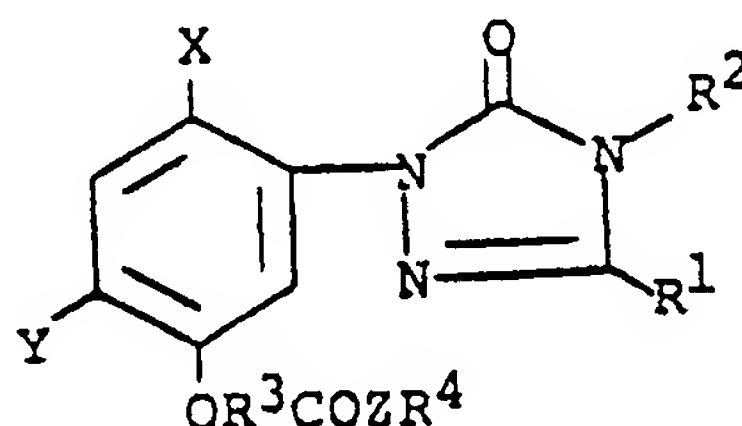
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Y is Br, Cl, F, methyl, haloalkyl, or a radical of the formula  $R^8OCH_2-$ ,  $R^8SCH_2-$ ,  $R^8SOCH_2-$  or  $R^8SO_2CH_2-$  where  $R^8$  is  $C_1-C_3$  alkyl,  $C_2-C_5$  alkenyl,  $C_3-C_5$  alkynyl, phenyl or substituted phenyl; and

$R^3$  is alkylene or haloalkylene.

3. An herbicidal compound of the general formula



in which X is Br, Cl, F or haloalkyl;

Y is Br, Cl, F, methyl, haloalkyl, or a radical of the formula  $R^8OCH_2-$ ,  $R^8SCH_2-$ ,  $R^8SOCH_2-$  or  $R^8SO_2CH_2-$  where  $R^8$  is  $C_1-C_3$  alkyl,  $C_2-C_5$  alkenyl,  $C_3-C_5$  alkynyl, phenyl or substituted phenyl;

Z is oxygen or sulfur;

$R^3$  is alkylene or haloalkylene;

$R^4$  is substituted alkyl, alkenyl, alkynyl, or a monovalent cyclic group having a ring of 5 or 6 atoms whose valence is on a carbon atom of said ring;

$R^1$  is halogen, alkyl, haloalkyl, cyanoalkyl, arylalkyl, alkoxyalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl;

$R^2$  is alkyl, haloalkyl, alkenyl, alkynyl, cyanoalkyl, thiocycanoalkyl or a group of the formula: -alkylene-Y'- $R^5$  in which said alkylene group has 1 to 5 carbon atoms, Y' is oxygen or S(O)r in which r is 0 to 2, and  $R^5$  is alkyl, alkenyl or alkynyl;

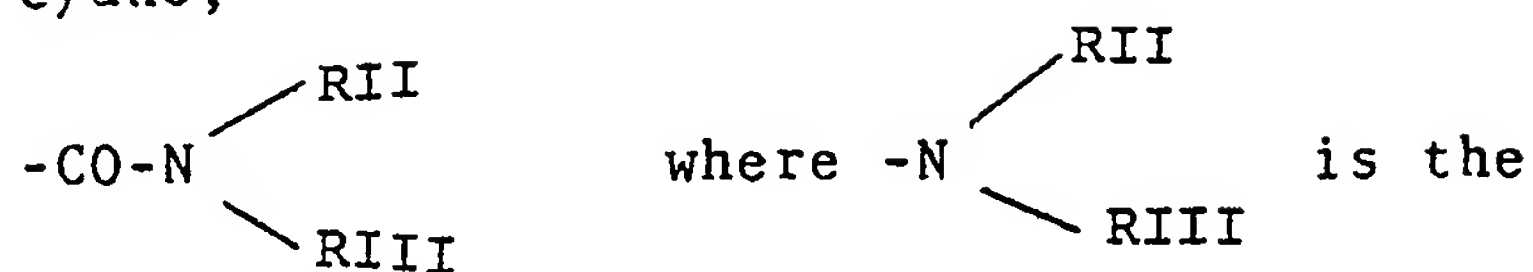
the substituent on said substituted alkyl of  $R^4$



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being:

nitro,  
halo,  
furyl or tetrahydrofuryl,  
acetyl,  
cyano,

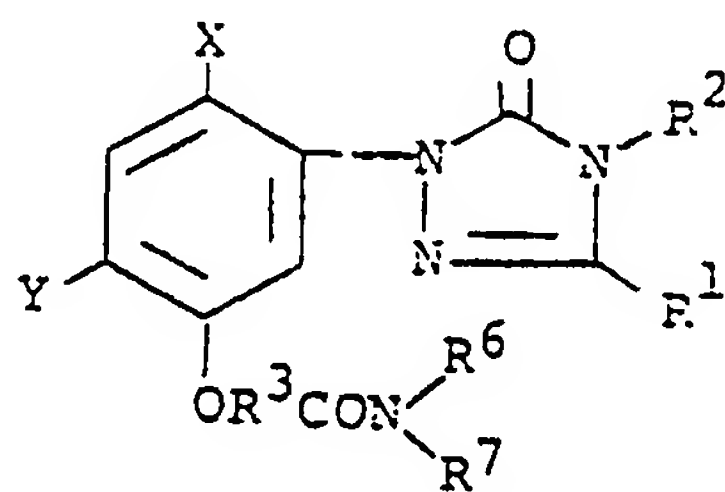


residue of ammonia or a primary or secondary amine,  
 $\text{-COOR}^{\text{IV}}$  where  $\text{R}^{\text{IV}}$  is the residue of an alcohol,  
 phenyl or substituted phenyl,  
 alkylamino, dialkylamino, or a trialkylammonium  
 salt,

alkoxy, alkylthio, alkylsulfinyl or  
 alkylsulfonyl, or

phenoxy, phenylthio, phenylsulfinyl, or phenyl-  
 sulfonyl.

4. An herbicidal compound of the general formula



in which

X is Br, Cl, F or haloalkyl;

Y is Br, Cl, F, methyl, haloalkyl, or a radical  
 of the formula  $\text{R}^8\text{OCH}_2-$ ,  $\text{R}^8\text{SCH}_2-$ ,  $\text{R}^8\text{SOCH}_2-$  or  $\text{R}^8\text{SO}_2\text{CH}_2-$   
 where  $\text{R}^8$  is  $\text{C}_1$ - $\text{C}_3$  alkyl,  $\text{C}_2$ - $\text{C}_5$  alkenyl,  $\text{C}_3$ - $\text{C}_5$  alkynyl,  
 phenyl, or substituted phenyl;

$\text{R}^3$  is alkylene or haloalkylene;

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$R^1$  is halogen, alkyl, haloalkyl, cyanoalkyl, arylalkyl, alkoxyalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl;

$R_2$  is alkyl, haloalkyl, alkenyl, alkynyl, cyanoalkyl, thiocycanoalkyl, or a group of the formula -alkylene- $Y'$ - $R^5$  in which said alkylene group has 1 to 5 carbon atoms,  $Y'$  is oxygen or S(O) $r$  in which  $r$  is 0 to 2, and  $R^5$  is alkyl, alkenyl or alkynyl; and

$\begin{array}{c} R^6 \\ \diagup \\ N \\ \diagdown \\ R^7 \end{array}$  is  $NH_2$  or the residue of a primary or

secondary amine or of a sulfonamide.

5. A compound as in claim 4 in which  $\begin{array}{c} R^6 \\ \diagup \\ -N \\ \diagdown \\ R^7 \end{array}$  is a residue of a sulfonamide.

6. A compound as in claim 5 in which  $\begin{array}{c} R^6 \\ \diagup \\ -N \\ \diagdown \\ R^7 \end{array}$  is the residue of an alkylsulfonamide or a haloalkyl-sulfonamide.

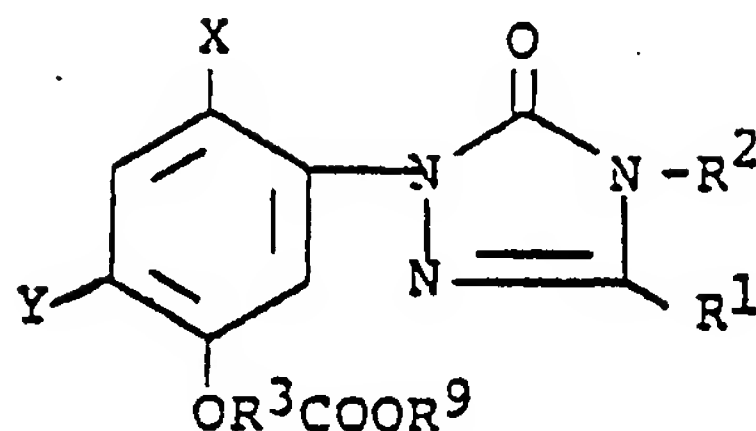
7. A compound as in claim 5 in which  $\begin{array}{c} R^6 \\ \diagup \\ -N \\ \diagdown \\ R^7 \end{array}$  is the residue of an arylsulfonamide.

8. A compound as in claim 5 in which  $\begin{array}{c} R^6 \\ \diagup \\ -N \\ \diagdown \\ R^7 \end{array}$  is the residue of an amine.

9. A compound as in claim 7 in which said aryl-sulfonamide is a halophenyl, alkoxyphenyl, or alkyl-phenylsulfonamide.

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10. An herbicidal compound of the general formula



in which

X is Br, Cl, F or haloalkyl;

Y is Br, Cl, F, methyl, haloalkyl, or a radical of the formula  $R^8OCH_2-$ ,  $R^8SCH_2-$ ,  $R^8SOCH_2-$  or  $R^8SO_2CH_2-$  where  $R^8$  is  $C_1-C_3$  alkyl,  $C_2-C_3$  alkenyl,  $C_3-C_5$  alkynyl, phenyl, or substituted phenyl;

$R^3$  is alkylene or haloalkylene;

$R^1$  is halogen, alkyl, haloalkyl, cyanoalkyl, arylalkyl, alkoxyalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl;

$R_2$  is alkyl, haloalkyl, alkenyl, alkynyl, cyanoalkyl, thiocynoalkyl, or a group of the formula: -alkylene- $Y'-R^5$  in which said alkylene group has 1 to 5 carbon atoms,  $Y'$  is oxygen or S(O)r in which r is 0 to 2, and  $R^5$  is alkyl, alkenyl or alkynyl, and

$OR^9$  is the residue of an oxime.

11. An herbicidal composition comprising an herbicidally effective amount of the compound of claim 1 in admixture with a suitable carrier.

12. A method for controlling undesired plant growth which comprises applying to the locus where control is desired an herbicidally effective amount of the composition of claim 11.

13. An herbicidal composition comprising an herbicidally effective amount of the compound of claim

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2 in admixture with a suitable carrier.

14. A method for controlling undesired plant growth which comprises applying to the locus where control is desired an herbicidally effective amount of the composition of claim 13.

15. An herbicidal composition comprising an herbicidally effective amount of the compound of claim 3 in admixture with a suitable carrier.

16. A method for controlling undesired plant growth which comprises applying to the locus where control is desired an herbicidally effective amount of the composition of claim 15.

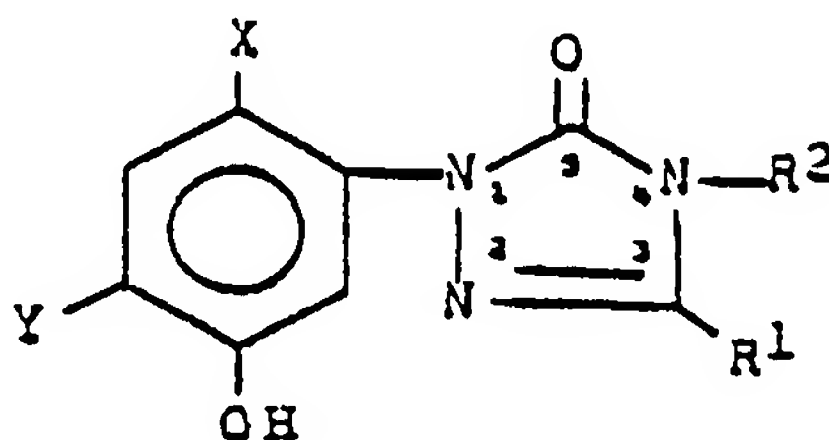
17. An herbicidal composition comprising an herbicidally effective amount of the compound of claim 4 in admixture with a suitable carrier.

18. A method for controlling undesired plant growth which comprises applying to the locus where control is desired an herbicidally effective amount of the composition of claim 17.

19. An herbicidal composition comprising an herbicidally effective amount of the compound of claim 10 in admixture with a suitable carrier.

20. A method for controlling undesired plant growth which comprises applying to the locus where control is desired an herbicidally effective amount of the composition of claim 19.

21. Process which comprises reacting a compound of the formula

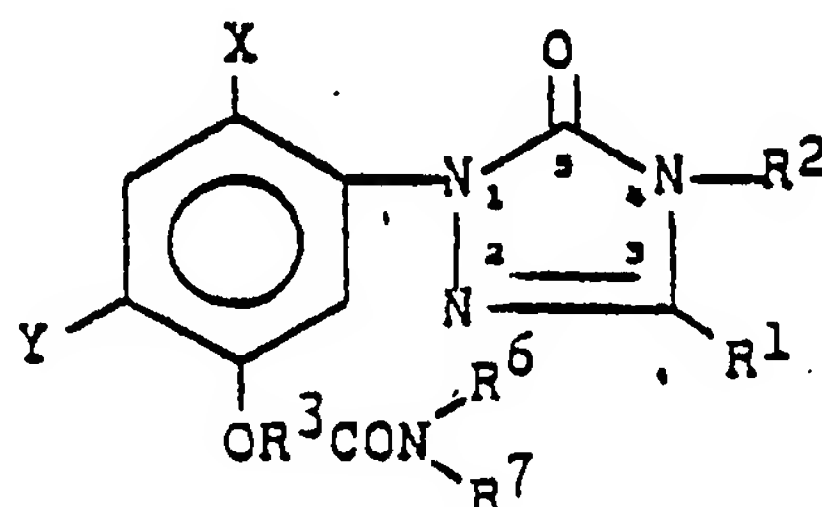


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with a compound of the formula  $MR^3CON\begin{matrix} R^6 \\ R^7 \end{matrix}$  where  $R^3$

is an alkylene radical or a fluoralkylene radical and

$-N\begin{matrix} R^6 \\ R^7 \end{matrix}$  is  $-NH_2$  or the residue of a primary or secondary amine or of a sulfonamide and M is a reactive leaving substituent, to form a compound of the formula



in which, in said formulas:

X is bromine, chlorine, or fluorine or haloalkyl;

Y is bromine, chlorine, fluorine, methyl, haloalkyl, or a radical of the formula  $R^8OCH_2-$ ,  $R^8SCH_2-$ ,  $R^8SOCH_2-$  or  $R^8SO_2CH_2-$  where  $R^8$  is  $C_1$ - $C_3$ alkyl,  $C_2$ - $C_5$ alkenyl, or  $C_3$ - $C_5$ alkynyl, phenyl or substituted phenyl;

$R^1$  is halogen, alkyl, haloalkyl, alkoxyalkyl, cyanoalkyl, arylalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl; and

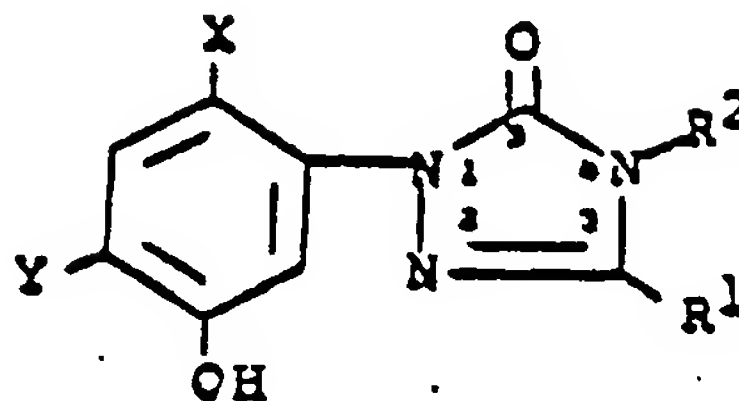
$R^2$  is alkyl, haloalkyl, alkenyl of 2 to 5 carbon atoms, alkynyl of 3 to 5 carbon atoms, cyanoalkyl, thiocycanoalkyl or a group of the formula  $-alkylene-Y^1-R^5$  in which said alkylene group has 1 to 5 carbon atoms,  $Y^1$  being oxygen or  $S(O)_r$  in which r is 0 to 2, and  $R^5$  being alkyl, alkenyl of 2 to 5 carbon atoms or alkynyl of 3 to 5 carbon atoms.

22. Process as in claim 21 in which  $R^6$  is

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arylsulfonyl and  $R^7$  is hydrogen.

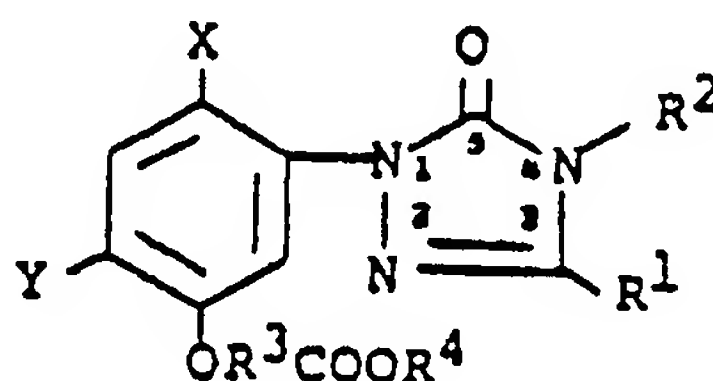
23. Process which comprises forming a compound of the formula



and reacting said compound with a compound of the formula



where  $R^3$  is an alkylene or fluoroalkylene radical,  $R^4$  is alkyl and M is a reactive leaving substituent to form a compound of the formula



in which, in said formulas:

X is bromine, chlorine, or fluorine or haloalkyl;

Y is bromine, chlorine, fluorine, methyl, haloalkyl, or a radical of the formula  $R^8OCH_2-$ ,  $R^8SCH_2-$ ,  $R^8SOCH_2-$  or  $R^8SO_2CH_2-$  where  $R^8$  is  $C_1-C_3$ alkyl,  $C_2-C_5$ alkenyl, or  $C_3-C_5$ alkynyl, phenyl or substituted phenyl;

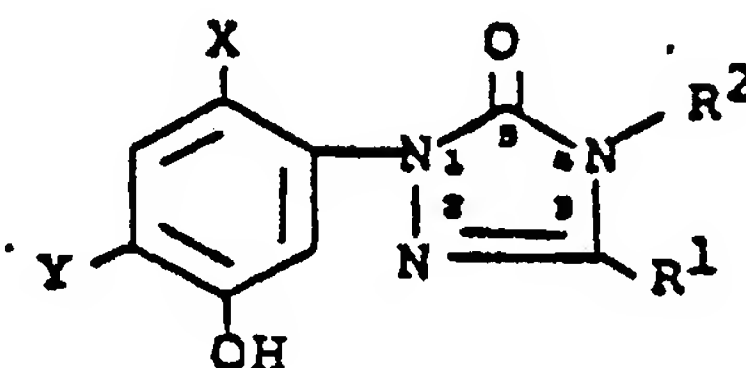
$R^1$  is halogen, alkyl, haloalkyl, alkoxyalkyl,

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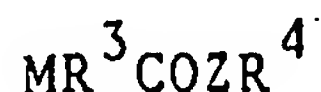
cyanoalkyl, arylalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl; and

$R^2$  is  $\text{CHF}_2$  or  $\text{CH}_2\text{F}$ .

24. Process which comprises forming a compound of the formula

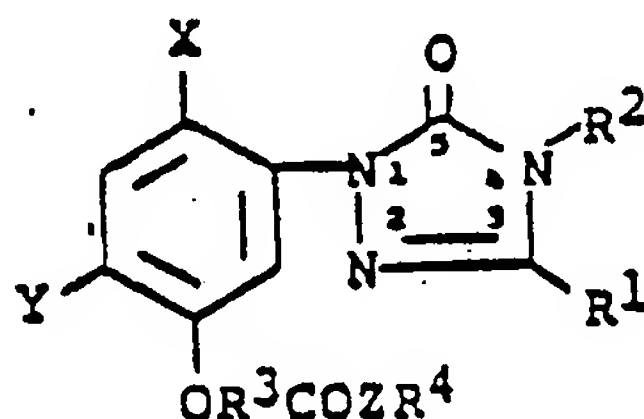


and reacting said compound with a compound of the formula



where M is a reactive leaving substituent,  $R^3$  is alkylene or fluoralkylene, Z is O or S and

$R^4$  is a substituted alkyl group, an alkenyl group, an alkynyl group or a monovalent cyclic group having a ring of 5 or 6 atoms whose valence is on a carbon atom of said ring to form a compound of the formula



in which, in said formulas:

X is bromine, chlorine, or fluorine or haloalkyl;

Y is bromine, chlorine, fluorine, methyl, haloalkyl, or a radical of the formula  $\text{R}^8\text{OCH}_2-$ ,  $\text{R}^8\text{SCH}_2-$ ,

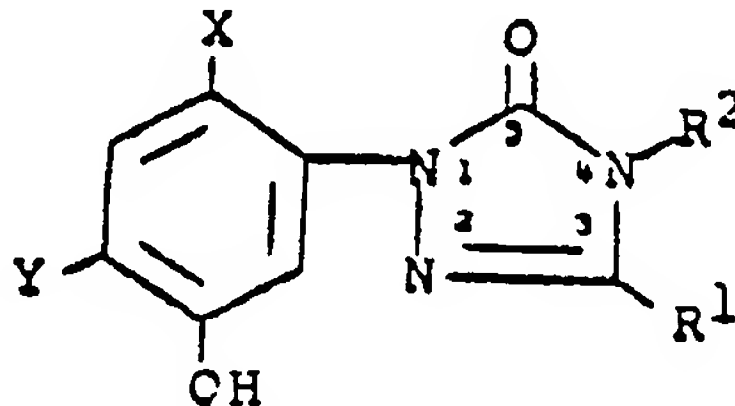
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$R^8SOCH_2-$  or  $R^8SO_2CH_2-$  where  $R^8$  is  $C_1-C_3$ alkyl,  $C_2-C_5$ alkenyl, or  $C_3-C_5$ alkynyl, phenyl or substituted phenyl;

$R^1$  is halogen, alkyl, haloalkyl, alkoxyalkyl, cyanoalkyl, arylalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl; and

$R^2$  is alkyl, haloalkyl, alkenyl of 2 to 5 carbon atoms, alkynyl of 3 to 5 carbon atoms, cyanoalkyl, thioccyanoalkyl or a group of the formula  $-alkylene-Y^1-R^5$  in which said alkylene group has 1 to 5 carbon atoms,  $Y^1$  being oxygen or  $S(O)_r$  in which  $r$  is 0 to 2, and  $R^5$  being alkyl, alkenyl of 2 to 5 carbon atoms or alkynyl of 3 to 5 carbon atoms.

25. Process which comprises forming a compound of the formula



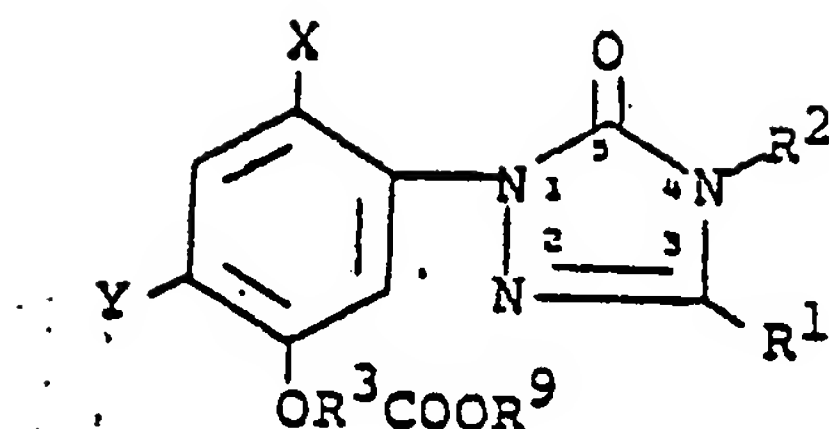
and reacting said compound with a compound of the formula



where  $M$  is a reactive leaving substituent,  $R^3$  is alkylene or fluoroalkylene and  $-OR^9$  is the residue of an oxime to form a compound of the formula



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in which, in said formulas:

X is bromine, chlorine, or fluorine or haloalkyl;

Y is bromine, chlorine, fluorine, methyl, haloalkyl, or a radical of the formula  $R^8OCH_2-$ ,  $R^8SCH_2-$ ,  $R^8SOCH_2-$  or  $R^8SO_2CH_2-$  where  $R^8$  is  $C_1-C_3$ alkyl,  $C_2-C_5$ alkenyl, or  $C_3-C_5$ alkynyl, phenyl or substituted phenyl;

$R^1$  is halogen, alkyl, haloalkyl, alkoxyalkyl, cyanoalkyl, arylalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl or alkylsulfonylalkyl; and

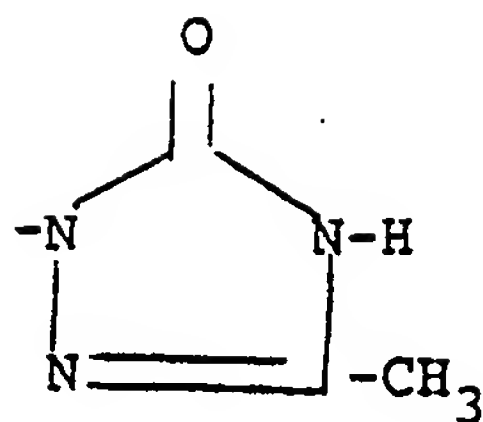
$R^2$  is alkyl, haloalkyl, alkenyl of 2 to 5 carbon atoms, alkynyl of 3 to 5 carbon atoms, cyanoalkyl, thiocianoalkyl or a group of the formula -alkylene- $Y^1-R^5$  in which said alkylene group has 1 to 5 carbon atoms,  $Y^1$  being oxygen or  $S(O)_r$  in which r is 0 to 2, and  $R^5$  being alkyl, alkenyl of 2 to 5 carbon atoms or alkynyl of 3 to 5 carbon atoms.

26. Process which comprises reacting

(a) a 2-fluorophenyl hydrazine whose 4-position is unsubstituted, with

(b) a reagent or reagents which converts the hydrazine group,  $-NHNH_2$ , to a triazolinone ring having the formula

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so as to form a N-2-fluorophenyl triazolinone, and subsequently halogenating with chlorine or bromine at said unsubstituted 4-position.

27. Process as in claim 26 in which said 2-fluorophenyl hydrazine has a nitro or alkoxy group at the 5-position of the benzene ring.

# INTERNATIONAL SEARCH REPORT

International Application No PCT/US85/02065

<b>I. CLASSIFICATION OF SUBJECT MATTER</b> (If several classification symbols apply, indicate all) <sup>3</sup> According to International Patent Classification (IPC) or to both National Classification and IPC <sup>4</sup>		
C07D 249/12 A01N 43/64		
<b>II. FIELDS SEARCHED</b>		
Minimum Documentation Searched <sup>4</sup>		
Classification System	Classification Symbols	
US	548/263, 264, 265 71/88, 92	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched <sup>5</sup>		
CHEMICAL ABSTRACTS CHEMICAL ABSTRACTS ON LINE, DERWENT ABSTRACTS		
<b>III. DOCUMENTS CONSIDERED TO BE RELEVANT</b> <sup>14</sup>		
Category <sup>6</sup>	Citation of Document, <sup>15</sup> with indication, where appropriate, of the relevant passages <sup>17</sup>	Relevant to Claim No. <sup>18</sup>
X	US, A, 4,318,731 (Kajioka et al) 9 March 1982 (9.3.82)	1,2,3,11, 12 and 13,15,16
A	US, A, 4,398,943 (Kajioka et al) August 1983 (16.8.83)	"
A	US, A, 3,922,162 (Krenzer) 25 November 1975 (25.11.75)	"
A	US, A, 404,019 (Uematsu et al) 13 September 1983 (13.9.83)	"
X	JP, A, 56-53662 (13.05.81) Derwent 81-46944D/26	1-3,4,8, 11-16,17,18
"&" "X"	GB, A, 2,056,971 (Nihon Ltd.) 25 March 1981 (25.03.81)- Counterpart to US 4,318,731	1-3, 11-13,15,16
"p" "X"	Wo, 8501-637-A (FMC) 25 April 1985 (25.04.85) Derwent C85-047752	1-20
<div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p><sup>16</sup> Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"&amp;" document member of the same patent family</p> </div> </div>		
<b>IV. CERTIFICATION</b>		
Date of the Actual Completion of the International Search <sup>19</sup>		Date of Mailing of this International Search Report <sup>20</sup>
26 January 1985		11 FEB 1986
International Searching Authority <sup>1</sup>		Signature of Authorized Officer <sup>20</sup>
ISA / US		

## FURTHER INFORMATION CONTINUED FROM THE SECOND SHEET

"P" "X"	Wo, 8504307-A (10,10,85) (FMC Corp) Derwent C85-114027	1-20
"X"	Derwent 81-03731 D/04 (29.12.80) (Nihon Ltd)	1-3,11- 16
"A"	Derwent 82-54925E/27 (23.06.82) (Nihon Ltd)	1-3,11- 16
"A"	Derwent 82-55393E/27 (30.06.82) (Sumitomo Chemical)	1-20

V. ☐ OBSERVATIONS WHERE CERTAIN CLAIMS WERE FOUND UNSEARCHABLE <sup>10</sup>

This International search report has not been established in respect of certain claims under Article 17(2) (a) for the following reasons:

1. ☐ Claim numbers ..... because they relate to subject matter <sup>12</sup> not required to be searched by this Authority, namely:

2. ☐ Claim numbers ..... because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out <sup>13</sup>, specifically:

VI. ☒ OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING <sup>11</sup>

This International Searching Authority found multiple inventions in this International application as follows:

- I. Claims 1, 2, 11, 12, 13 and 14
- II. Claims 3, 5 and 16
- III. Claims 4-9, 17 and 18
- IV. Claims 10, 19 and 20

1. ☒ As all required additional search fees were timely paid by the applicant, this International search report covers all searchable claims of the international application.

2. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims of the international application for which fees were paid, specifically claims:

3. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claim numbers:

4. ☐ As all searchable claims could be searched without effort justifying an additional fee, the International Searching Authority did not invite payment of any additional fee.

## Remark on Protest

- ☐ The additional search fees were accompanied by applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

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